



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 198954

TO: Shailendra Kumar
Location: rem-5c03/5c18
Art Unit: 1621
Monday, August 21, 2006
Case Serial Number: 10/517581

From: Usha Shrestha
Location: Biotech-Chem Library
REM-1A64
Phone: (571)272-3519

Usha.shrestha@uspto.gov

Search Notes

Examiner Kumar,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

Usha Shrestha
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-3519

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SEARCH REQUEST FORM

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Earliest Priority Date: 6/19/02

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

R_1 H
 R_2 alkyl etc.
 R_3 H, alkyl etc.
 R_4 is H, halo, alkyl etc.
 $R_5 \& R_6$ H, alkyl etc.
 A is $(CH_2)_m$ cond R_1, R_2, R_3 alkyl nitrile etc.

Vendors and cost where applicable

8189-75STN _____ Dialog

Questel/Orbit _____ Lexis/Nexis _____

Westlaw _____ WWW/Internet

In-house sequence systems

☐ Commercial ☐ Oligomer ☐ Score/Length
☐ Interference ☐ SPDI ☐ Encode/Transl
☐ Other (specify)

____ Interference ____ S/DI
____ Other (specify) _____

_____ Other

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FILE 'REGISTRY' ENTERED AT 12:33:58 ON 21 AUG 2006

=> d his

FILE 'HCAPLUS' ENTERED AT 08:39:36 ON 21 AUG 2006

L1 1 S US20060111406/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 08:39:59 ON 21 AUG 2006

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L3 STR
L4 50 S L3
L5 STR L3
L6 19 S L5
L7 1 S L2 AND L6
L8 STR L5
L9 4216 S L5 FUL
L10 135 S L9 AND L2
SAV L9 KUM581/A
L11 23 S L8 SAM SUB=L9
L12 433 S L8 FUL SUB=L9
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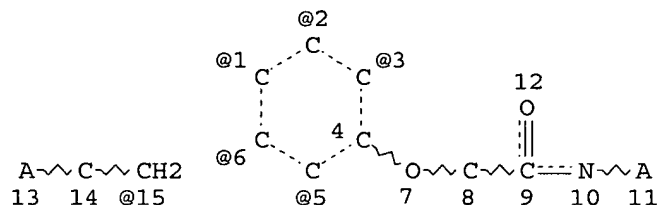
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L14 58 S L13 AND (1840-2002)/PRY,AY,PY
L15 1 S L1 AND L14
L16 57 S L14 NOT L15
SEL HIT RN 1-
L17 6 S L16 AND PEROXISOM?
L18 5 S L10
L19 1354 S L9
L20 1282 S L19 NOT L13
L21 10 S L20 AND PEROXISOM?
L22 15 S L18 OR L21
L23 11 S L22 AND (1840-2002)/PRY,AY,PY
L24 15 S L17 OR L23
L25 14 S L24 NOT L1
L26 1354 S L19 OR L13
L27 353 S L26(L) PREP/RL
L28 156 S L27 AND BSU/RL
L29 40 S L28 AND ?DIABET?
L30 34 S L29 AND (1840-2002)/PRY,AY,PY
L31 39 S L30 OR L25
L32 38 S L31 NOT L1
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1/BI OR 123-82-0/BI OR 13078-79-0/BI OR 13078-80-3/BI
OR 139-59-3/BI OR 140-75-0/BI OR 14367-46-5/BI OR
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19248-13-6/BI OR 20173-24-4/BI OR 209051-77-4/BI OR

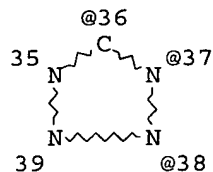
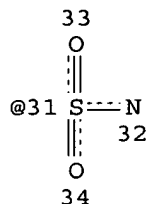
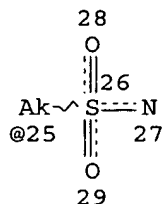
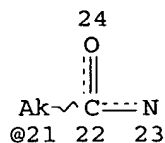
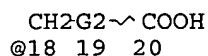
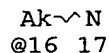
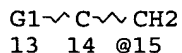
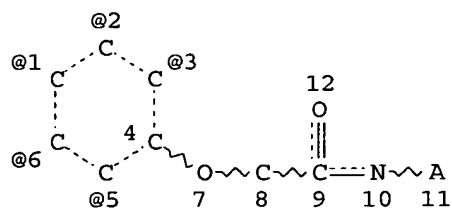
L5



DEFAULT ECLEVEL IS LIMITED

NUMBER OF NODES IS 15

L8 STR



VAR G1=COOH/16/18/21/25/31/36/37/38

REP G2=(0-2) CH2

VPA 15-3/2/1/5/6 U

NODE ATTRIBUTES:

NSPEC IS RC AT 11

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE

L9 4216 SEA FILE=REGISTRY SSS FUL L5
 L10 135 SEA FILE=REGISTRY ABB=ON L9 AND L2
 L12 433 SEA FILE=REGISTRY SUB=L9 SSS FUL L8
 L13 72 SEA FILE=HCAPLUS ABB=ON L12
 L14 58 SEA FILE=HCAPLUS ABB=ON L13 AND (1840-2002)/PRY,AY,PY

 L15 1 SEA FILE=HCAPLUS ABB=ON L1 AND L14
 L16 57 SEA FILE=HCAPLUS ABB=ON L14 NOT L15
 L17 6 SEA FILE=HCAPLUS ABB=ON L16 AND PEROXISOM?
 L18 5 SEA FILE=HCAPLUS ABB=ON L10
 L19 1354 SEA FILE=HCAPLUS ABB=ON L9
 L20 1282 SEA FILE=HCAPLUS ABB=ON L19 NOT L13
 L21 10 SEA FILE=HCAPLUS ABB=ON L20 AND PEROXISOM?
 L22 15 SEA FILE=HCAPLUS ABB=ON L18 OR L21
 L23 11 SEA FILE=HCAPLUS ABB=ON L22 AND (1840-2002)/PRY,AY,PY

 L24 15 SEA FILE=HCAPLUS ABB=ON L17 OR L23

L25 14 SEA FILE=HCAPLUS ABB=ON L24 NOT L1
 L26 1354 SEA FILE=HCAPLUS ABB=ON L19 OR L13
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 L28 156 SEA FILE=HCAPLUS ABB=ON L27 AND BSU/RL
 L29 40 SEA FILE=HCAPLUS ABB=ON L28 AND ?DIABET?
 L30 34 SEA FILE=HCAPLUS ABB=ON L29 AND (1840-2002)/PRY,AY,PY

 L31 39 SEA FILE=HCAPLUS ABB=ON L30 OR L25
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=> fil hcap
 FILE 'HCAPLUS' ENTERED AT 12:34:26 ON 21 AUG 2006

=> d l33 ibib abs fhitrstr hitind

L33 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2837 HCAPLUS

DOCUMENT NUMBER: 140:59411

TITLE: Preparation of phenoxyalkanamides as amide
 linker peroxisome proliferator activated
 receptor agonists for treating and/or
 preventing diabetes mellitus and syndrome X

INVENTOR(S): Ferritto Crespo, Rafael; Martin, Jose Alfredo;
 Martin-Ortega, Finger Maria Dolores; Rojo
 Garcia, Isabel; Shen, Quanrong; Warshawsky,
 Alan M.; Xu, Yanping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

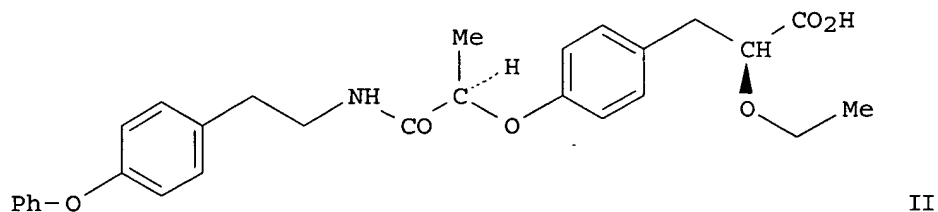
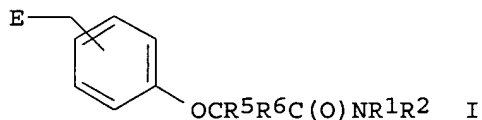
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000789	A1	20031231	WO 2003-US16207	2003 0611
WO 2004000789	C2	20040311		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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CA 2488972	AA	20031231	CA 2003-2488972	2003 0611
AU 2003241579	A1	20040106	AU 2003-241579	2003

EP 1517882	A1	20050330	EP 2003-731326	0611
				2003
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BR 2003011834	A	20050412	BR 2003-11834	2003
				0611
CN 1662487	A	20050831	CN 2003-814173	2003
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JP 2005529975	T2	20051006	JP 2004-515700	2003
				0611
US 2006111406	A1	20060525	US 2004-517581	2004
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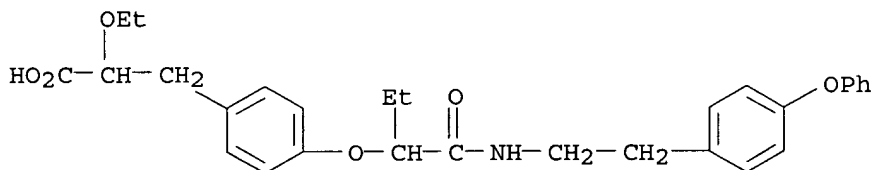
OTHER SOURCE(S): MARPAT 140:59411
GI



AB The present invention is directed to phenoxyalkanamides (shown as I; variables defined below; e.g. II), compns., and their use as peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X. The binding and cotransfection efficacy values found for compds. of this invention that are useful for modulating a PPAR α receptor are about <100 nM and >50%, resp. Although the methods of preparation are not claimed, .apprx.140 example preps. of I are included. For example, II was prepared in 3 steps starting from

(2S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Me ester, (2S)-2-hydroxypropionic acid benzyl ester and involving intermediates (2S)-3-[4-[[[(1R)-1-[(benzyloxy)carbonyl]ethyl]oxy]phenyl]-2-ethoxypropionic acid Et ester and (2S)-3-[4-[[[(1R)-1-carboxyethyl]oxy]phenyl]-2-ethoxypropionic acid. For I: R1 = H, C1-C8 alkyl, C3-C6 cycloalkyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, aminoC1-C4alkyl, C3-C6 cycloalkylaryl-C0-2-alkyl, arylheteroC1-C8alkyl, -CHC(O)C1-C4 alkoxy, C0-4-alkyl-C(O)heteroC1-C8alkyl, and -CH2C(O)-R15R16. R2 = C1-C8 alkyl, C3-C6 cycloalkyl, aryl-C0-C4-alkyl, heteroaryl-C0-C4-alkyl, heteroC1-C6cycloalkylaryl, heteroC1-C6cycloalkylarylC1-C4alkyl, aminoC1-C4alkyl, C3-C6 cycloalkylaryl-C0-C2-alkyl, arylheteroC1-C8alkyl, C0-C4-alkyl-C(O)heteroC1-C8alkyl, -CH(C(O)OCH3)benzyl, and -CH2C(O)R15''R16''. R1 and R2 together may form a heterocyclic ring which heterocyclic ring is (un)substituted with 1-3 substituents R1' and which heterocyclic ring is optionally fused with an aryl; E = C(R3)(R4)A, (CH2)nCOOR13, aryl-C0-C4-alkyl, thio-C1-C4-alkyl, thioaryl, arylC1-C4alkoxy, C1-C4alkoxy C1-C4alkyl, aminoaryl, and aminoC1-C4alkyl. R5 and R6 = H, C1-C8 alkyl, aryl-C0-C4-alkyl, heteroaryl-C0-C4-alkyl, C3-C6 cycloalkyl, aryl-C0-C2-alkyl, C3-C6 cycloalkyl-C0-2-alkyl, and -CH2C(O)R17R18.

- IT **638190-57-5P**, 2-Ethoxy-3-[4-[1-[[2-(4-phenoxyphenyl)ethyl]carbamoyl]propoxy]phenyl]propionic acid (drug candidate, single diastereomer; preparation of phenoxyalkanamides as amide linker peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X)
- RN **638190-57-5** HCAPLUS
- CN Benzenepropanoic acid, α -ethoxy-4-[1-[[2-(4-phenoxyphenyl)ethyl]amino]carbonyl]propoxy]- (9CI) (CA INDEX NAME)



- IC ICM C07C235-20
- ICS C07C235-22; C07C235-24; C07D295-18; C07C235-26; C07C235-34; C07C233-18; C07C069-734; C07C323-41; C07D333-20; C07D211-32; C07D217-06; C07D317-58; C07D277-82; C07D213-40; C07D285-12; C07D277-46; A61K031-16; A61K031-425; A61K031-495
- CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
- Section cross-reference(s): 1, 63
- IT **638190-57-5P**, 2-Ethoxy-3-[4-[1-[[2-(4-phenoxyphenyl)ethyl]carbamoyl]propoxy]phenyl]propionic acid (drug candidate, single diastereomer; preparation of phenoxyalkanamides as amide linker peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X)
- IT **638190-05-3P**, 2-Methoxy-3-[3-[(4-phenoxyphenyl)carbamoyl]methoxy]phenyl]propionic acid (drug candidate, single enantiomer; preparation of phenoxyalkanamides as amide linker peroxisome proliferator

activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X)

IT 638189-66-9P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[2-(4-phenoxyphenyl)ethyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
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 638189-70-5P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[2-(4-trifluoromethylphenyl)ethyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
 638189-71-6P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[2-(2-ethoxyphenyl)ethyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
 638189-72-7P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-(3-trifluoromethylbenzylcarbamoyl)ethyl]oxy]phenyl]propionic acid
 638189-73-8P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-(3-fluoro-5-trifluoromethylbenzylcarbamoyl)ethyl]oxy]phenyl]propionic acid
 638189-74-9P, (2S)-3-[4-[[[(1R)-1-[[[(Biphenyl-3-yl)methyl]carbamoyl]ethyl]oxy]phenyl]-2-ethoxypropionic acid
 638189-75-0P, (2S)-3-[4-[[[(1R)-1-[[2-(3-Chlorophenyl)ethyl]carbamoyl]ethyl]oxy]phenyl]-2-ethoxypropionic acid
 638189-76-1P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[2-(3-fluorophenyl)ethyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
 638189-77-2P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[2-(2-fluorophenyl)ethyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
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 638189-85-2P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[2-(thiophen-2-yl)ethyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
 638189-86-3P, (2S)-2-Ethoxy-3-[4-[[[(1R)-1-[[[(thiophen-2-yl)methyl]carbamoyl]ethyl]oxy]phenyl]propionic acid
 638189-87-4P, (2S)-3-[4-[[[(1R)-1-(4-tert-Butylbenzylcarbamoyl)ethyl]oxy]phenyl]-2-ethoxypropionic acid
 638189-88-5P, (2S)-3-[4-[[[(1R)-1-(4-tert-Butylphenylcarbamoyl)ethyl]oxy]phenyl]-2-ethoxypropionic acid
 638189-89-6P, (2S)-3-[4-[[[(1R)-1-[(trans-4-tert-Butylcyclohexyl)carbamoyl]ethyl]oxy]phenyl]-2-ethoxypropionic acid
 638189-90-9P, (2S)-3-[4-[[[Ethyl[2-(4-methoxyphenyl)-1-methylethyl]carbamoyl]methoxy]phenyl]-2-methoxypropionic acid
 638189-91-0P, (2S)-2-Methoxy-3-[4-[[[(1S)-1-(naphthalen-1-yl)ethyl]carbamoyl]methoxy]phenyl]propionic acid
 638189-92-1P, (2S)-2-Methoxy-3-[4-[[[(1R)-1-phenylethyl]carbamoyl]methoxy]phenyl]propionic acid
 638189-93-2P, (2S)-2-Methoxy-3-[4-[[[methyl((1S)-1-phenylethyl)carbamoyl]methoxy]phenyl]propionic acid
 638189-94-3P, (2S)-3-[4-[2-[4-(4-Fluorobenzoyl)piperidin-1-yl]-2-oxoethoxy]phenyl]-2-methoxypropionic acid 638189-95-4P, (2S)-3-[4-[2-[4-(4-Chlorobenzoyl)piperidin-1-yl]-2-oxoethoxy]phenyl]-2-methoxypropionic acid
 638189-96-5P, (2S)-2-Methoxy-3-[4-[[[(1R)-1-(methoxycarbonyl)-2-phenylethyl]carbamoyl]methoxy]phenyl]propionic acid

638189-97-6P, (2S)-3-[4-[2-[4-[(4-Chlorophenyl)phenylmethyl]piperazin-1-yl]-2-oxoethoxy]phenyl]-2-methoxypropionic acid
638189-98-7P, (2S)-3-[4-[[[(4-Chlorophenyl)phenylmethyl]carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638189-99-8P** **638190-00-8P**, (2S)-3-[4-[(3,3-Diphenylpropylcarbamoyl)ethoxy]phenyl]-2-methoxypropionic acid **638190-01-9P**, (2S)-3-[4-[[Benzyl[2-(ethoxycarbonyl)ethyl]carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638190-02-0P**, (2S)-2-Methoxy-3-[4-[[[3-[(methyl)(phenyl)amino]propyl]carbamoyl]methoxy]phenyl]propionic acid **638190-03-1P**, (2S)-2-Methoxy-3-[4-[[[2-(4-methoxyphenoxy)ethyl]carbamoyl]methoxy]phenyl]propionic acid **638190-04-2P**, (2S)-2-Methoxy-3-[4-[(4-phenoxyphenylcarbamoyl)ethoxy]phenyl]propionic acid **638190-06-4P**, (2S)-2-Methoxy-3-[4-[1-methyl-1-[[2-(4-phenoxyphenyl)ethyl]carbamoyl]ethoxy]phenyl]propionic acid **638190-07-5P**, (2S)-3-[4-[1-[[2-(2-Ethoxyphenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-08-6P**, 2-Methoxy-2-methyl-3-[4-[[[2-(4-phenoxyphenyl)ethyl]carbamoyl]methoxy]phenyl]propionic acid **638190-10-0P**, (2S)-2-Methoxy-3-[4-[1-methyl-1-[[2-(3-trifluoromethylphenyl)ethyl]carbamoyl]ethoxy]phenyl]propionic acid **638190-11-1P**, (2S)-2-Methoxy-3-[4-[1-methyl-1-(3-trifluoromethylbenzylcarbamoyl)ethoxy]phenyl]propionic acid **638190-12-2P** **638190-13-3P**, (2S)-3-[4-[1-[[[Biphenyl-3-yl)methyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-14-4P**, (2S)-3-[4-[1-[[2-(2,5-Dimethoxyphenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-15-5P**, (2S)-3-[4-[1-[[2-(2-Fluorophenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-16-6P**, (2S)-2-Ethoxy-3-[4-[1-methyl-1-[[2-(3-trifluoromethylphenyl)ethyl]carbamoyl]ethoxy]phenyl]propionic acid **638190-19-9P**, (2S)-2-Ethoxy-3-[4-[1-(3-fluoro-5-trifluoromethylbenzylcarbamoyl)-1-methylethoxy]phenyl]propionic acid **638190-20-2P**, (2S)-3-[4-[1-[[2-(2-Chlorophenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-ethoxypropionic acid **638190-21-3P**, (2S)-3-[4-[1-[[[Biphenyl-3-yl)methyl]carbamoyl]-1-methylethoxy]phenyl]-2-ethoxypropionic acid **638190-22-4P**, (2S)-3-[4-[1-[[2-(3-Chlorophenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-ethoxypropionic acid **638190-23-5P**, (2S)-3-[4-[1-[[2-(2,5-Dimethoxyphenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-ethoxypropionic acid **638190-24-6P**, (2S)-2-Ethoxy-3-[4-[1-[[2-(2-fluorophenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]propionic acid **638190-27-9P**, (2S)-3-[3-[1-[[2-(4-Ethylphenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-28-0P**, (2S)-2-Methoxy-3-[3-[1-methyl-1-[[2-(4-phenoxyphenyl)ethyl]carbamoyl]ethoxy]phenyl]propionic acid **638190-29-1P**, (2S)-3-[3-[1-(3-Fluoro-5-trifluoromethylbenzylcarbamoyl)-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-30-4P**, (2S)-3-[3-[1-[[[Biphenyl-3-yl)methyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-31-5P**, (2S)-3-[3-[1-[[2-(3-Chlorophenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-32-6P**, (2S)-2-Methoxy-3-[4-[[[(1S)-1-phenylethyl]carbamoyl]methoxy]phenyl]propionic acid **638190-33-7P**, (2S)-3-[3-[1-[[2-(2,4-Dichlorophenyl)ethyl]carbamoyl]-1-methylethoxy]phenyl]-2-methoxypropionic acid **638190-34-8P**, (2S)-3-[3-[1-[[2-

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638190-75-7P, (2S)-3-[4-[[[(Benzyl)(phenethyl)carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638190-76-8P**,
 (2S)-3-[4-[2-[4-(4-Fluorophenyl)piperazin-1-yl]-2-oxoethoxy]phenyl]-2-methoxypropionic acid **638190-77-9P**,
 (2S)-2-Methoxy-3-[4-[[[4-(4-chlorophenyl)-3-methylpiperazin-1-yl]carbonyl]methoxy]phenyl]propionic acid **638190-78-0P**,
 (2S)-3-[4-[2-[4-(3-Chlorophenyl)piperazin-1-yl]-2-oxoethoxy]phenyl]-2-methoxypropionic acid **638190-79-1P**,
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Butylthiazol-2-yl) carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-07-8P**, (2S)-3-[4-[[[5-Cyclopropyl-[1,3,4]thiadiazol-2-yl) carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-08-9P**, (2S)-3-[4-[[[Hexylcarbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-09-0P**, (2S)-3-[4-[[[Heptylcarbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-10-3P**, (2S)-3-[4-[[[3,3-Dimethylbutylcarbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-11-4P**, 3-[3-[[[cis-4-tert-Butylcyclohexyl) carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-12-5P**, 3-[3-[[[trans-4-tert-Butylcyclohexyl) carbamoyl]methoxy]phenyl]-2-methoxypropionic acid **638191-13-6P**, 3-[4-[[[Heptylcarbamoyl]methoxy]phenyl]-2-methoxy-2-methylpropionic acid **638191-17-0P**, 2-Ethoxy-3-[4-[1-(3-trifluoromethylbenzylcarbamoyl)ethoxy]phenyl]propionic acid **638191-18-1P**, 2-Ethoxy-3-[4-[1-(5-fluoro-3-trifluoromethylbenzylcarbamoyl)ethoxy]phenyl]propionic acid **638191-19-2P**, 2-Ethoxy-3-[4-[1-[(3-phenylbenzyl) carbamoyl]ethoxy]phenyl]propionic acid **638191-20-5P**, 2-Ethoxy-3-[4-[1-[[2-(4-phenoxyphenyl)ethyl] carbamoyl]ethoxy]phenyl]propionic acid **638191-21-6P**, 2-Ethoxy-3-[4-[1-[[2-(3-trifluoromethylphenyl)ethyl] carbamoyl]ethoxy]phenyl]propionic acid **638191-22-7P**, 3-[4-[1-[[2-(2,6-Dichlorophenyl)ethyl] carbamoyl]ethoxy]phenyl]-2-ethoxypropionic acid **638191-23-8P**, 2-Ethoxy-3-[4-[1-[[2-(4-ethylphenyl)ethyl] carbamoyl]ethoxy]phenyl]propionic acid **638191-24-9P**, 3-[4-[Cyclohexyl[[2-(4-ethylphenyl)ethyl] carbamoyl]methoxy]phenyl]-2-ethoxypropionic acid **638191-25-0P**, 2-Ethoxy-3-[4-[1-[[2-(4-ethylphenyl)ethyl] carbamoyl]-2-phenylethoxy]phenyl]propionic acid **639010-29-0P**, (2S)-3-[4-[[[1R]-1-(4-tert-Butylcyclohexylcarbamoyl)ethyl]oxy]phenyl]-2-ethoxypropionic acid **639010-30-3P**, (2S)-3-[4-[1-(4-tert-Butylcyclohexylcarbamoyl)-1-methylethoxy]phenyl]-2-methoxypropionic acid **639010-31-4P**, (2S)-3-[3-[1-(4-tert-Butylcyclohexylcarbamoyl)-1-methylethoxy]phenyl]-2-methoxypropionic acid **639010-32-5P**, (2S)-3-[4-[[[1S]-1-(4-tert-Butylcyclohexylcarbamoyl)ethyl]oxy]phenyl]-2-ethoxypropionic acid

(drug candidate; preparation of phenoxyalkanamides as amide linker peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X)

IT 23508-35-2P, (2S)-3-(4-Hydroxyphenyl)-2-hydroxypropionic acid
 156335-14-7P, Methyl 3-(4-hydroxyphenyl)-2-methoxypropanoate
 162919-37-1P, (2S)-3-[4-(Benzyloxy)phenyl]-2-hydroxypropionic acid
 222835-03-2P, 3-[4-(Benzyloxy)phenyl]-2-ethoxyacrylic acid ethyl ester
 222835-04-3P, 3-[4-(Benzyloxy)phenyl]-2-ethoxypropionic acid methyl ester
 325793-76-8P, Propyl (2S)-3-(4-hydroxyphenyl)-2-ethoxypropionate
 361576-28-5P, 3-[4-(Benzyloxy)phenyl]-2-ethoxy-3-hydroxypropionic acid ethyl ester
 477979-20-7P, (2S)-3-(4-Hydroxyphenyl)-2-methoxypropionic acid ethyl ester
 477980-43-1P 477982-28-8P, 3-(4-Hydroxyphenyl)-2-methoxypropanoic acid
 481072-40-6P, Propyl (2S)-3-[4-(benzyloxy)phenyl]-2-hydroxypropionate
 638189-56-7P 638189-58-9P, (2S)-3-[4-[(tert-Butoxycarbonyl)methoxy]phenyl]-2-methoxypropionic acid ethyl ester
 638189-59-0P, (2S)-3-[4-(Carboxymethoxy)phenyl]-2-methoxypropionic acid ethyl ester
 638189-61-4P, 3-[3-[(tert-Butoxycarbonyl)methoxy]phenyl]-2-methoxypropionic acid methyl ester
 638189-62-5P,

3-[3-(Carboxymethoxy)phenyl]-2-methoxypropionic acid methyl ester
638189-63-6P, (2S)-2-Methoxy-3-[4-[(1-methyl-1-octylcarbamoyl)ethoxy]phenyl]propionic acid **638189-64-7P**,
 (2S)-3-[4-[1-(tert-Butoxycarbonyl)-1-methylethoxy]phenyl]-2-methoxypropionic acid ethyl ester **638189-65-8P** **638189-67-0P**,
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(preparation of phenoxyalkanamides as amide linker peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X)

IT **638189-60-3P**, 3-[3-[[[2-(4-Ethylphenyl)ethyl]carbamoyl]methoxy]phenyl]-2-methoxypropionic acid

(single enantiomer; preparation of phenoxyalkanamides as amide linker peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2005:1335635 HCAPLUS

DOCUMENT NUMBER: 144:69628

TITLE: Preparation of phenoxyacetamide derivatives as modulators of **peroxisome** proliferator-activated receptors (PPAR)

INVENTOR(S): Alstermark, Eva-Lotte Lindstedt; Olsson, Anna Christina; Li, Lanna

PATENT ASSIGNEE(S): Swed.

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. Ser. No. 499,261.

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005282822	A1	20051222	US 2004-26806	

2004
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WO 2003051821 A1 20030626 WO 2002-GB5738

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WO 2004113270 A3 20050331

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ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,

PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
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 EP 1676833 A1 20060705 EP 2006-5766

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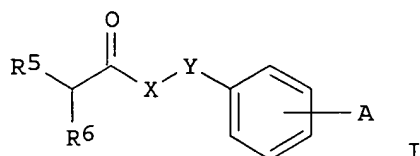
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OTHER SOURCE(S):
GI

MARPAT 144:69628



AB The phenyl-, phenoxy-, or phenylthioalkanamidetitle compds., (in particular phenoxyacetamide derivs.) (I) [A is situated in the ortho, meta or para position and represents CR₃R₄CR₁R₂COR, CR₃:CR₁COR (wherein R = H, alkyl, (un)substituted HO or NH₂; R₁ = alkyl, aryl, alkenyl, alkynyl, or when A is CR₃R₄CR₁R₂COR, R₁ can also be cyano, (un)substituted HO, SH, OCONH₂, SO₂NH₂, CO₂H, etc.; R₂ = H, halogen, alkyl, aryl, alkylaryl; R₃, R₄ = H, alkyl, aryl, alkylaryl); Y = O, S, a single bond; n = an integer of 1-4; X = alkyl; R₅, R₆ = H, each (un)substituted C₁-13 alkyl, C₂-10 alkenyl, or C₂-10 alkynyl; or R₅, R₆ = each (un)substituted C₃-8 cycloalkyl, C₃-C₈ cycloalkenyl, aryl, heterocyclyl, or heteroaryl; or R₅ and R₆ together with the nitrogen atom to which they are attached form a single or a fused heterocyclic system] are prepared. These compds. are useful in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, and other manifestations of the metabolic syndrome. Thus, a solution of 0.598 g N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine and 0.593 g [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid in 20 mL CH₂Cl₂ was treated with 0.80 mL N,N-diisopropylethylamine and 0.674 g O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., 74% Et (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate (II). A solution of 0.748 g II in 70 mL MeCN was treated with 35 mL 0.10 M LiOH and the reaction mixture was stirred at room temperature overnight, neutralized with 5% HCl, concentrated, acidified with 5% HCl, and extracted with EtOAc to give 97% (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid (III). III showed EC₅₀ of 0.001 μmol/L for human PPARα.

IT **549501-66-8P**, (2S)-3-[4-[2-[(Cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **549501-72-6P**, (2S)-3-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **549532-33-4P**, (2S)-3-[4-[2-[Benzyl(hexyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **549532-35-6P**, (2S)-2-Ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]phenyl]propanoic acid **638189-90-9P** **638189-91-0P** **638189-93-2P** **638189-96-5P** **638189-98-7P** **638189-99-8P**

638190-00-8P, (2S)-4-[2-[(3,3-Diphenylpropyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-01-9P, (2S)-4-[2-[(3-Ethoxy-3-oxopropyl)(phenylmethyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-02-0P
638190-03-1P, (2S)- α -Methoxy-4-[2-[[2-(4-methoxyphenoxy)ethyl]amino]-2-oxoethoxy]benzenepropanoic acid
638190-04-2P 638190-05-3P 638190-08-6P
638190-32-6P 638190-61-1P 638190-62-2P
638190-63-3P 638190-65-5P 638190-67-7P
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(2S)-4-[2-[[2-(4-Bromophenyl)ethyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-83-7P,
(2S)- α -Methoxy-4-[2-[[1-naphthalenyl)methyl]amino]-2-oxoethoxy]benzenepropanoic acid 638190-84-8P,
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, (2S)- α -Methoxy-4-[2-[(3-methylbutyl)amino]-2-oxoethoxy]benzenepropanoic acid 638191-02-3P,
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638191-04-5P, (2S)-4-[2-[(2,2,3,3,4,4,4-Heptafluorobutyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638191-08-9P,
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(2S)-3-[4-[2-[Butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 719277-14-2P,
(2S)-3-[4-[2-[(4-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 719277-15-3P, (2S)-2-Ethoxy-3-[4-[2-[ethyl[4-(trifluoromethoxy)benzyl]amino]-2-oxoethoxy]phenyl]propanoic acid 719277-16-4P,

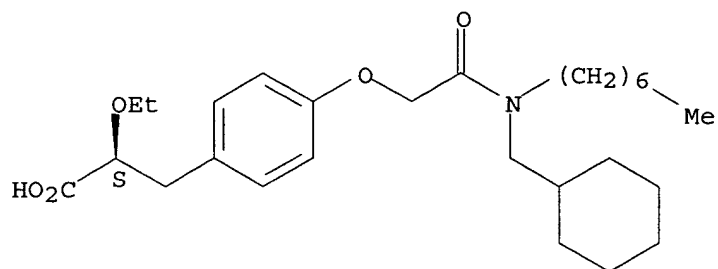
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 (2S)-3-[4-[2-[(2,4-Difluorobenzyl)(nonyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-11-9P**,
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 (2S)-2-Ethoxy-3-[4-[2-[ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]propanoic acid **816465-35-7P**,
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 (2S)-3-[4-[2-[Bis(4-Chlorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-43-7P**, (2S)-3-[4-[2-[(4-tert-Butylbenzyl)(4-chlorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-47-1P**, (2S)-3-[4-[2-[(4-Chlorobenzyl)[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-51-7P**,
 (2S)-3-[4-[2-[Bis[4-(Trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-55-1P**,
 (2S)-3-[4-[2-[Benzyl(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-57-3P**, (2S)-3-[4-[2-[(4-tert-Butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-64-2P**, (2S)-4-[2-(Heptylamino)-2-oxoethoxy]- α -methoxy- α -methylbenzenepropanoic acid **816465-67-5P**, (2S)-3-[2-[[2-(4-Ethylphenyl)ethyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid **817181-62-7P 871731-30-5P**

(preparation of phenoxyacetamide derivs. as modulators of
 peroxisome proliferator-activated receptors for
 treating metabolic disorder)

RN 549501-66-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(cyclohexylmethyl)heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

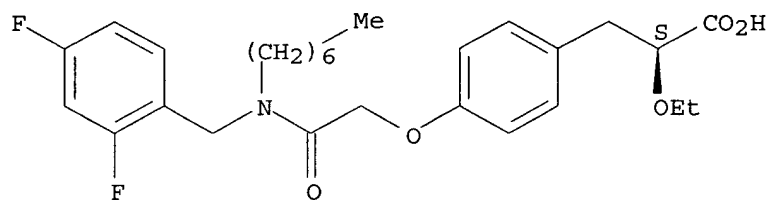
Absolute stereochemistry.



RN 549501-72-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-(4-ethoxyphenyl)methyl]heptylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

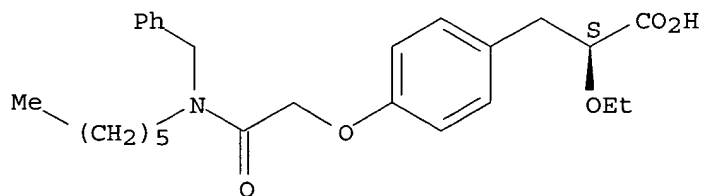
Absolute stereochemistry.



RN 549532-33-4 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(phenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

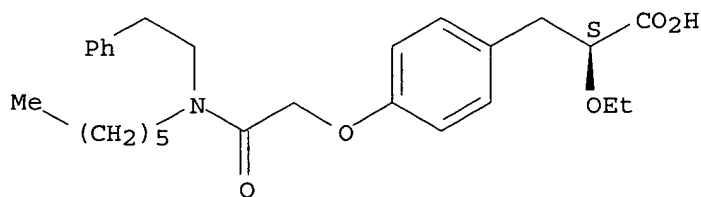
Absolute stereochemistry.



RN 549532-35-6 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

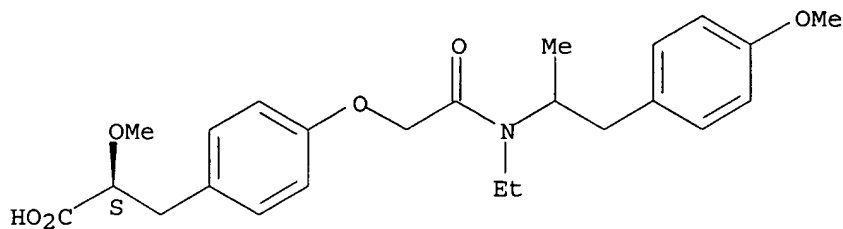
Absolute stereochemistry.



RN 638189-90-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[ethyl[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

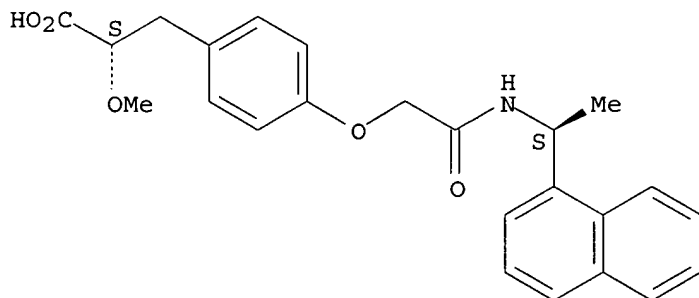
Absolute stereochemistry.



RN 638189-91-0 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[[1S]-1-(1-naphthalenyl)ethyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

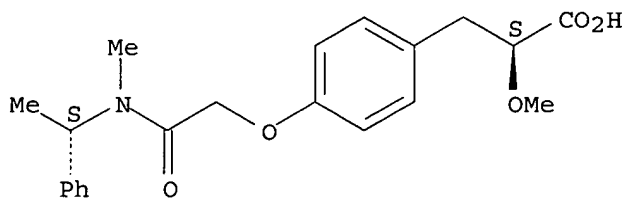
Absolute stereochemistry.



RN 638189-93-2 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[methyl[(1S)-1-phenylethyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

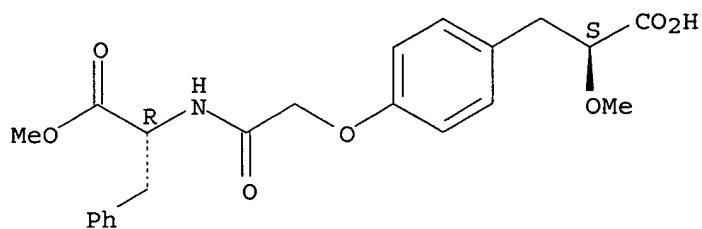
Absolute stereochemistry.



RN 638189-96-5 HCAPLUS

CN D-Phenylalanine, N-[[4-[(2S)-2-carboxy-2-methoxyethyl]phenoxy]acetyl]-, α -methyl ester (9CI) (CA INDEX NAME)

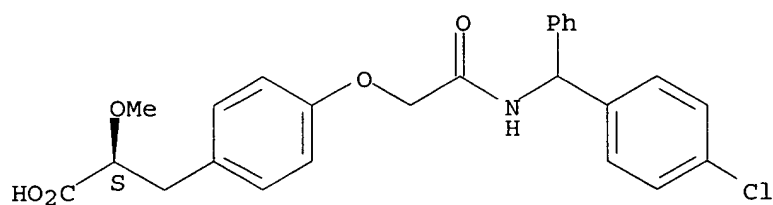
Absolute stereochemistry.



RN 638189-98-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)phenylmethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

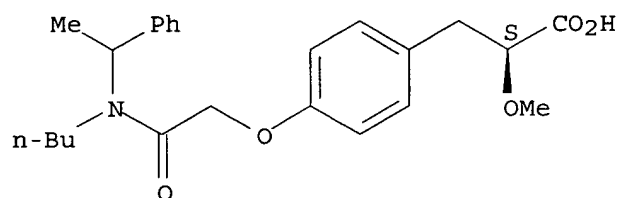
Absolute stereochemistry.



RN 638189-99-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl(1-phenylethyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

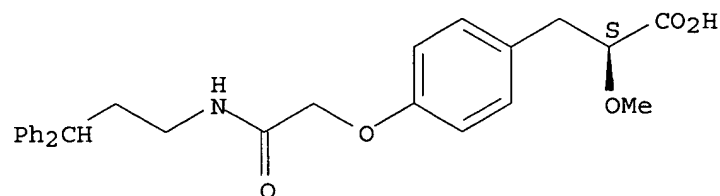
Absolute stereochemistry.



RN 638190-00-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(3,3-diphenylpropyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

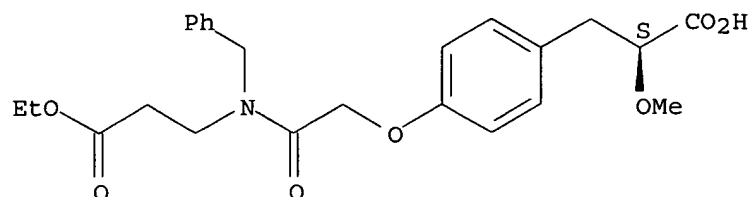
Absolute stereochemistry.



RN 638190-01-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(3-ethoxy-3-oxopropyl)(phenylmethyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

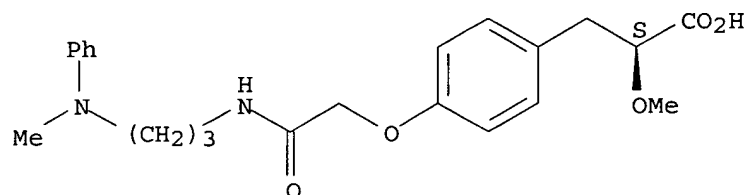
Absolute stereochemistry.



RN 638190-02-0 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[[3-(methylphenylamino)propyl]amino]-2-oxoethoxy]-, (αS)- (9CI)
(CA INDEX NAME)

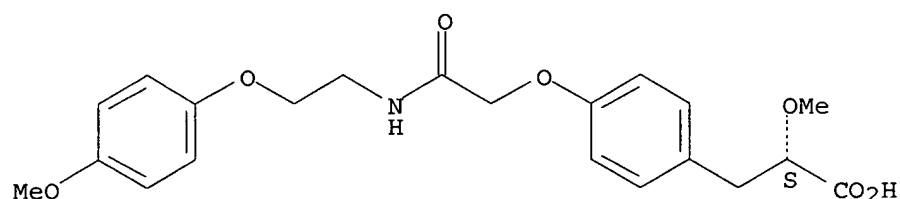
Absolute stereochemistry.



RN 638190-03-1 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[[2-(4-methoxyphenoxy)ethyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

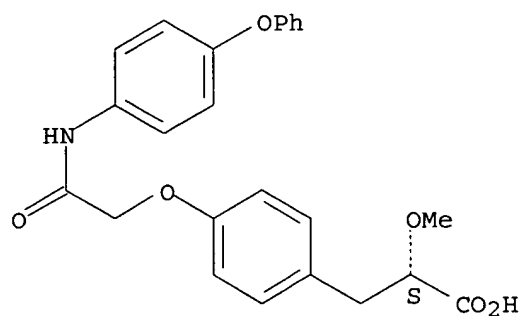
Absolute stereochemistry.



RN 638190-04-2 HCAPLUS

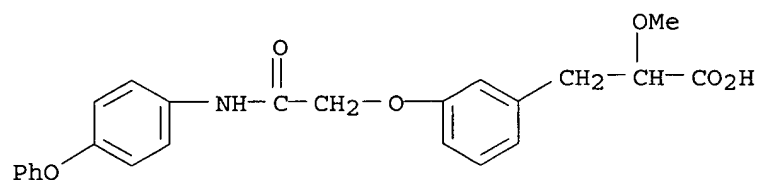
CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[(4-phenoxyphenyl)amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



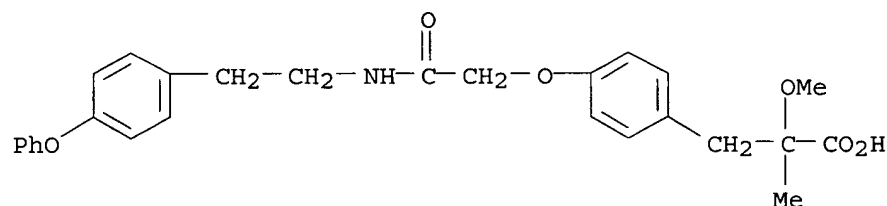
RN 638190-05-3 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-3-[2-oxo-2-[(4-phenoxyphenyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



RN 638190-08-6 HCAPLUS

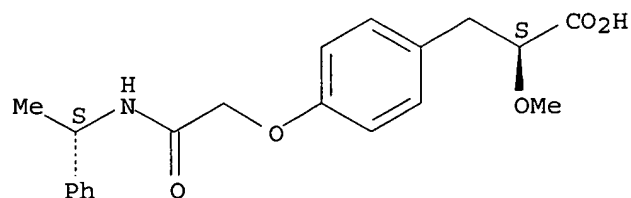
CN Benzenepropanoic acid, α-methoxy-α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]- (9CI) (CA INDEX NAME)



RN 638190-32-6 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

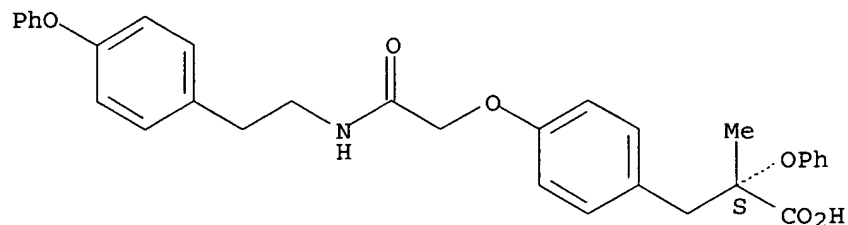
Absolute stereochemistry.



RN 638190-61-1 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

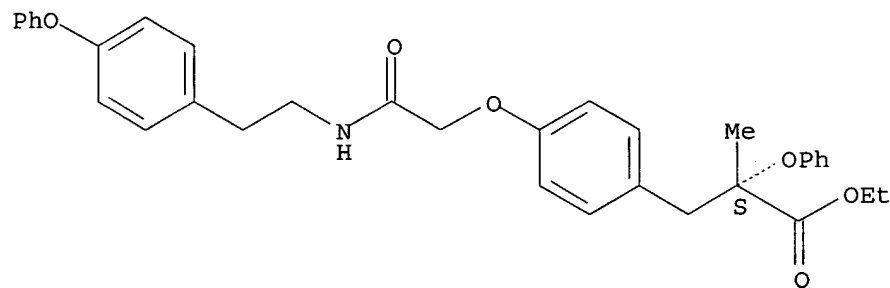
Absolute stereochemistry.



RN 638190-62-2 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-phenoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

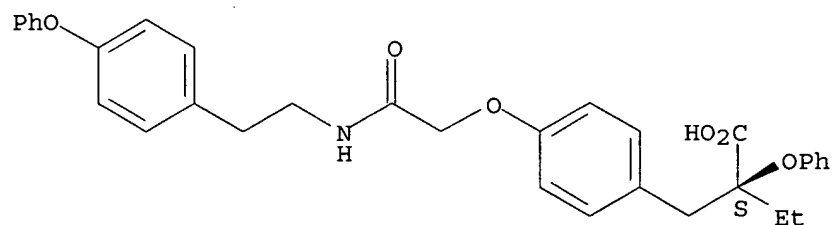
Absolute stereochemistry.



RN 638190-63-3 HCAPLUS

CN Benzenepropanoic acid, α-ethyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638190-65-5 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-[4-(trifluoromethoxy)phenoxy]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638190-67-7 HCAPLUS

CN Benzenepropanoic acid, α -(4-fluorophenoxy)- α -methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-, (α S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638190-69-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[1,1'-biphenyl]-4-ylmethyl)amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

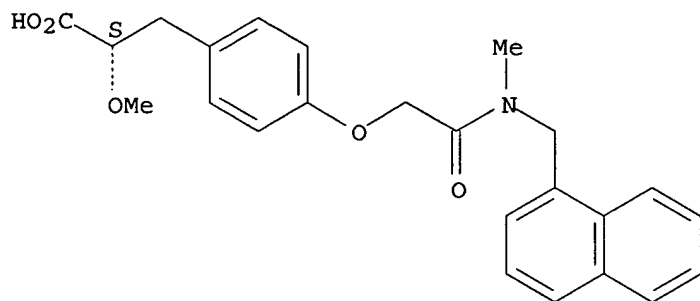
Absolute stereochemistry.



RN 638190-70-2 HCAPLUS

CN	Benzenepropanoic acid, α -methoxy-4-[2-[methyl(1-naphthalenylmethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)	
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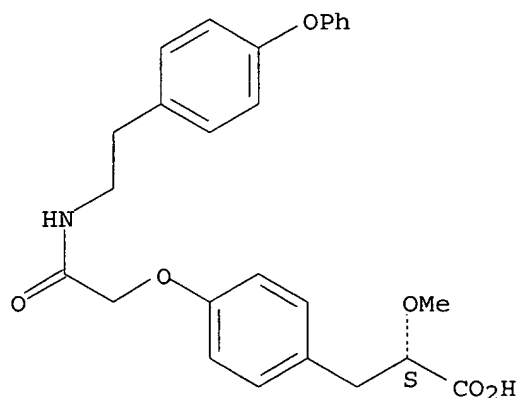
Absolute stereochemistry.



RN 638190-73-5 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

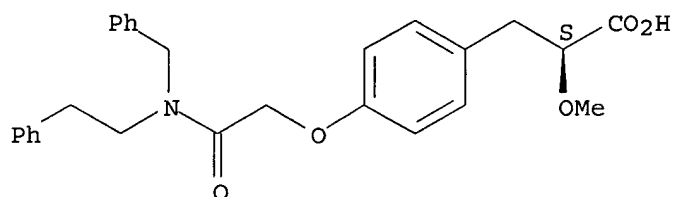
Absolute stereochemistry.



RN 638190-75-7 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[(2-phenylethyl)(phenylmethyl)amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

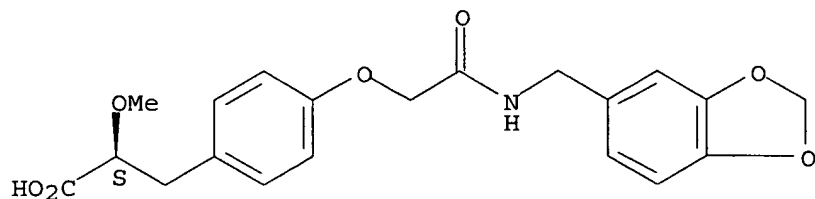
Absolute stereochemistry.



RN 638190-81-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(1,3-benzodioxol-5-ylmethyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

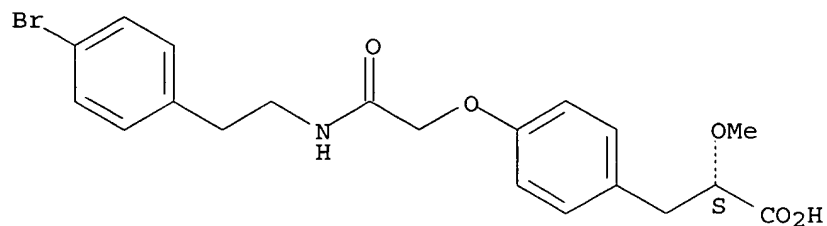
Absolute stereochemistry.



RN 638190-82-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-(4-bromophenyl)ethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

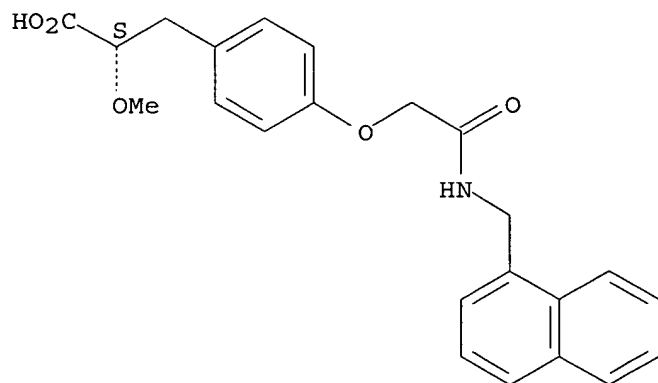
Absolute stereochemistry.



RN 638190-83-7 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[(1-naphthalenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

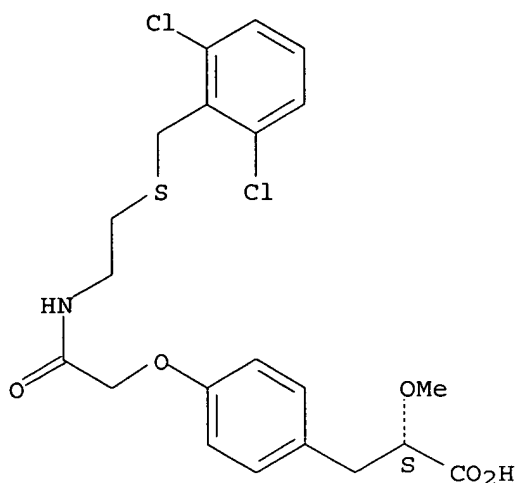
Absolute stereochemistry.



RN 638190-84-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[[2-[(2,6-dichlorophenyl)methyl]thio]ethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

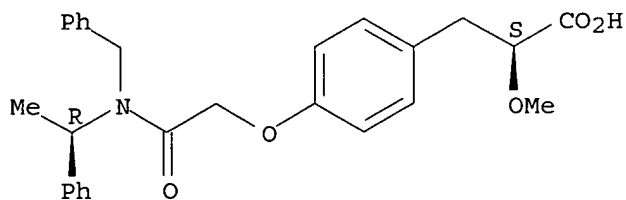
Absolute stereochemistry.



RN 638190-85-9 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[1R]-1-phenylethyl](phenylmethyl)amino]ethoxy-, (αS)- (9CI) (CA INDEX NAME)

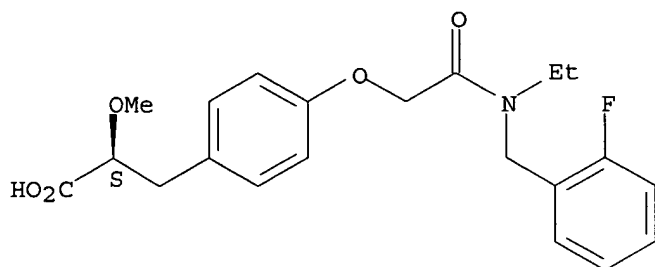
Absolute stereochemistry.



RN 638190-88-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

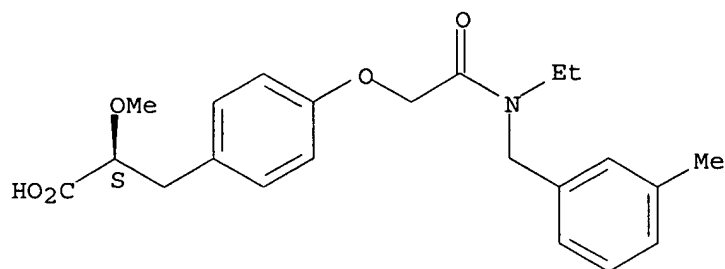
Absolute stereochemistry.



RN 638190-89-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[ethyl[(3-methylphenyl)methyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

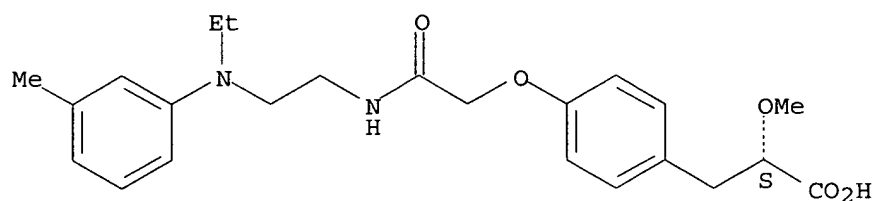
Absolute stereochemistry.



RN 638190-92-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[ethyl(3-methylphenyl)amino]ethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

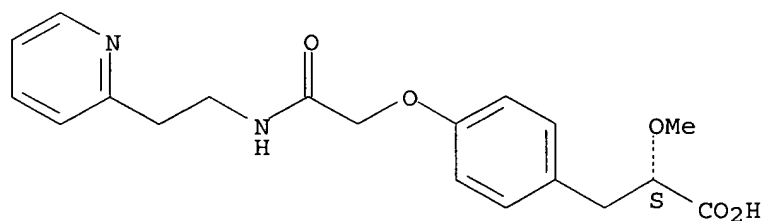
Absolute stereochemistry.



RN 638190-93-9 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(2-pyridinyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

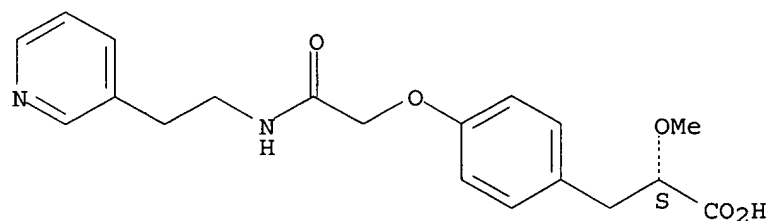
Absolute stereochemistry.



RN 638190-94-0 HCAPLUS

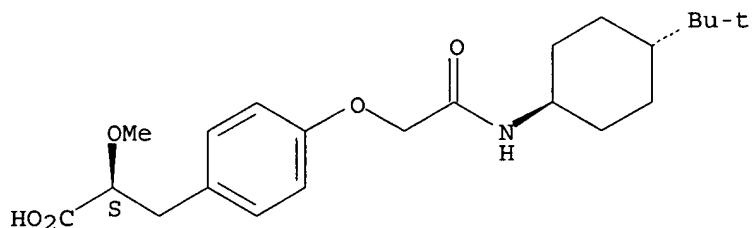
CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(3-pyridinyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



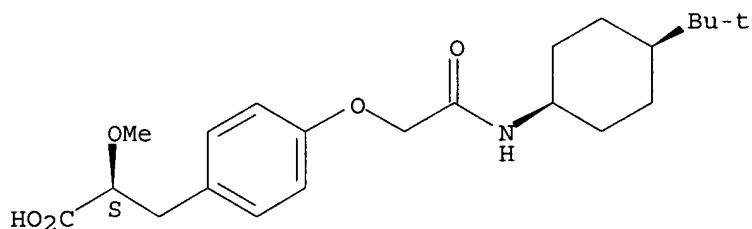
RN 638190-95-1 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



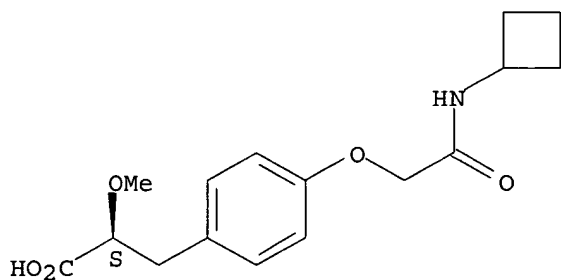
RN 638190-96-2 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



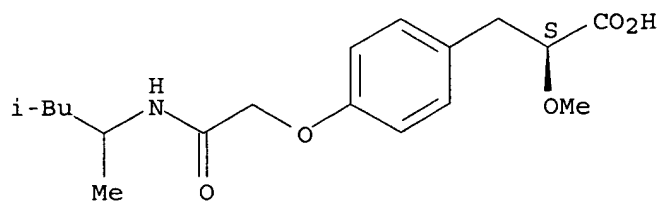
RN 638190-97-3 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-(cyclobutylamino)-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638190-98-4 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-[(1,3-dimethylbutyl)amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

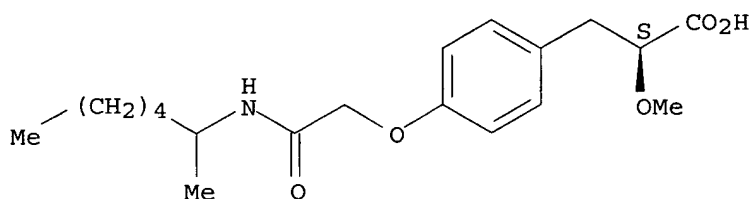
Absolute stereochemistry.



RN 638190-99-5 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[(1-methylhexyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

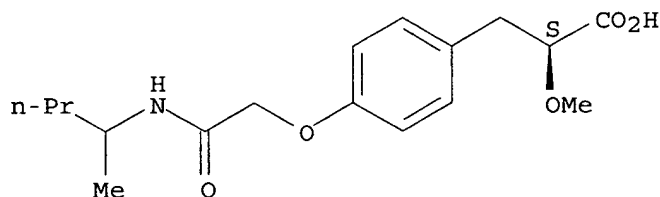
Absolute stereochemistry.



RN 638191-00-1 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[(1-methylbutyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

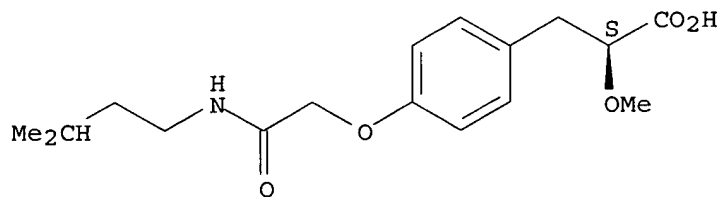
Absolute stereochemistry.



RN 638191-01-2 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[(3-methylbutyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

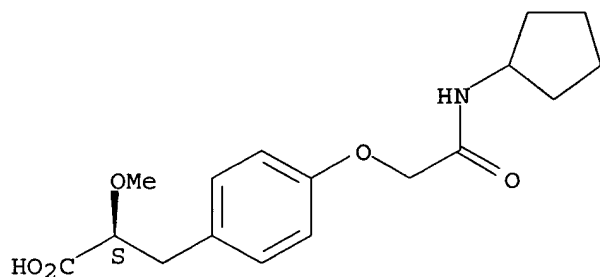
Absolute stereochemistry.



RN 638191-02-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(cyclopentylamino)-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

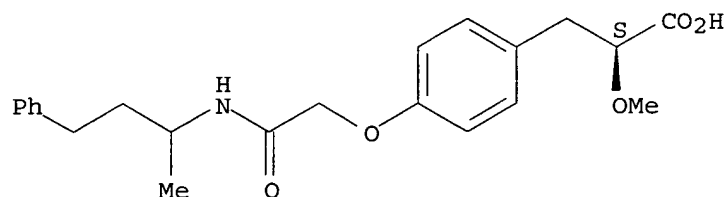
Absolute stereochemistry.



RN 638191-03-4 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[(1-methyl-3-phenylpropyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

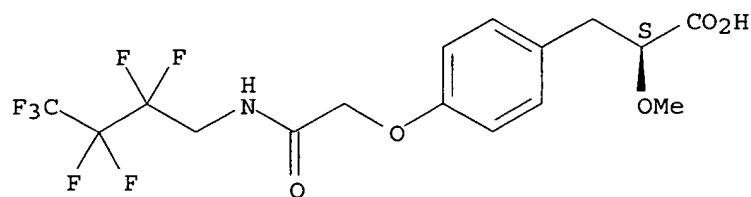
Absolute stereochemistry.



RN 638191-04-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(2,2,3,3,4,4,4-heptafluorobutyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

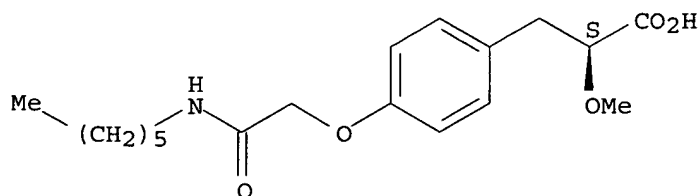
Absolute stereochemistry.



RN 638191-08-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(hexylamino)-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

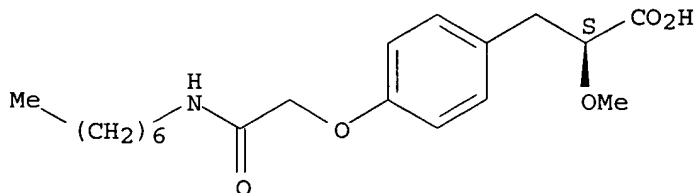
Absolute stereochemistry.



RN 638191-09-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(heptylamino)-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

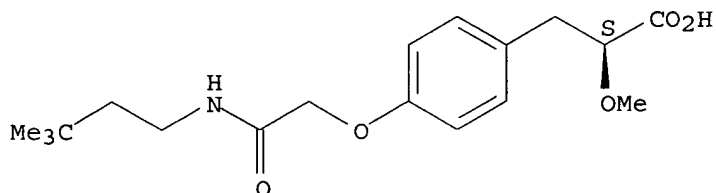
Absolute stereochemistry.



RN 638191-10-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(3,3-dimethylbutyl)amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

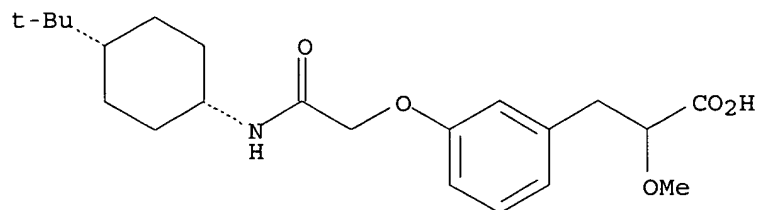
Absolute stereochemistry.



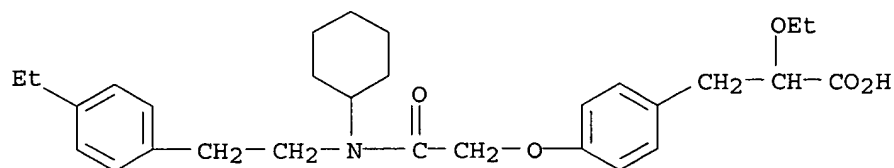
RN 638191-11-4 HCAPLUS

CN Benzenepropanoic acid, 3-[2-[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]- α -methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



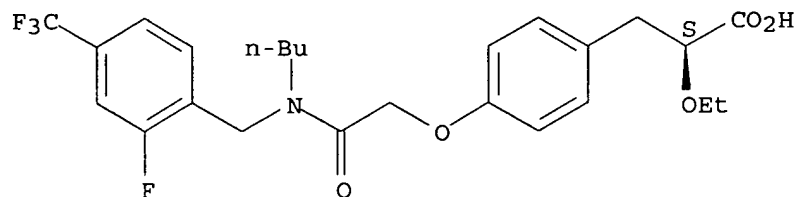
RN 638191-24-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[cyclohexyl[2-(4-ethylphenyl)ethyl]amino]-2-oxoethoxy]- α -ethoxy- (9CI) (CA INDEX NAME)

RN 719277-13-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[2-fluoro-4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

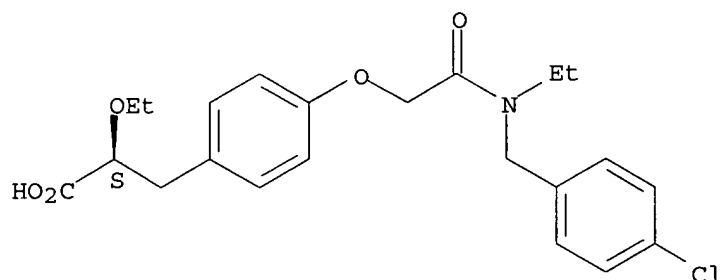
Absolute stereochemistry.



RN 719277-14-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl]methyl]ethylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

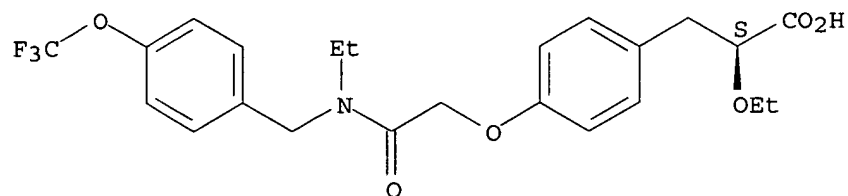
Absolute stereochemistry.



RN 719277-15-3 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[[4-(trifluoromethoxy)phenyl]methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

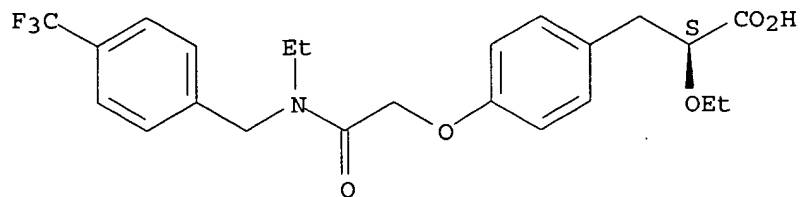
Absolute stereochemistry.



RN 719277-16-4 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

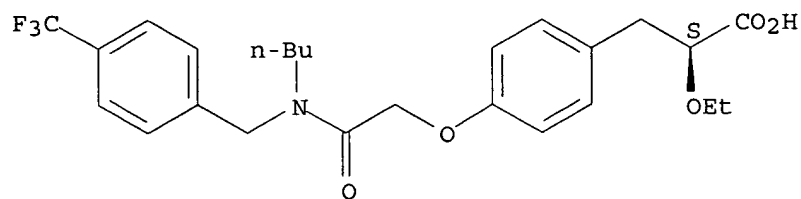
Absolute stereochemistry.



RN 719277-17-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

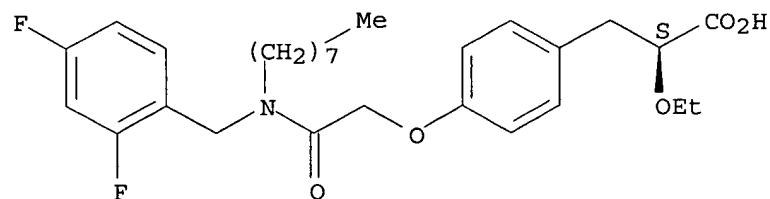
Absolute stereochemistry.



RN 816465-03-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2,4-difluorophenyl]methyl]octylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

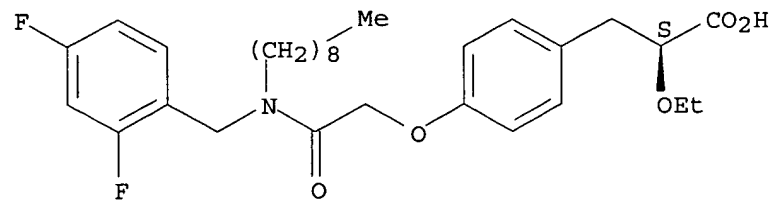
Absolute stereochemistry.



RN 816465-07-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2,4-difluorophenyl]methyl]nonylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

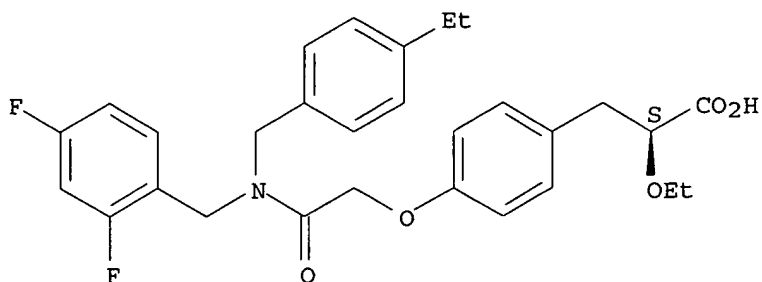


RN 816465-11-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2,4-difluorophenyl]methyl]decylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

ethylphenyl)methyl] amino]-2-oxoethoxy]- α -ethoxy-,
(α S)- (9CI) (CA INDEX NAME)

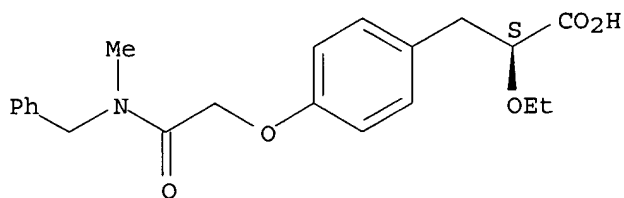
Absolute stereochemistry.



RN 816465-15-3 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[methyl(phenylmethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

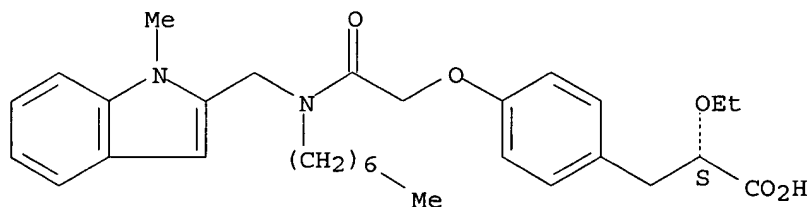
Absolute stereochemistry.



RN 816465-17-5 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[heptyl[(1-methyl-1H-indol-2-yl)methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

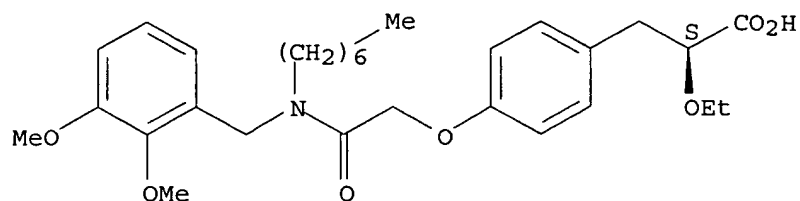
Absolute stereochemistry.



RN 816465-20-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[2,3-dimethoxyphenyl)methyl]heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

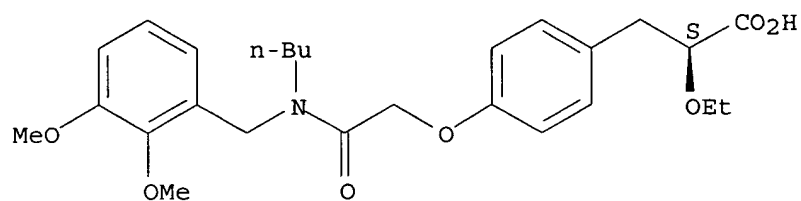
Absolute stereochemistry.



RN 816465-23-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[(2,3-dimethoxyphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-,
(α S) - (9CI) (CA INDEX NAME)

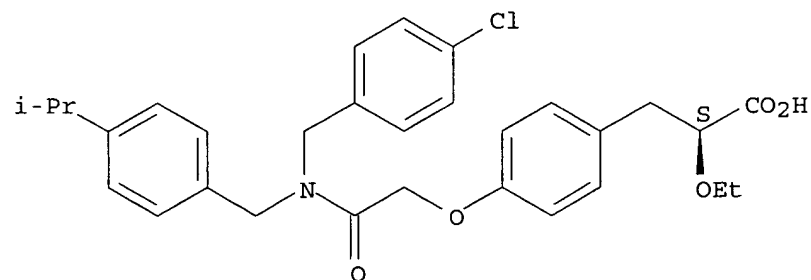
Absolute stereochemistry.



RN 816465-25-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][4-(1-methylethyl)phenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-,
(α S) - (9CI) (CA INDEX NAME)

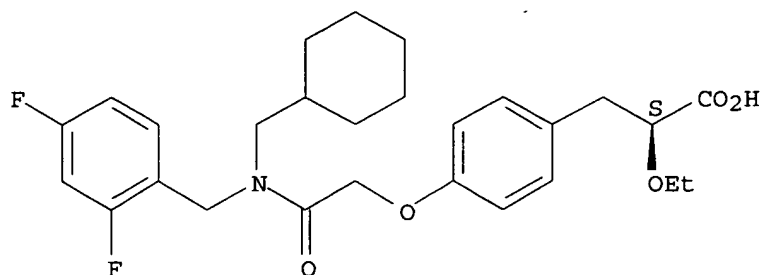
Absolute stereochemistry.



RN 816465-28-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(cyclohexylmethyl)[(2,4-difluorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-,
(α S) - (9CI) (CA INDEX NAME)

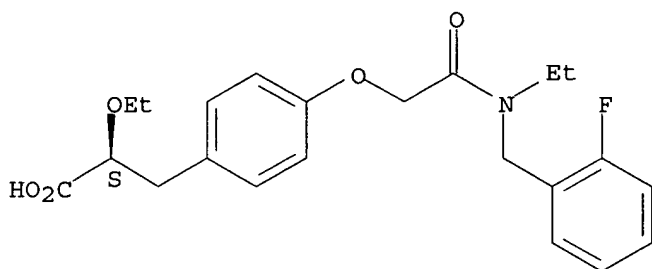
Absolute stereochemistry.



RN 816465-33-5 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

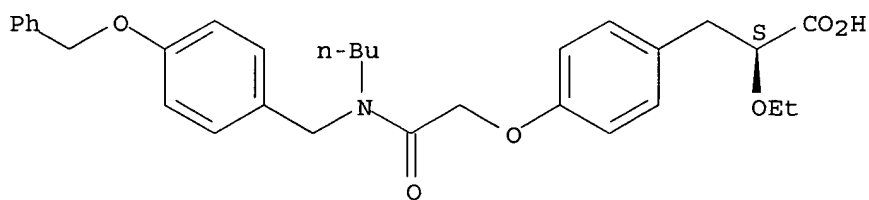
Absolute stereochemistry.



RN 816465-35-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(phenylmethoxy)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

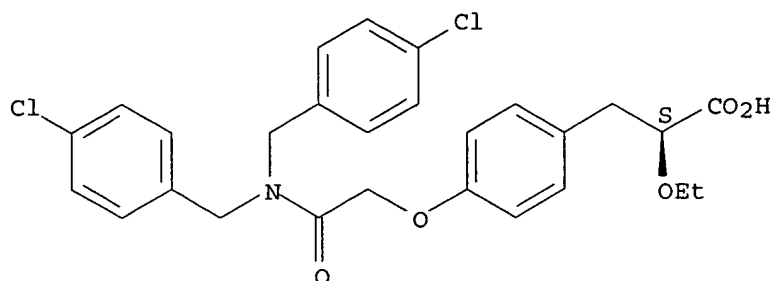
Absolute stereochemistry.



RN 816465-37-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis[(4-chlorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

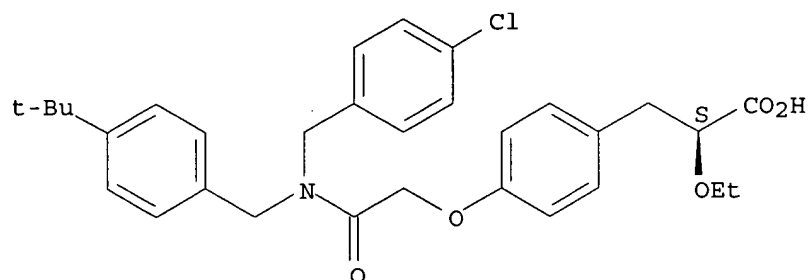
Absolute stereochemistry.



RN 816465-43-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][[4-(1,1-dimethylethyl)phenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

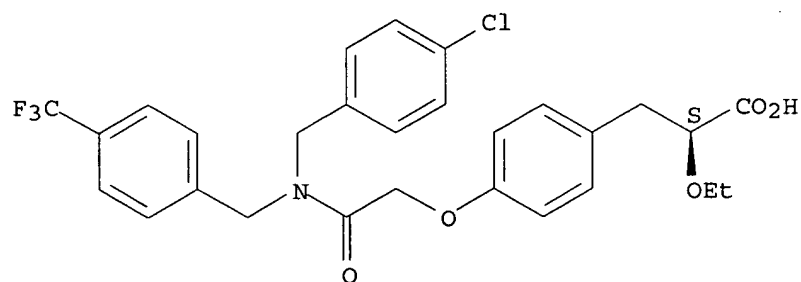
Absolute stereochemistry.



RN 816465-47-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][[4-(trifluoromethyl)phenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

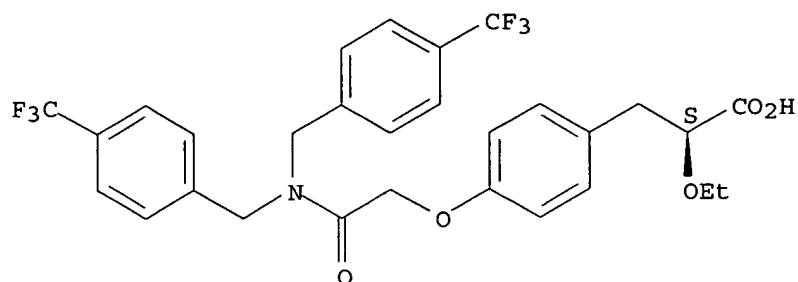
Absolute stereochemistry.



RN 816465-51-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-bis[[4-(trifluoromethyl)phenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

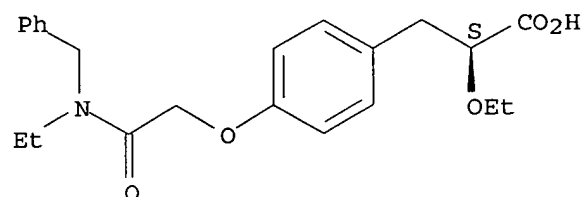
Absolute stereochemistry.



RN 816465-55-1 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[ethyl(phenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

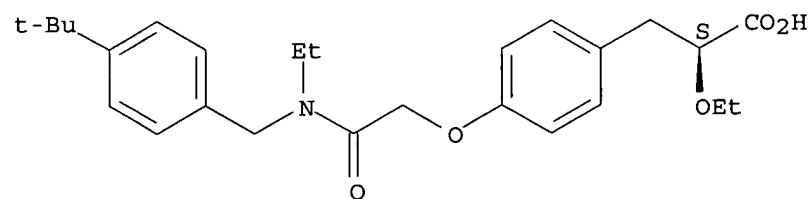
Absolute stereochemistry.



RN 816465-57-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]ethylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

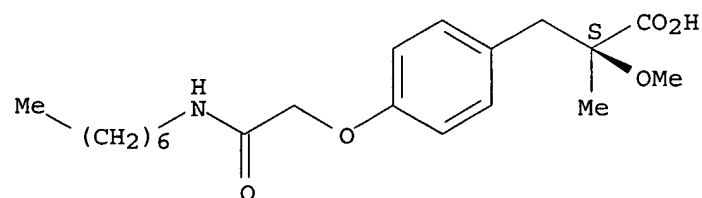
Absolute stereochemistry.



RN 816465-64-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(heptylamino)-2-oxoethoxy]-α-methoxy-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

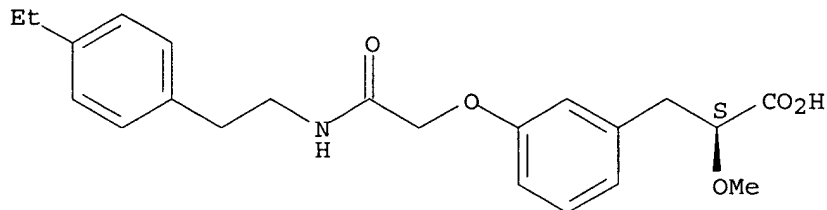
Absolute stereochemistry.



RN 816465-67-5 HCAPLUS

CN Benzenepropanoic acid, 3-[2-[[2-(4-ethylphenyl)ethyl]amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

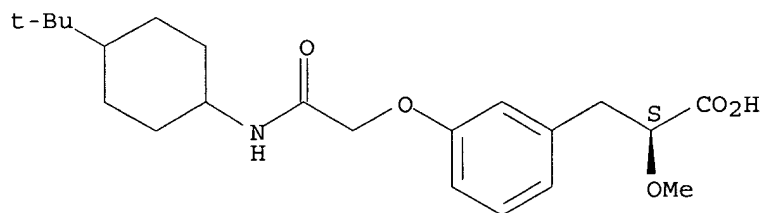
Absolute stereochemistry.



RN 817181-62-7 HCAPLUS

CN Benzenepropanoic acid, 3-[2-[[4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

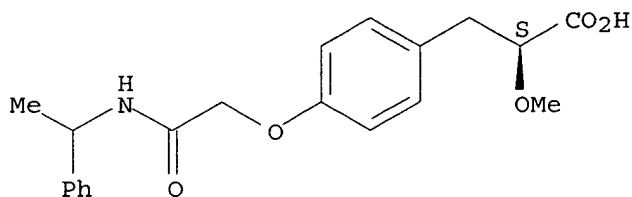
Absolute stereochemistry.



RN 871731-30-5 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-oxo-2-[(1-phenylethyl)amino]ethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-496

ICS A61K049-04; A61K031-44; A61K031-195

INCL 514255030; 514357000; 514567000; 544392000; 546335000; 562442000

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 27, 28

ST phenylalkanamide phenoxyalkanamide prepn modulator

peroxisome proliferator activated receptor;

phenoxyacetamide prepn modulator **peroxisome** proliferator

activated receptor; lipid disorder dyslipidemias metabolic

syndrome treatment phenoxyacetamide prepn

IT Metabolic disorders

(metabolic syndrome X; preparation of phenoxyacetamide derivs. as modulators of **peroxisome** proliferator-activated receptors for treating metabolic disorder)

IT Human

(preparation of phenoxyacetamide derivs. as modulators of **peroxisome** proliferator-activated receptors for treating metabolic disorder)

IT Dyslipidemia

Peroxisome proliferator-activated receptors

(preparation of phenoxyacetamide derivs. as modulators of **peroxisome** proliferator-activated receptors for treating metabolic disorder)

IT **Peroxisome** proliferator-activated receptors

(α ; preparation of phenoxyacetamide derivs. as modulators of **peroxisome** proliferator-activated receptors for treating metabolic disorder)

IT 114413-73-9P, N-Butyl-N-(2,3-dimethoxybenzyl)amine 500789-57-1P, N-Butyl-2,3-dimethoxybenzamide 549501-67-9P, Ethyl (2S)-3-[4-[2-(benzyloxy)-2-oxoethoxy]phenyl]-2-ethoxypropanoate 549501-68-0P, [4-((2S)-2,3-Diethoxy-3-oxopropyl)phenoxy]acetic acid 549501-69-1P, N-(Cyclohexylmethyl)heptanamide 549501-70-4P, N-(Cyclohexylmethyl)-N-heptylamine hydrochloride 549501-71-5P, Ethyl (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 549501-73-7P, N-(2,4-Difluorobenzyl)heptanamide 549501-74-8P, N-(2,4-Difluorobenzyl)heptylamine hydrochloride 549501-75-9P, Ethyl (2S)-3-[4-[2-[(2,4-difluorobenzyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 549532-34-5P, Ethyl (2S)-3-[4-[2-[benzyl(hexyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 549532-36-7P, Ethyl (2S)-2-ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]phenyl]propanoate 637015-19-1P, N-(2,3-Dimethoxybenzyl)-N-heptylamine 719277-18-6P, N-Butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine 719277-19-7P, Ethyl (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 719277-20-0P, Ethyl (2S)-3-[4-[2-[(4-chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 719277-21-1P, N-[4-(Trifluoromethoxy)benzyl]acetamide 719277-22-2P, N-Ethyl-N-[4-(Trifluoromethoxy)benzyl]amine 719277-23-3P, 719277-24-4P, Ethyl (2S)-2-ethoxy-3-[4-[2-[ethyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]propanoate 765303-27-3P, Ethyl (2S)-3-[4-[2-[butyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-04-0P, N-(2,4-Difluorobenzyl)octanamide 816465-05-1P, N-(2,4-Difluorobenzyl)octylamine hydrochloride 816465-06-2P, Ethyl (2S)-3-[4-[2-[(2,4-difluorobenzyl)(octyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-08-4P, N-(2,4-Difluorobenzyl)nonanamide 816465-09-5P, N-(2,4-Difluorobenzyl)nonylamine hydrochloride 816465-10-8P, Ethyl (2S)-3-[4-[2-[(2,4-difluorobenzyl)(nonyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-12-0P, N-(2,4-Difluorobenzyl)-4-ethylbenzamide 816465-13-1P, N-(2,4-Difluorobenzyl)-N-(4-ethylbenzyl)amine 816465-14-2P, Ethyl (2S)-3-[4-[2-[(2,4-difluorobenzyl)(4-ethylbenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-16-4P, Ethyl (2S)-3-[4-[2-[benzyl(methyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-18-6P, N-Heptyl-N-[(1-methylindol-2-yl)methyl]amine 816465-19-7P, Ethyl (2S)-2-ethoxy-3-[4-[2-[heptyl[(1-methylindol-2-yl)methyl]amino]-2-oxoethoxy]phenyl]propanoate 816465-21-1P,

N-Heptyl-2,3-dimethoxybenzamide 816465-22-2P, Ethyl (2S)-3-[4-[2-[(2,3-dimethoxybenzyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-24-4P, Ethyl (2S)-3-[4-[2-[butyl(2,3-dimethoxybenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-26-6P, N-(4-Chlorobenzyl)-N-(4-isopropylbenzyl)amine 816465-27-7P, Ethyl (2S)-3-[4-[2-[(4-chlorobenzyl)(4-isopropylbenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-29-9P, N-(Cyclohexylmethyl)-N-(2,4-difluorobenzyl)amine 816465-31-3P, Ethyl (2S)-3-[4-[2-[(cyclohexylmethyl)(2,4-difluorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-34-6P, Ethyl (2S)-2-ethoxy-3-[4-[2-[ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]propanoate 816465-36-8P, Ethyl (2S)-3-[4-[2-[[4-(benzyloxy)benzyl](butyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-39-1P, Ethyl (2S)-3-[4-[2-[bis(4-chlorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-45-9P, N-(4-tert-Butylbenzyl)-N-(4-chlorobenzyl)amine 816465-46-0P, Ethyl (2S)-3-[4-[2-[(4-tert-butylbenzyl)(4-chlorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-49-3P, Ethyl (2S)-3-[4-[2-[(4-chlorobenzyl)(4-(trifluoromethyl)benzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-52-8P, Ethyl (2S)-3-[4-[2-[bis(4-(trifluoromethyl)benzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-56-2P, Ethyl (2S)-3-[4-[2-[benzyl(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 816465-58-4P, Ethyl (2S)-3-[4-[2-[(4-tert-butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate (intermediate; preparation of phenoxyacetamide derivs. as modulators of peroxisome proliferator-activated receptors for treating metabolic disorder)

IT 549501-66-8P, (2S)-3-[4-[2-[(Cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 549501-72-6P, (2S)-3-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 549532-33-4P, (2S)-3-[4-[2-[Benzyl(hexyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 549532-35-6P, (2S)-2-Ethoxy-3-[4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]phenyl]propanoic acid 638189-57-8P 638189-90-9P 638189-91-0P 638189-93-2P 638189-94-3P, (2S)-4-[2-[4-(4-Fluorobenzoyl)-1-piperidinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638189-95-4P, (2S)-4-[2-[4-(4-Chlorobenzoyl)-1-piperidinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638189-96-5P 638189-97-6P 638189-98-7P 638189-99-8P 638190-00-8P, (2S)-4-[2-[(3,3-Diphenylpropyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-01-9P, (2S)-4-[2-[(3-Ethoxy-3-oxopropyl)(phenylmethyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-02-0P 638190-03-1P, (2S)- α -Methoxy-4-[2-[[2-(4-methoxyphenoxy)ethyl]amino]-2-oxoethoxy]benzenepropanoic acid 638190-04-2P 638190-05-3P 638190-08-6P 638190-32-6P 638190-61-1P 638190-62-2P 638190-63-3P 638190-65-5P 638190-67-7P 638190-69-9P, (2S)-4-[2-[[1,1'-Biphenyl-4-yl)methyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-70-2P, (2S)- α -Methoxy-4-[2-[methyl[(1-naphthalenyl)methyl]amino]-2-oxoethoxy]benzenepropanoic acid 638190-71-3P, (2S)-4-[2-[4-(Diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-72-4P, (2S)-4-[2-[4-[Bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid

638190-73-5P 638190-74-6P, (2S)-4-[2-(3,4-Dihydro-2(1H)-isoquinoliny)]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-75-7P 638190-76-8P, (2S)-4-[2-[4-(4-Fluorophenyl)]-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-77-9P 638190-78-0P, (2S)-4-[2-[4-(3-Chlorophenyl)]-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-79-1P, (2S)-4-[2-[4-[(4-Chlorophenyl)methyl]-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-81-5P, (2S)-4-[2-[(1,3-Benzodioxol-5-ylmethyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-82-6P, (2S)-4-[2-[[2-(4-Bromophenyl)ethyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-83-7P, (2S)- α -Methoxy-4-[2-[[1-naphthalenyl)methyl]amino]-2-oxoethoxy]benzenepropanoic acid
638190-84-8P, (2S)-4-[2-[[2-[(2,6-Dichlorophenyl)methyl]thio]ethyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-85-9P
638190-86-0P, (2S)-4-[2-[4-(4-Acetylphenyl)]-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-87-1P
638190-88-2P, (2S)-4-[2-[Ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-89-3P,
(2S)-4-[2-[Ethyl[(3-methylphenyl)methyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-90-6P,
(2S)-4-[2-[4-[(4-Fluorophenyl)methyl]-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-92-8P,
(2S)-4-[2-[[2-[Ethyl(3-methylphenyl)amino]ethyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid
638190-93-9P, (2S)- α -Methoxy-4-[2-oxo-2-[[2-(2-pyridinyl)ethyl]amino]ethoxy]benzenepropanoic acid
638190-94-0P, (2S)- α -Methoxy-4-[2-oxo-2-[[2-(3-pyridinyl)ethyl]amino]ethoxy]benzenepropanoic acid
638190-95-1P 638190-96-2P 638190-97-3P
, (2S)-4-[2-(Cyclobutylamino)-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638190-98-4P
638190-99-5P 638191-00-1P 638191-01-2P
, (2S)- α -Methoxy-4-[2-[(3-methylbutyl)amino]-2-oxoethoxy]benzenepropanoic acid 638191-02-3P,
(2S)-4-[2-(Cyclopentylamino)-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638191-03-4P
638191-04-5P, (2S)-4-[2-[(2,2,3,3,4,4,4-Heptafluorobutyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638191-08-9P,
(2S)-4-[2-(Hexylamino)-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638191-09-0P,
(2S)-4-[2-(Heptylamino)-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638191-10-3P,
(2S)-4-[2-[(3,3-Dimethylbutyl)amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid 638191-11-4P
638191-24-9P, 4-[2-[Cyclohexyl[2-(4-ethylphenyl)ethyl]amino]-2-oxoethoxy]- α -ethoxybenzenepropanoic acid 719277-13-1P,
(2S)-3-[4-[2-[Butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 719277-14-2P,
(2S)-3-[4-[2-[(4-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 719277-15-3P, (2S)-2-Ethoxy-3-[4-[2-[ethyl[4-(trifluoromethoxy)benzyl]amino]-2-oxoethoxy]phenyl]propanoic acid 719277-16-4P,
(2S)-2-Ethoxy-3-[4-[2-[ethyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]propanoic acid 719277-17-5P,

(2S)-3-[4-[2-[Butyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-03-9P**,
 (2S)-3-[4-[2-[(2,4-Difluorobenzyl)(octyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-07-3P**,
 (2S)-3-[4-[2-[(2,4-Difluorobenzyl)(nonyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-11-9P**,
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 (2S)-3-[4-[2-[Benzyl(methyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-17-5P**, (2S)-2-Ethoxy-3-[4-[2-[heptyl[(1-methylindol-2-yl)methyl]amino]-2-oxoethoxy]phenyl]propanoic acid **816465-20-0P**,
 (2S)-3-[4-[2-[(2,3-Dimethoxybenzyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-23-3P**,
 (2S)-3-[4-[2-[Butyl(2,3-dimethoxybenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-25-5P**,
 (2S)-3-[4-[2-[(4-Chlorobenzyl)(4-isopropylbenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-28-8P**,
 (2S)-3-[4-[2-[(Cyclohexylmethyl)(2,4-difluorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-33-5P**,
 (2S)-2-Ethoxy-3-[4-[2-[ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]propanoic acid **816465-35-7P**,
 (2S)-3-[4-[2-[[4-(Benzyloxy)benzyl](butyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-37-9P**,
 (2S)-3-[4-[2-[Bis(4-Chlorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-43-7P**, (2S)-3-[4-[2-[(4-tert-Butylbenzyl)(4-chlorobenzyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-47-1P**, (2S)-3-[4-[2-[(4-Chlorobenzyl)[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-51-7P**,
 (2S)-3-[4-[2-[Bis[4-(Trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-55-1P**,
 (2S)-3-[4-[2-[Benzyl(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-57-3P**, (2S)-3-[4-[2-[(4-tert-Butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid **816465-64-2P**, (2S)-4-[2-(Heptylamino)-2-oxoethoxy]- α -methoxy- α -methylbenzenepropanoic acid **816465-65-3P**, 4-[2-[4-(2-Fluorophenyl)-1-piperazinyl]-2-oxoethoxy]- α -methoxybenzenepropanoic acid **816465-67-5P**,
 (2S)-3-[2-[2-(4-Ethylphenyl)ethyl]amino]-2-oxoethoxy]- α -methoxybenzenepropanoic acid **817181-62-7P**
871731-30-5P

(preparation of phenoxyacetamide derivs. as modulators of
peroxisome proliferator-activated receptors for
 treating metabolic disorder)

IT 103-67-3, N-Methylbenzylamine 104-86-9, 4-Chlorobenzylamine
 109-73-9, n-Butylamine, reactions 111-14-8, Heptanoic acid
 111-68-2, Heptylamine 112-05-0, Nonanoic acid 122-03-2,
 4-Isopropylbenzaldehyde 124-07-2, Octanoic acid, reactions
 619-64-7, 4-Ethylbenzoic acid 939-97-9, 4-tert-Butylbenzaldehyde
 1521-38-6, 2,3-Dimethoxybenzoic acid 2043-61-0,
 Cyclohexanecarboxaldehyde 3218-02-8, Aminomethylcyclohexane
 5437-45-6, Benzyl bromoacetate 14321-27-8, N-Benzyl-N-ethylamine
 21913-13-3, N,N-Bis(4-chlorobenzyl)amine 24997-83-9,
 N-Hexyl-2-phenylethylamine 25468-44-4, N-Hexylbenzylamine
 27421-51-8, 1-Methylindole-2-carboxaldehyde 64567-25-5,
 N-Ethyl-N-(2-fluorobenzyl)amine 66741-82-0, N-[4-(Benzyloxy)benzyl]-N-butylamine 69957-83-1, N-(4-Chlorobenzyl)-N-ethylamine 72235-52-0, 2,4-Difluorobenzylamine 89763-93-9,
 2-Fluoro-4-(trifluoromethyl)benzaldehyde 90390-12-8,

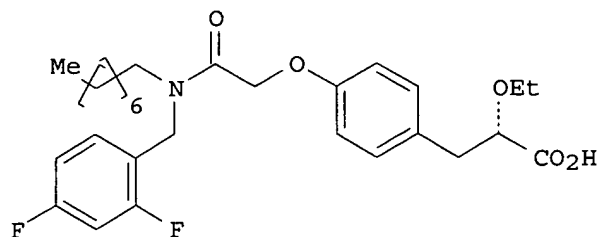
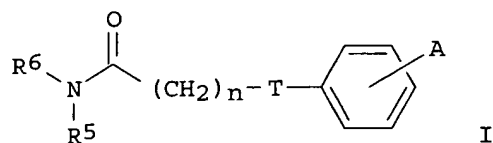
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 N,N-Bis[4-(trifluoromethyl)benzyl]amine 152821-50-6,
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 N-(4-Chlorobenzyl)-N-[4-(trifluoromethyl)benzyl]amine
 222555-06-8, Ethyl (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate
 (reactant; preparation of phenoxycetamide derivs. as modulators of
peroxisome proliferator-activated receptors for
 treating metabolic disorder)

L32 ANSWER 2 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:1154649 HCAPLUS
 DOCUMENT NUMBER: 142:93514
 TITLE: Preparation of phenylpropanoic acid
 derivatives as PPAR α agonists
 INVENTOR(S): Li, Lanna; Lindstedt-Alstermark, Eva-Lotte;
 Olsson, Christina
 PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
 SOURCE: PCT Int. Appl., 100 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113270	A2	20041229	WO 2004-EP6597	2004 0617
WO 2004113270	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2528234	AA	20041229	CA 2004-2528234	2004 0617
US 2005148656	A1	20050707	US 2003-518777	2004 0617
EP 1675820	A2	20060705	EP 2004-740044	2004 0617
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EP 1676833	A1	20060705	EP 2006-5766	
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PRIORITY APPLN. INFO.:			GB 2003-14079	A
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			WO 2002-GB5744	A
				2002 1218
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			GB 2002-29931	A
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			WO 2003-GB305602	A
				2003 1219
			EP 2004-740044	A3
				2004 0617
			WO 2004-EP6597	W
				2004 0617
			US 2005-499261	A2
				2005 0304

OTHER SOURCE(S): MARPAT 142:93514
GI



AB Title compds. represented by the formula I [wherein A = CR₃(R₄)CR₁(R₂)COR or C(R₃):C(R₁)COR; R = H, alkoxy, (alkyl)aryloxy, amino, etc.; R₁ = alkyl, aryl, alkenyl, alkynyl, etc.; R₂ = H, halo, alkyl, (alkyl)aryl; R₃, R₄ = independently H, alkyl, (alkyl)aryl; T = O, S or a single bond; n = 1-4; R₅, R₆ = independently selected substituent comprising C, H, N, O, S, Se, P or halo; with provisos; optical isomers and racemates thereof as well as pharmaceutically acceptable salts, prodrugs, solvates and crystalline forms thereof] were prepared as PPAR α agonists. For example, II was given in a multi-step synthesis starting from the reaction of 2,4-difluorobenzylamine with octanoic acid. I had EC₅₀ values of less than 0.1 μ mol/L for PPAR α and showed the ration of the EC₅₀(PPAR γ) with EC₅₀(PPAR α) is greater than 150:1. Thus, I and their pharmaceutical compns. are useful for the treatment of clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance (no data).

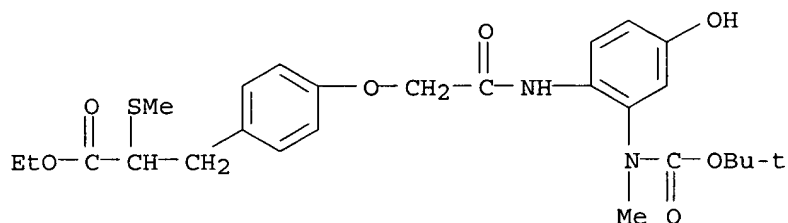
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0001 02 01 02/02 01 01 000000 00 00
(preparation of phenylpropanoic acid derivs. as PPARα
agonists)

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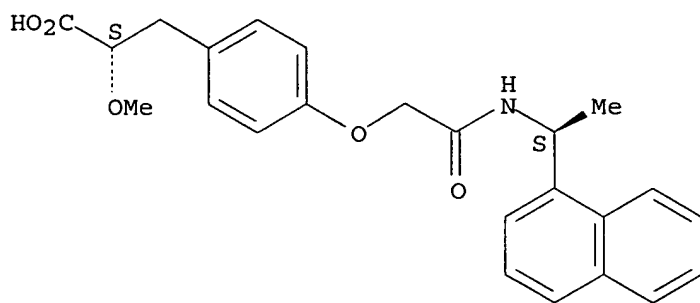
CN Benzenepropanoic acid, 4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-hydroxyphenyl]amino]-2-oxoethoxy]- α -(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



CN Benzenepropanoic acid, 4-[2-[ethyl[2-(4-methoxyphenyl)-1-methylethyl]amino]-2-oxoethoxy]- α -methoxy-, (α S)-
(9CI) (CA INDEX NAME)

COc1ccc(cc1)CC(C)N(C(=O)COc2ccc(cc2)C[C@H](C(=O)O)OC)C

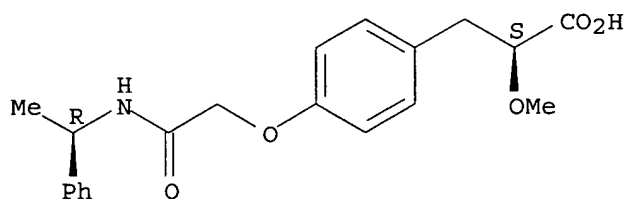
CN Benzenepropanoic acid, α -methoxy-4-[2-[[$(1S)$ -1-(1-naphthalenyl)ethyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)



RN 638189-92-1 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[[(1R)-1-phenylethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

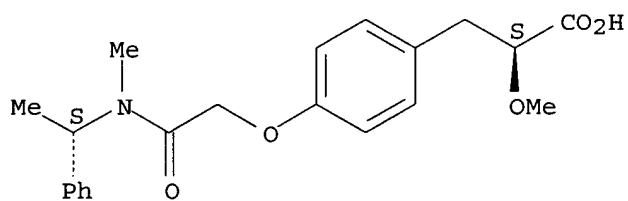
Absolute stereochemistry.



RN 638189-93-2 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[methyl[(1S)-1-phenylethyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

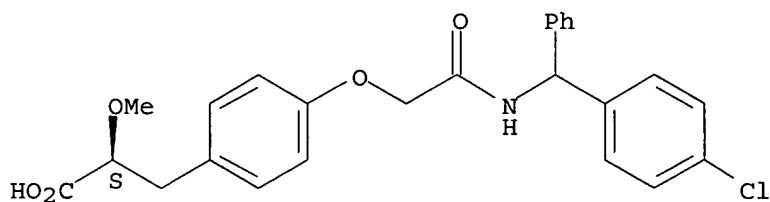
Absolute stereochemistry.



RN 638189-98-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)phenylmethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

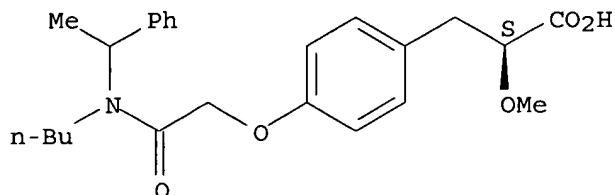
Absolute stereochemistry.



RN 638189-99-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl(1-phenylethyl)amino]-2-oxoethoxy]- α -methoxy-, (α S) - (9CI) (CA INDEX NAME)

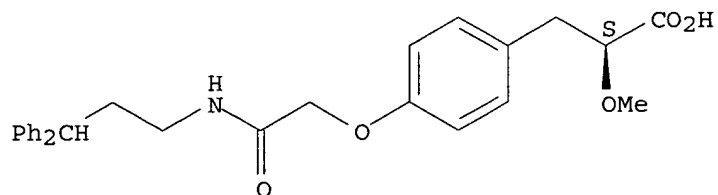
Absolute stereochemistry.



RN 638190-00-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(3,3-diphenylpropyl)amino]-2-oxoethoxy]- α -methoxy-, (α S) - (9CI) (CA INDEX NAME)

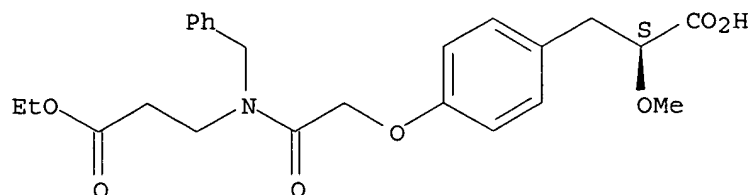
Absolute stereochemistry.



RN 638190-01-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(3-ethoxy-3-oxopropyl)(phenylmethyl)amino]-2-oxoethoxy]- α -methoxy-, (α S) - (9CI) (CA INDEX NAME)

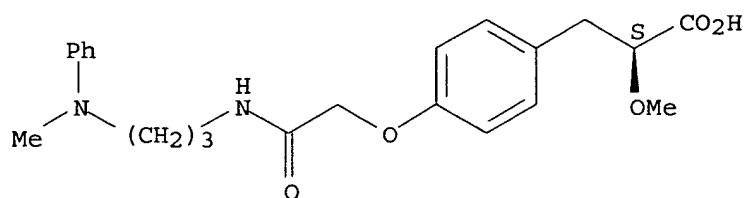
Absolute stereochemistry.



RN 638190-02-0 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[[3-(methylphenylamino)propyl]amino]-2-oxoethoxy]-, (α S) - (9CI) (CA INDEX NAME)

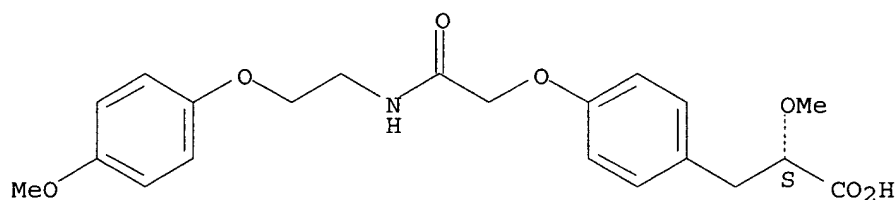
Absolute stereochemistry.



RN 638190-03-1 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[[2-(4-methoxyphenoxy)ethyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

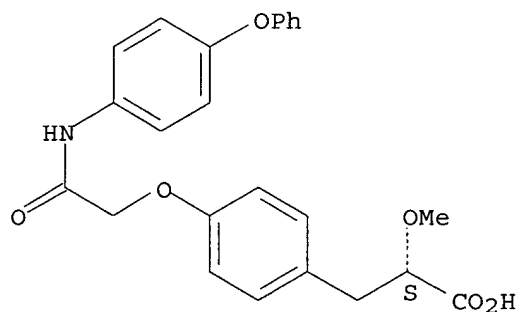
Absolute stereochemistry.



RN 638190-04-2 HCAPLUS

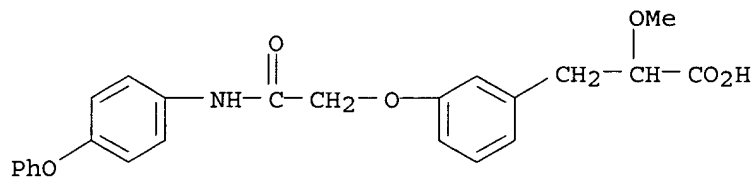
CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[(4-phenoxyphenyl)amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638190-05-3 HCAPLUS

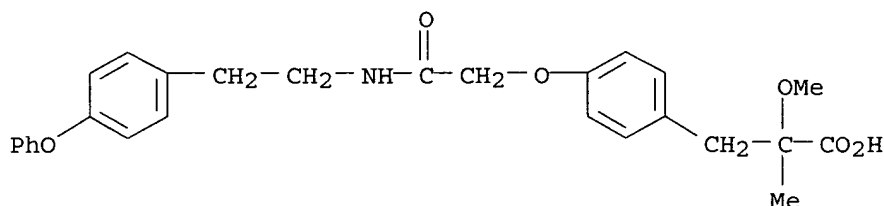
CN Benzenepropanoic acid, α-methoxy-3-[2-oxo-2-[(4-phenoxyphenyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



RN 638190-08-6 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-α-methyl-4-[2-oxo-2-

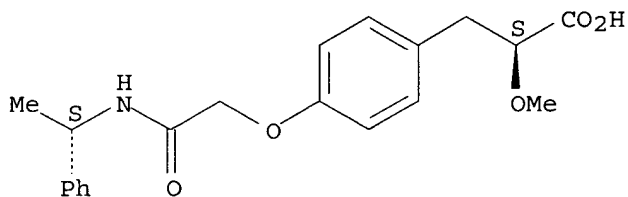
[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy] - (9CI) (CA INDEX NAME)



RN 638190-32-6 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

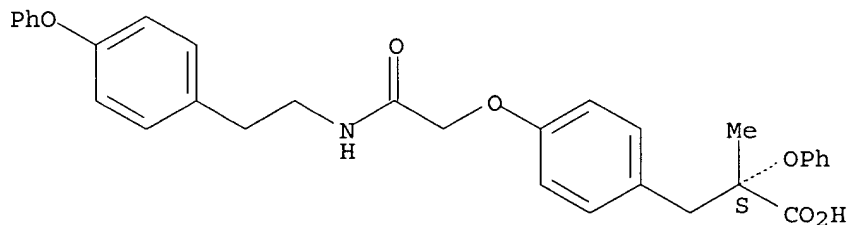
Absolute stereochemistry.



RN 638190-61-1 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

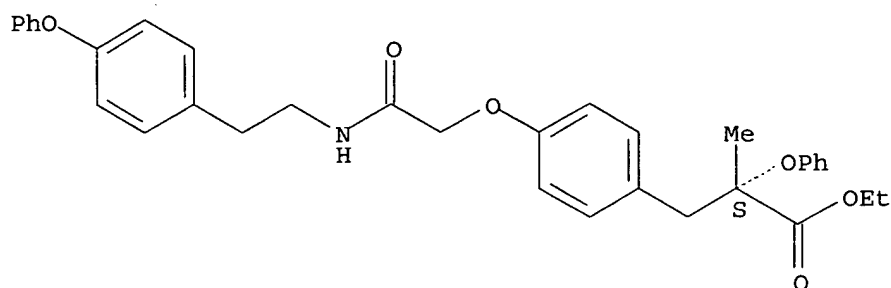
Absolute stereochemistry.



RN 638190-62-2 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-phenoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

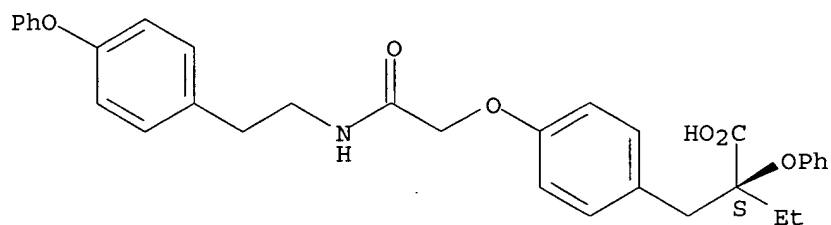
Absolute stereochemistry.



RN 638190-63-3 HCAPLUS

CN Benzenepropanoic acid, α-ethyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-phenoxy-, (αS)-(9CI) (CA INDEX NAME)

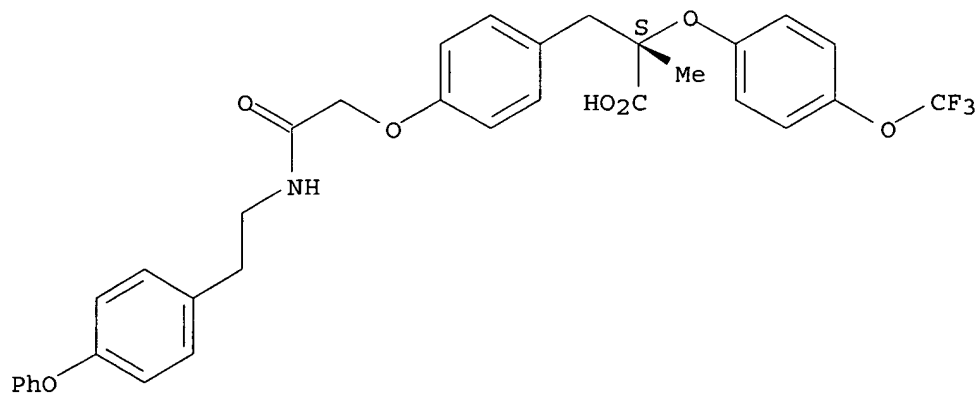
Absolute stereochemistry.



RN 638190-65-5 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-α-[4-(trifluoromethoxy)phenoxy]-, (αS)-(9CI) (CA INDEX NAME)

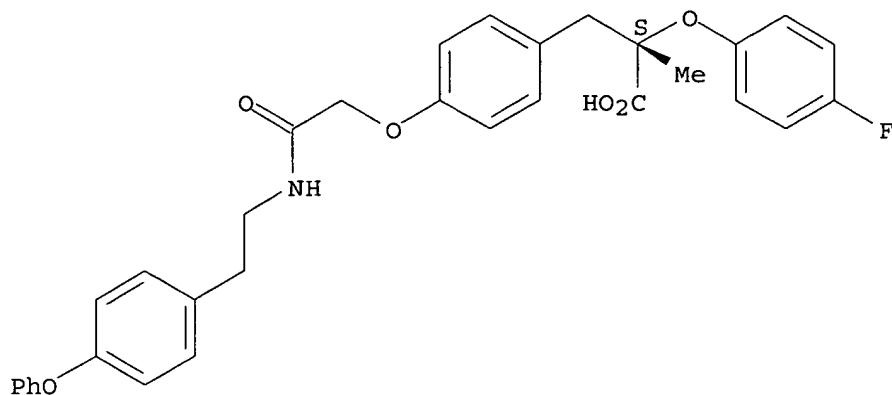
Absolute stereochemistry.



RN 638190-67-7 HCAPLUS

CN Benzenepropanoic acid, α-(4-fluorophenoxy)-α-methyl-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-, (αS)-(9CI) (CA INDEX NAME)

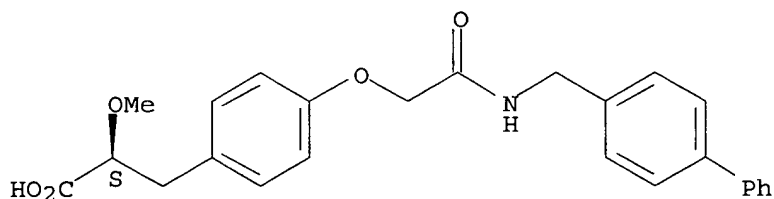
Absolute stereochemistry.



RN 638190-69-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[1,1'-biphenyl]-4-ylmethyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

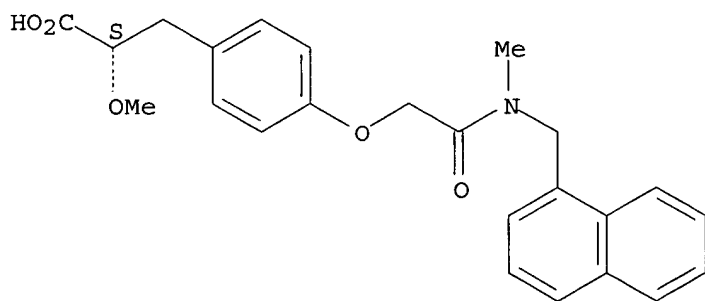
Absolute stereochemistry.



RN 638190-70-2 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[methyl(1-naphthalenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

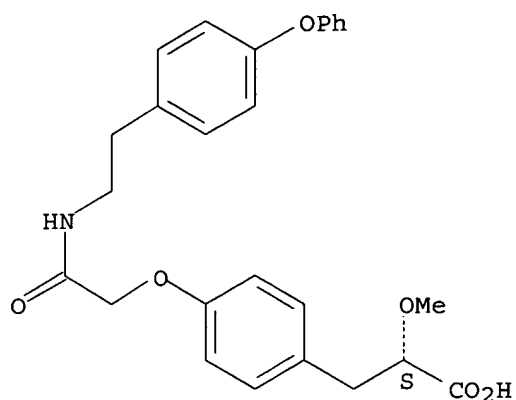
Absolute stereochemistry.



RN 638190-73-5 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(4-phenoxyphenyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

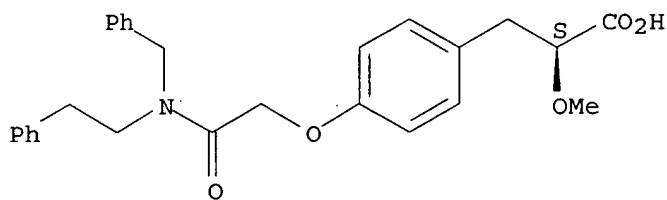
Absolute stereochemistry.



RN 638190-75-7 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[(2-phenylethyl)(phenylmethyl)amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

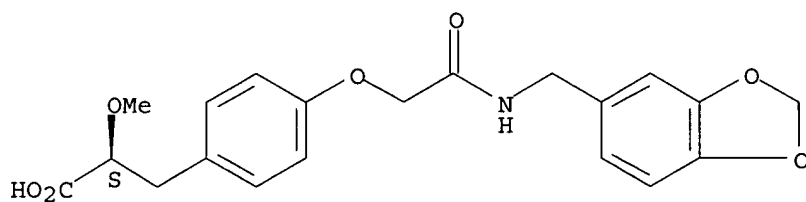
Absolute stereochemistry.



RN 638190-81-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(1,3-benzodioxol-5-ylmethyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

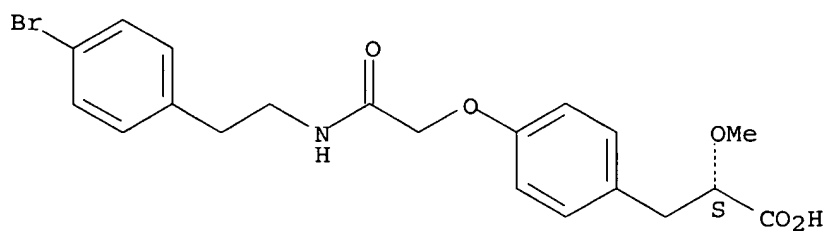
Absolute stereochemistry.



RN 638190-82-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-(4-bromophenyl)ethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

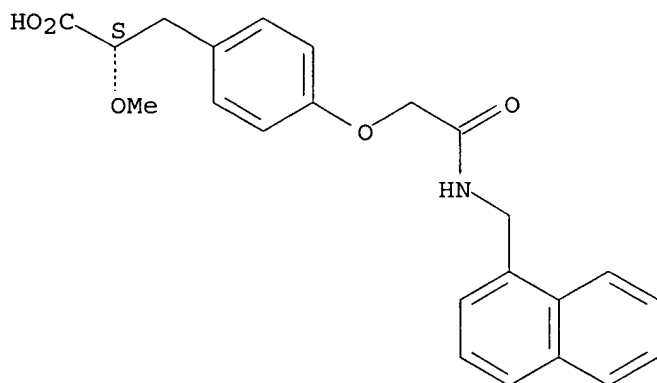
Absolute stereochemistry.



RN 638190-83-7 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[(1-naphthalenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

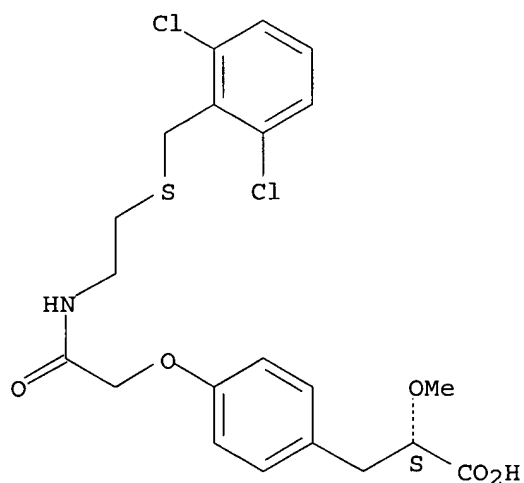
Absolute stereochemistry.



RN 638190-84-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[[[2,6-dichlorophenyl)methyl]thio]ethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

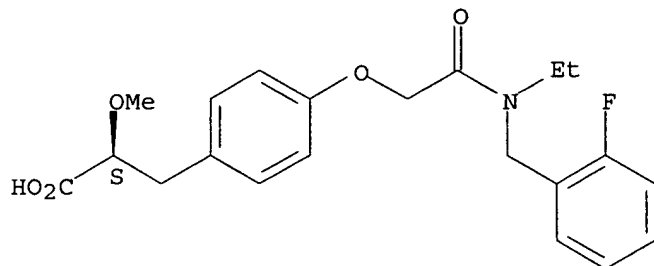
Absolute stereochemistry.



RN 638190-88-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]- α -methoxy-, (α S) - (9CI) (CA INDEX NAME)

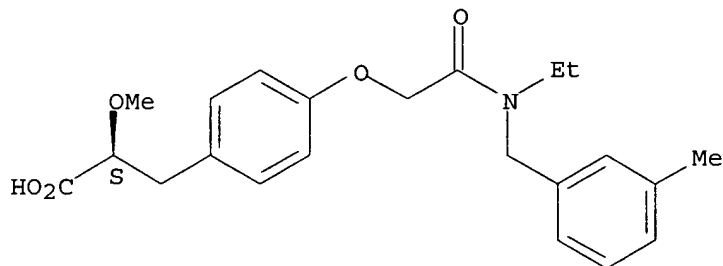
Absolute stereochemistry.



RN 638190-89-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[ethyl[(3-methylphenyl)methyl]amino]-2-oxoethoxy]- α -methoxy-, (α S) - (9CI) (CA INDEX NAME)

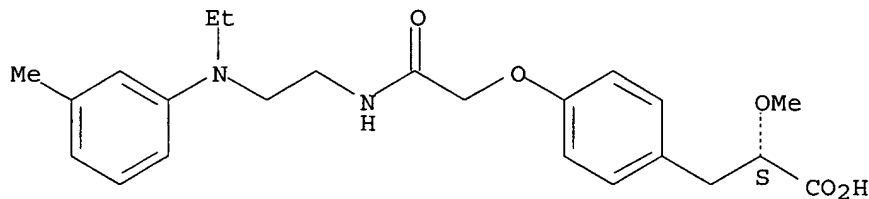
Absolute stereochemistry.



RN 638190-92-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[ethyl(3-methylphenyl)amino]ethyl]amino]-2-oxoethoxy]- α -methoxy-, (α S) - (9CI) (CA INDEX NAME)

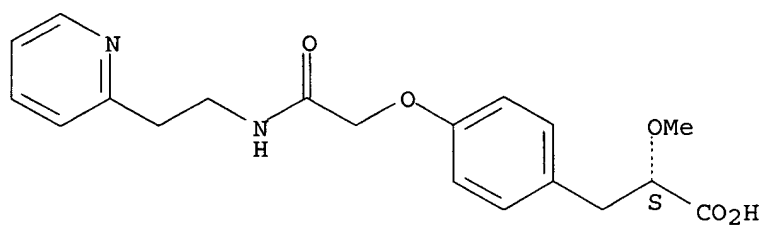
Absolute stereochemistry.



RN 638190-93-9 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-oxo-2-[[2-(2-pyridinyl)ethyl]amino]ethoxy]-, (α S) - (9CI) (CA INDEX NAME)

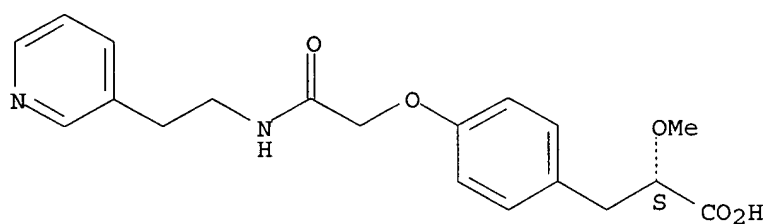
Absolute stereochemistry.



RN 638190-94-0 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[2-(3-pyridinyl)ethyl]amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

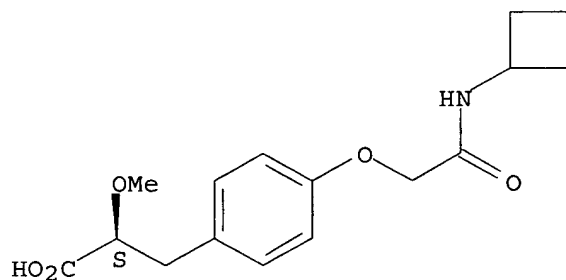
Absolute stereochemistry.



RN 638190-97-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(cyclobutylamino)-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

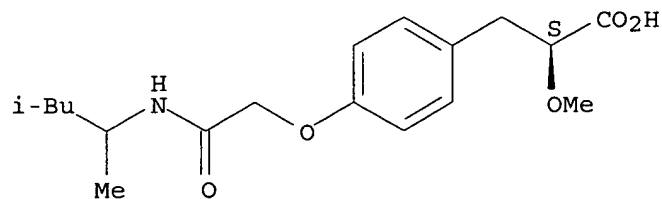
Absolute stereochemistry.



RN 638190-98-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(1,3-dimethylbutyl)amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

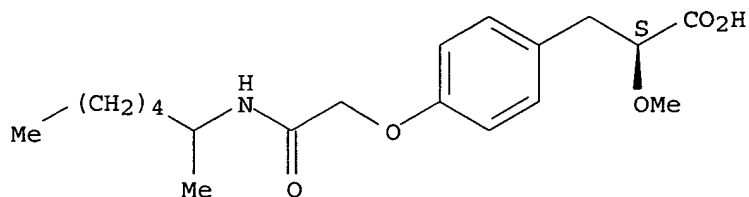
Absolute stereochemistry.



RN 638190-99-5 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[(1-methylhexyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

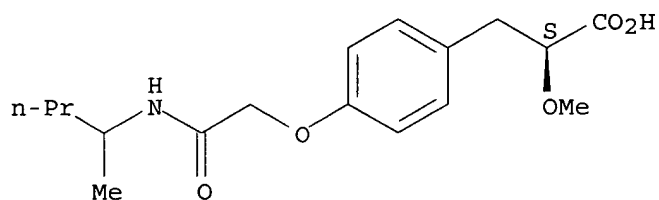
Absolute stereochemistry.



RN 638191-00-1 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[(1-methylbutyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

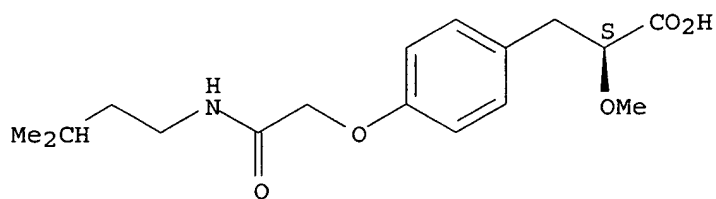
Absolute stereochemistry.



RN 638191-01-2 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[(3-methylbutyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

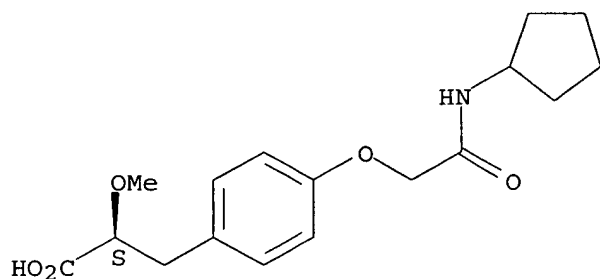
Absolute stereochemistry.



RN 638191-02-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(cyclopentylamino)-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

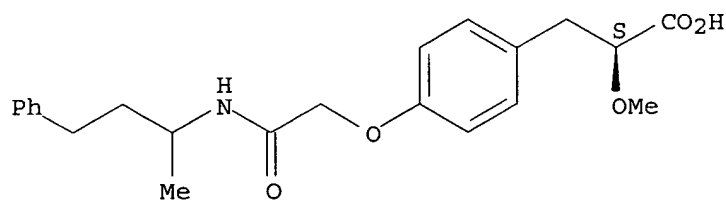
Absolute stereochemistry.



RN 638191-03-4 HCAPLUS

CN Benzenepropanoic acid, α -methoxy-4-[2-[(1-methyl-3-phenylpropyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

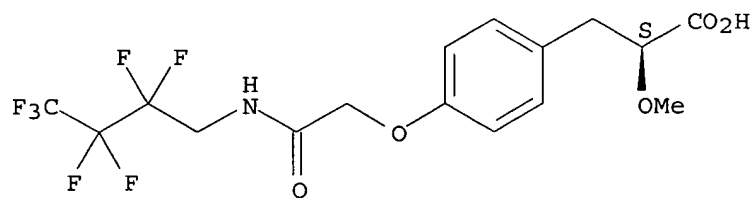
Absolute stereochemistry.



RN 638191-04-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(2,2,3,3,4,4,4-heptafluorobutyl)amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

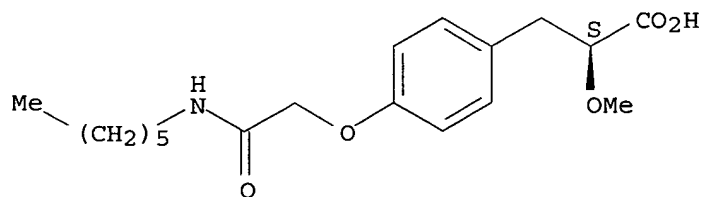
Absolute stereochemistry.



RN 638191-08-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(hexylamino)-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

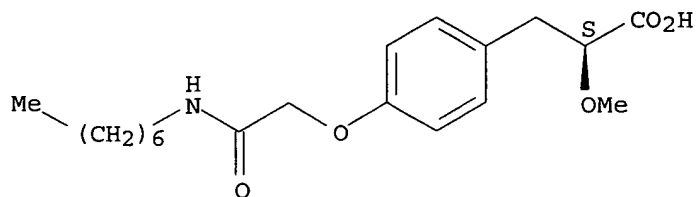
Absolute stereochemistry.



RN 638191-09-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(heptylamino)-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

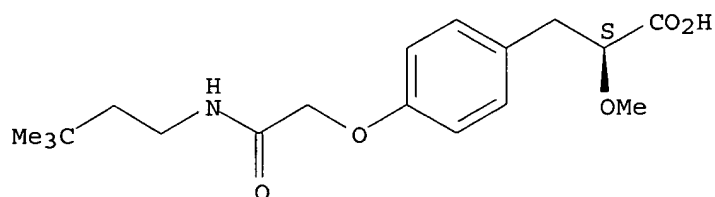
Absolute stereochemistry.



RN 638191-10-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(3,3-dimethylbutyl)amino]-2-oxoethoxy]- α -methoxy-, (α S)- (9CI) (CA INDEX NAME)

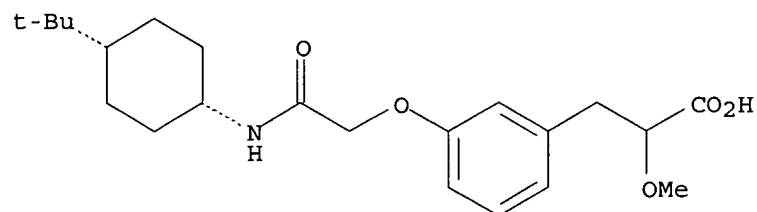
Absolute stereochemistry.



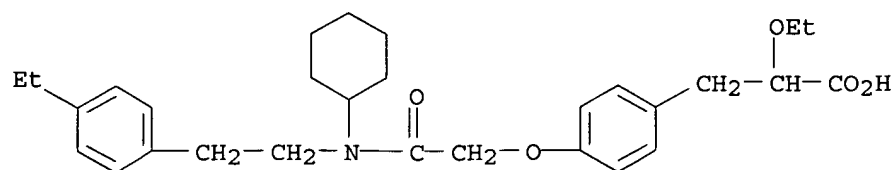
RN 638191-11-4 HCAPLUS

CN Benzenepropanoic acid, 3-[2-[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]- α -methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



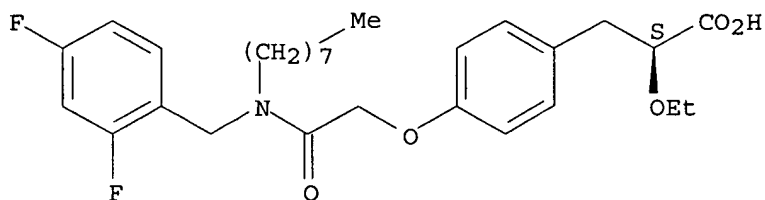
RN 638191-24-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[cyclohexyl[2-(4-ethylphenyl)ethyl]amino]-2-oxoethoxy]- α -ethoxy- (9CI) (CA INDEX NAME)

RN 816465-03-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl]octylamin
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NAME)

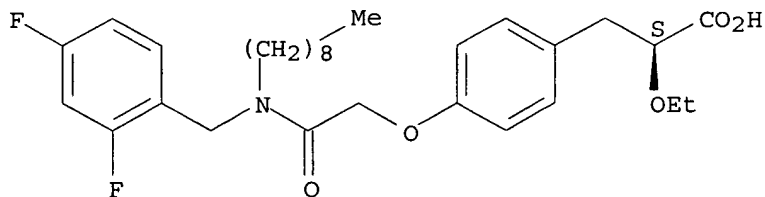
Absolute stereochemistry.



RN 816465-07-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl]nonylamin
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NAME)

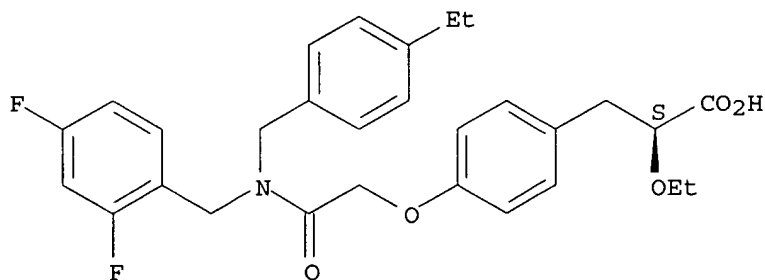
Absolute stereochemistry.



RN 816465-11-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl][(4-
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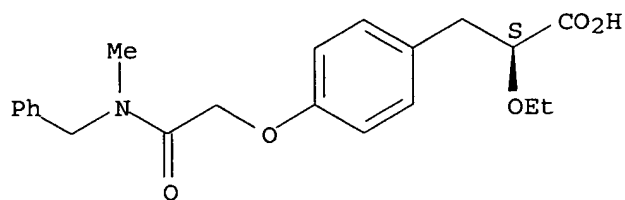
Absolute stereochemistry.



RN 816465-15-3 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-
[methyl(phenylmethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA
INDEX NAME)

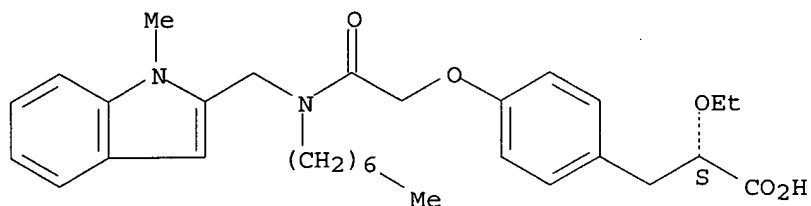
Absolute stereochemistry.



RN 816465-17-5 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[heptyl[(1-methyl-1H-indol-2-yl)methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

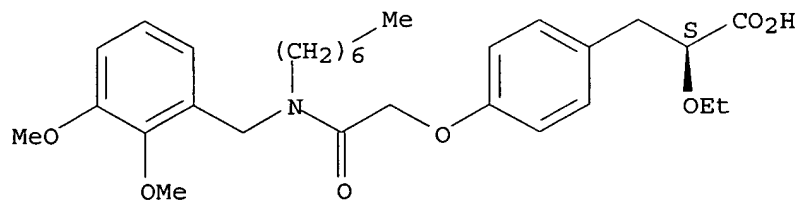
Absolute stereochemistry.



RN 816465-20-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,3-dimethoxyphenyl)methyl]heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

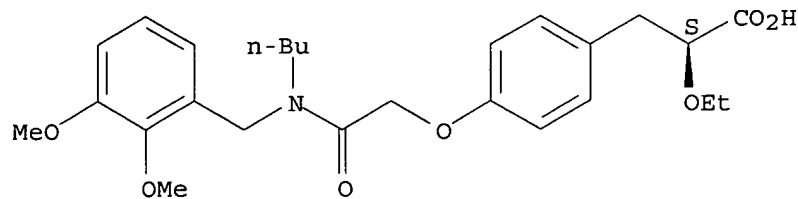
Absolute stereochemistry.



RN 816465-23-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[(2,3-dimethoxyphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

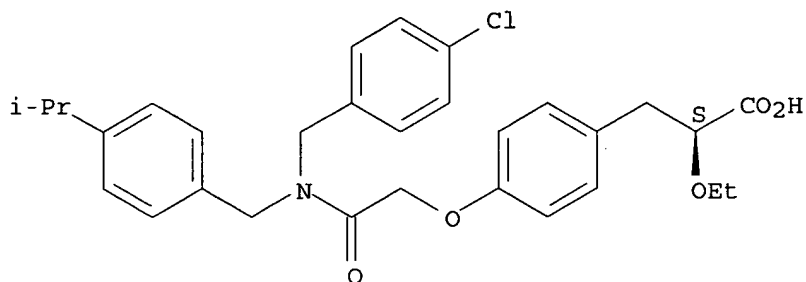


RN 816465-25-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][4-(1-methyl-1H-indol-2-yl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

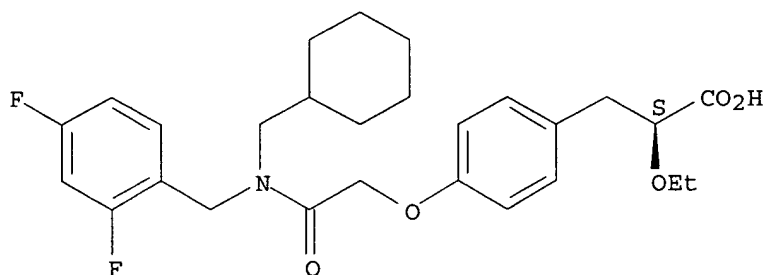
methylethyl]phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-,
(α S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



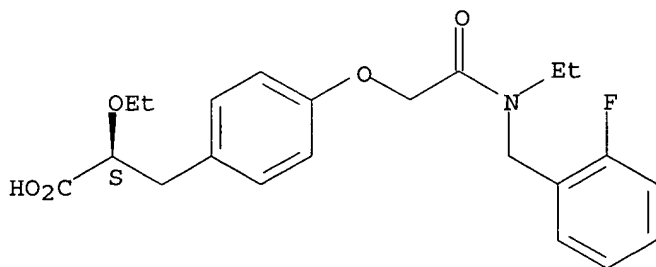
RN 816465-28-8 HCAPLUS
CN Benzenepropanoic acid, 4-[2-[(cyclohexylmethyl)[(2,4-difluorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-,
(α S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



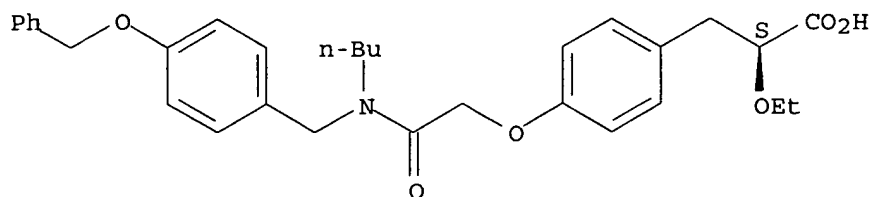
RN 816465-33-5 HCAPLUS
CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]-, (α S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 816465-35-7 HCAPLUS
CN Benzenepropanoic acid, 4-[2-[butyl[[4-(phenylmethoxy)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-,
(α S) - (9CI) (CA INDEX NAME)

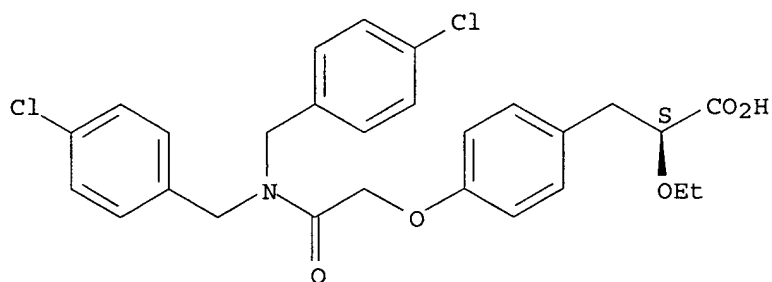
Absolute stereochemistry.



RN 816465-37-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis[(4-chlorophenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

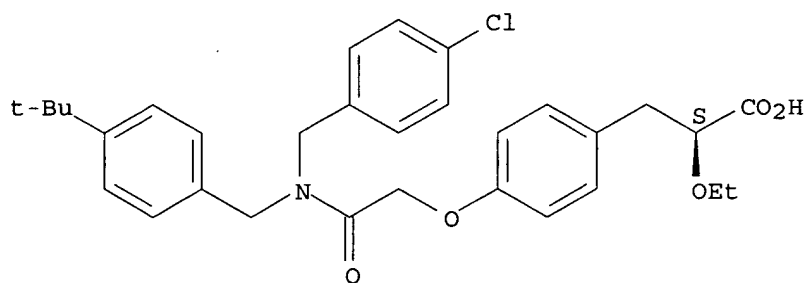
Absolute stereochemistry.



RN 816465-43-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)methyl][4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

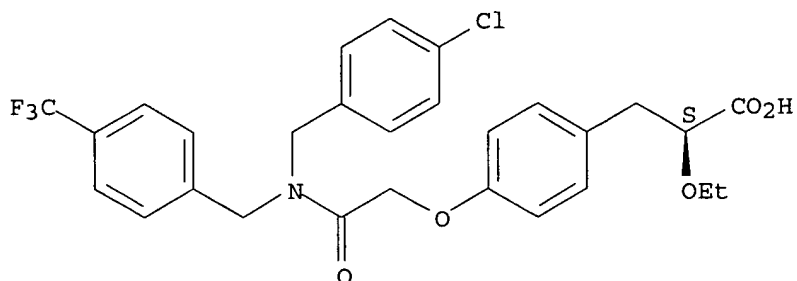
Absolute stereochemistry.



RN 816465-47-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)methyl][4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

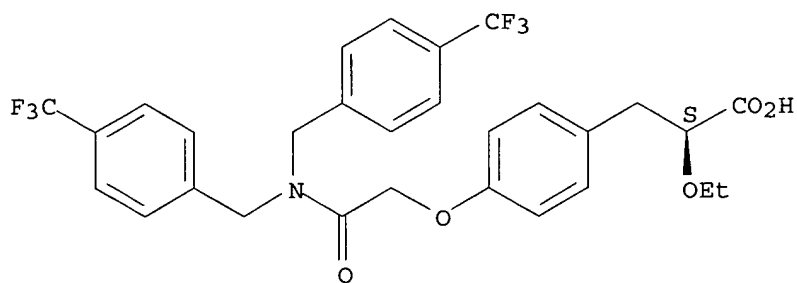
Absolute stereochemistry.



RN 816465-51-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

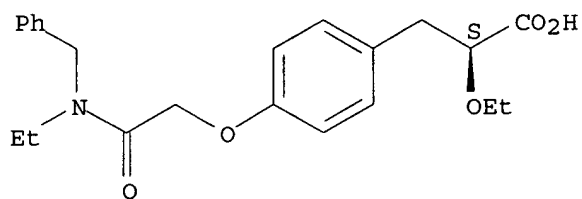
Absolute stereochemistry.



RN 816465-55-1 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[ethyl(phenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

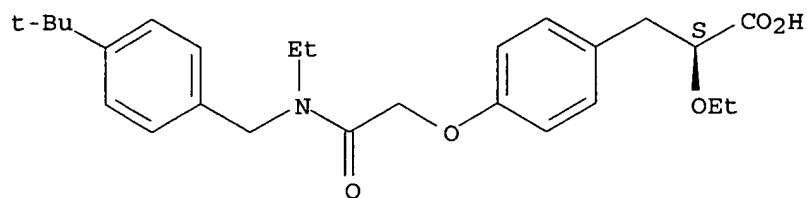
Absolute stereochemistry.



RN 816465-57-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]ethylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

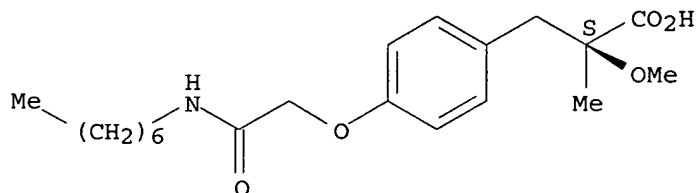
Absolute stereochemistry.



RN 816465-64-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(heptylamino)-2-oxoethoxy]-α-methoxy-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

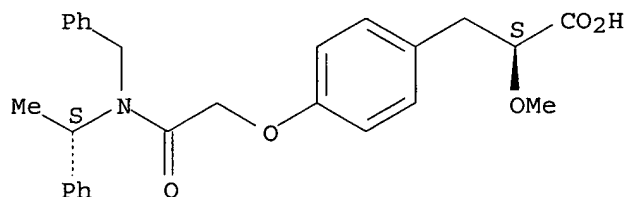
Absolute stereochemistry.



RN 816465-66-4 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-oxo-2-[[[(1S)-1-phenylethyl] (phenylmethyl)amino]ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

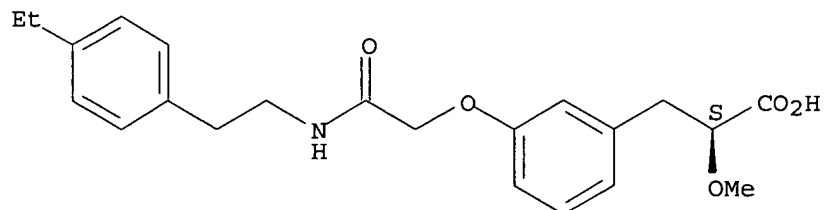
Absolute stereochemistry.



RN 816465-67-5 HCAPLUS

CN Benzenepropanoic acid, 3-[2-[[2-(4-ethylphenyl)ethyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

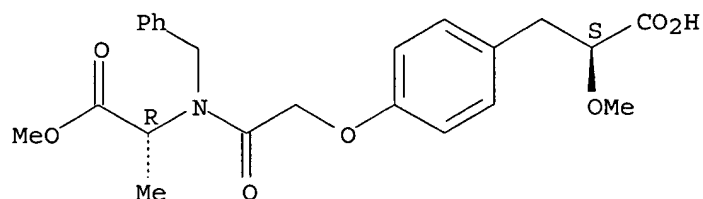


RN 816465-72-2 HCAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[[[(1R)-2-methoxy-1-methyl-2-oxoethyl] (phenylmethyl)amino]-2-oxoethoxy]-, (αS)-

(9CI) (CA INDEX NAME)

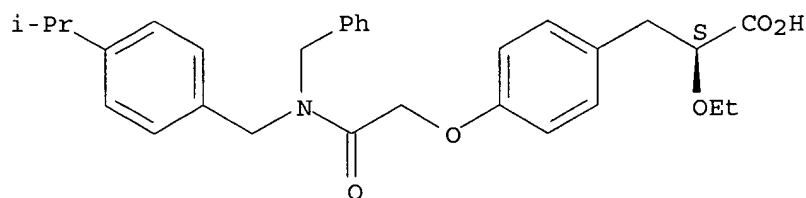
Absolute stereochemistry.



RN 816465-97-1 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[[[4-(1-methylethyl)phenyl]methyl](phenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

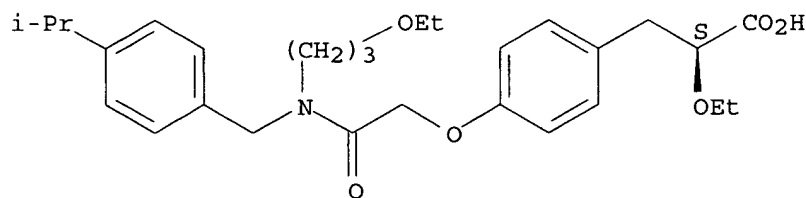
Absolute stereochemistry.



RN 816465-98-2 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[(3-ethoxypropyl)[[4-(1-methylethyl)phenyl]methyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

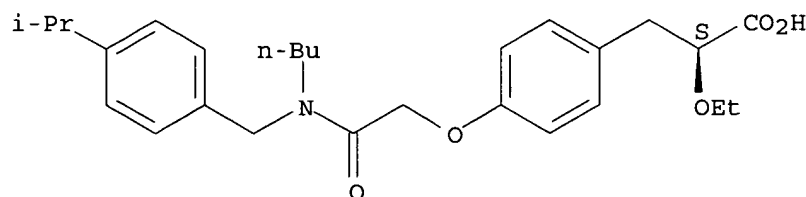
Absolute stereochemistry.



RN 816465-99-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(1-methylethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

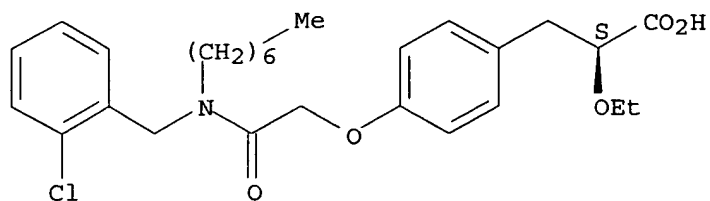
Absolute stereochemistry.



RN 816466-00-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2-chlorophenyl)methyl]heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

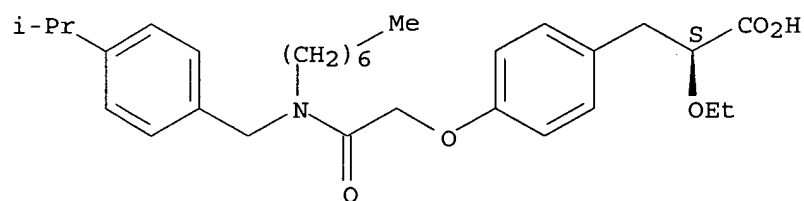
Absolute stereochemistry.



RN 816466-01-0 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[heptyl[[4-(1-methylethyl)phenyl]methyl]amino]-2-oxoethoxy]-, (α S) - (9CI) (CA INDEX NAME)

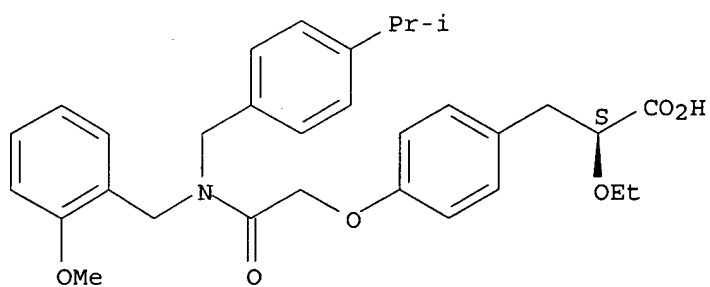
Absolute stereochemistry.



RN 816466-03-2 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[[[(2-methoxyphenyl)methyl][4-(1-methylethyl)phenyl]methyl]amino]-2-oxoethoxy]-, (α S) - (9CI) (CA INDEX NAME)

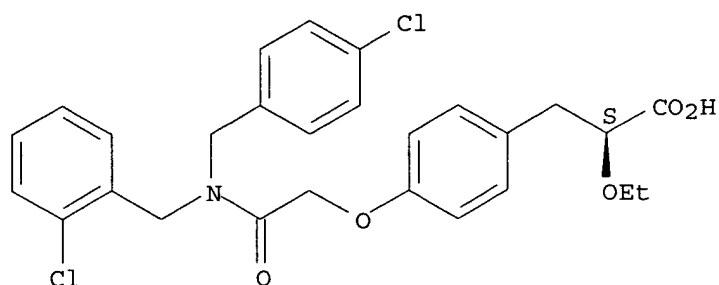
Absolute stereochemistry.



RN 816466-04-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2-chlorophenyl)methyl][4-chlorophenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

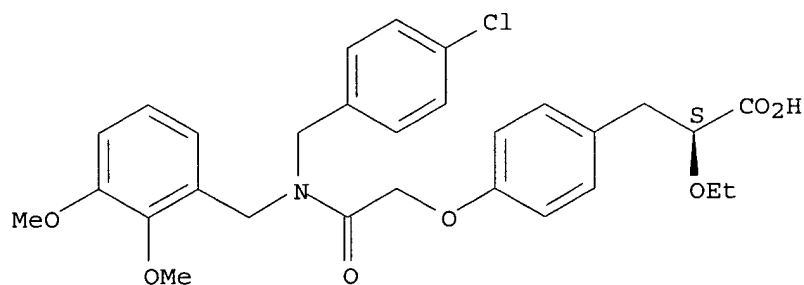
Absolute stereochemistry.



RN 816466-05-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][(2,3-dimethoxyphenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

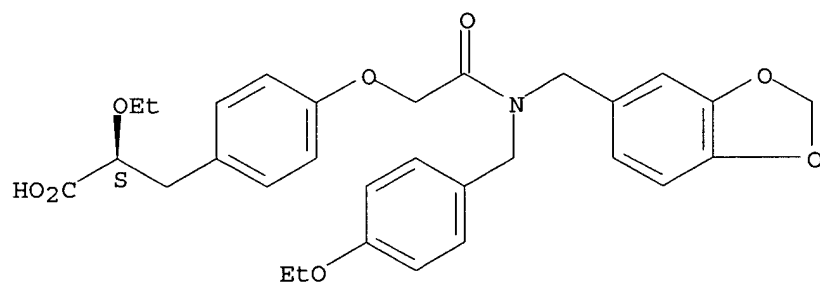
Absolute stereochemistry.



RN 816466-06-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(1,3-benzodioxol-5-yl)methyl][(4-ethoxyphenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

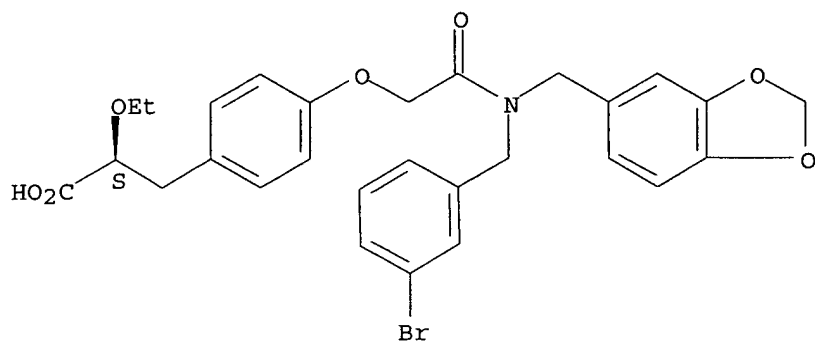
Absolute stereochemistry.



RN 816466-07-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(1,3-benzodioxol-5-yl)methyl][(3-bromophenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

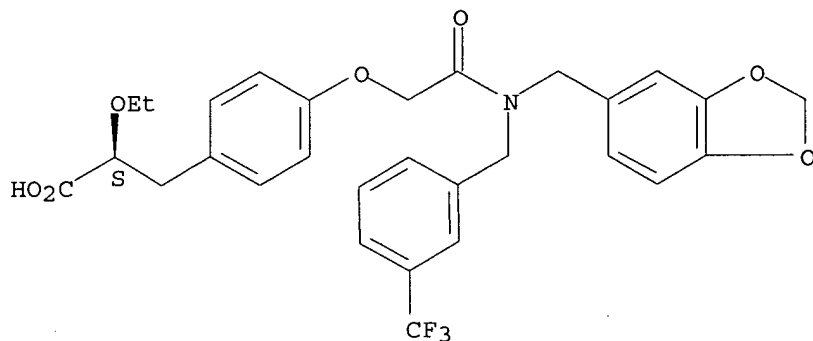
Absolute stereochemistry.



RN 816466-08-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[1,3-benzodioxol-5-ylmethyl][3-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

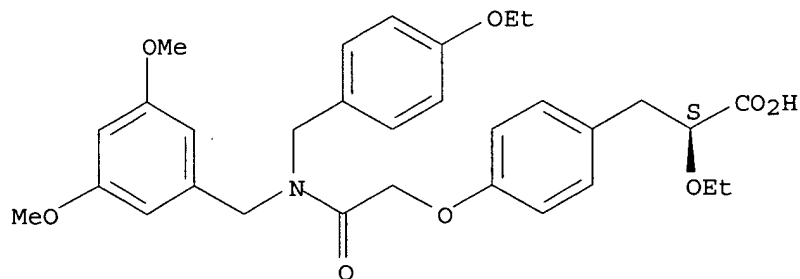
Absolute stereochemistry.



RN 816466-09-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[3,5-dimethoxyphenyl]methyl][4-ethoxyphenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

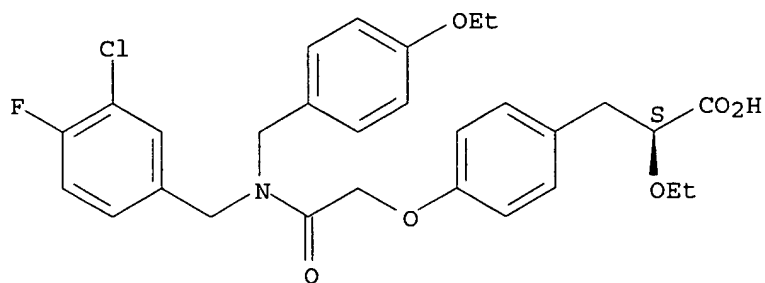
Absolute stereochemistry.



RN 816466-10-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[3-chloro-4-fluorophenyl]methyl][4-ethoxyphenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

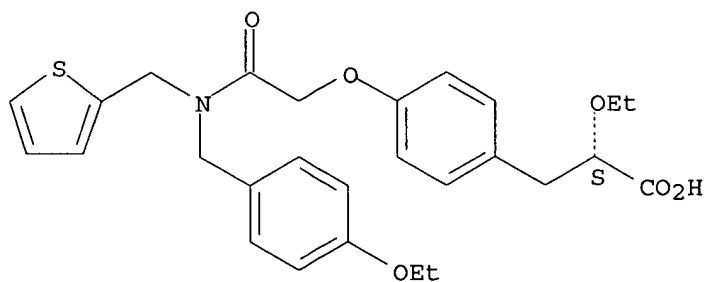
Absolute stereochemistry.



RN 816466-11-2 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[[4-ethoxyphenyl)methyl] (2-thienylmethyl)amino]-2-oxoethoxy]-, (α S) - (9CI) (CA INDEX NAME)

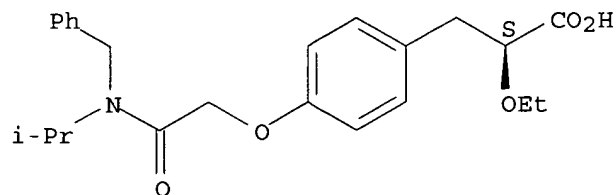
Absolute stereochemistry.



RN 816466-12-3 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[(1-methylethyl) (phenylmethyl)amino]-2-oxoethoxy]-, (α S) - (9CI) (CA INDEX NAME)

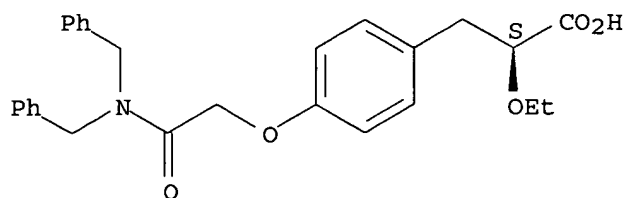
Absolute stereochemistry.



RN 816466-13-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis(phenylmethyl)amino]-2-oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

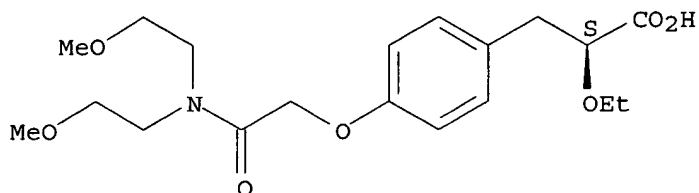
Absolute stereochemistry.



RN 816466-14-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis(2-methoxyethyl)amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

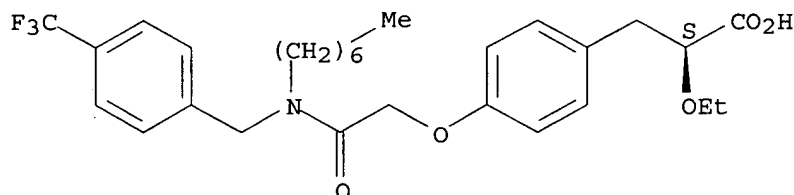
Absolute stereochemistry.



RN 816466-15-6 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[heptyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

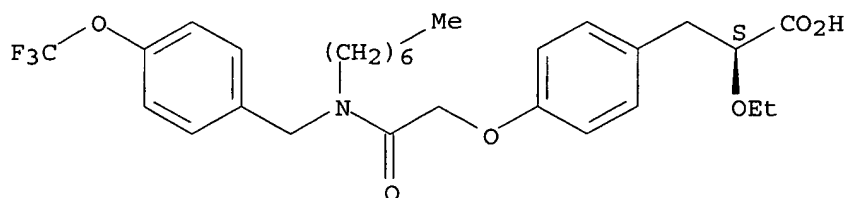
Absolute stereochemistry.



RN 816466-16-7 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[heptyl[[4-(trifluoromethoxy)phenyl]methyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

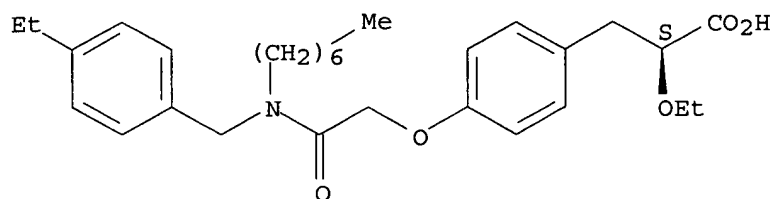


RN 816466-17-8 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[[[4-ethylphenyl]methyl]heptylamino]-2-oxoethoxy]-, (αS)- (9CI)

(CA INDEX NAME)

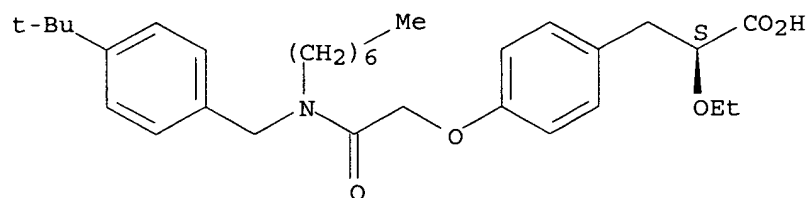
Absolute stereochemistry.



RN 816466-18-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]heptylamino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

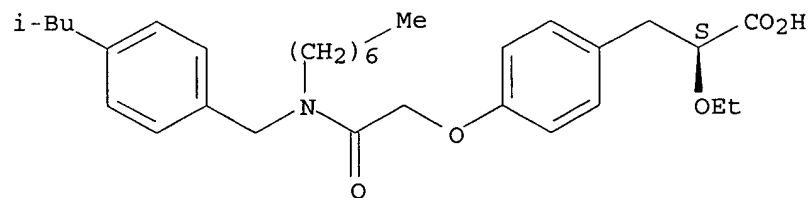
Absolute stereochemistry.



RN 816466-19-0 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[heptyl[[4-(2-methylpropyl)phenyl]methyl]amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

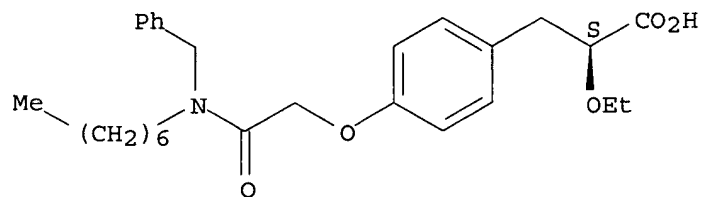
Absolute stereochemistry.



RN 816466-20-3 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[heptyl(phenylmethyl)amino]-2-oxoethoxy]-, (αS)- (9CI) (CA INDEX NAME)

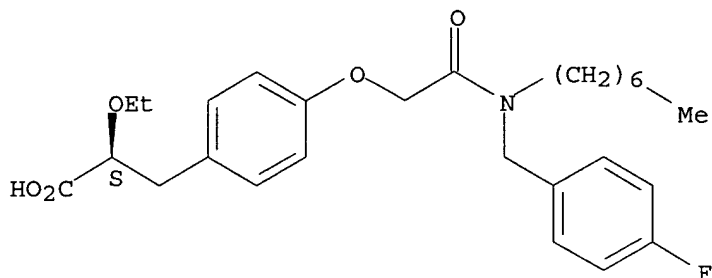
Absolute stereochemistry.



RN 816466-21-4 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[[[4-fluorophenyl)methyl]heptylamino]-2-oxoethoxy]-, (α S)- (9CI)
(CA INDEX NAME)

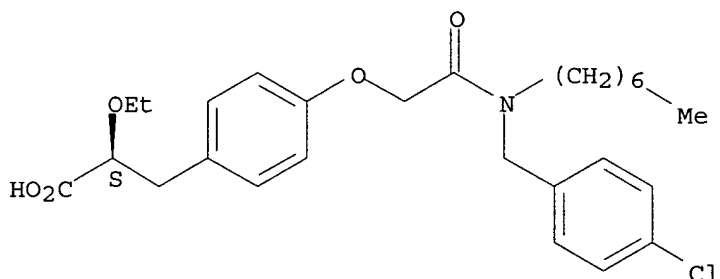
Absolute stereochemistry.



RN 816466-22-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl]heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

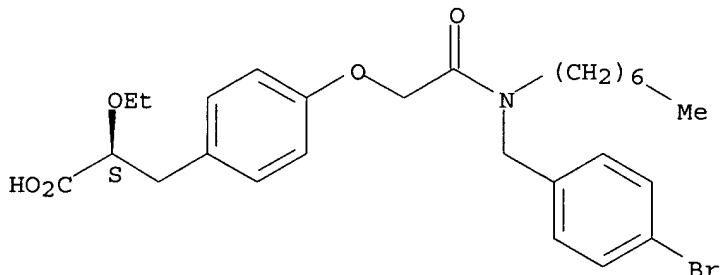
Absolute stereochemistry.



RN 816466-23-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-bromophenyl)methyl]heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

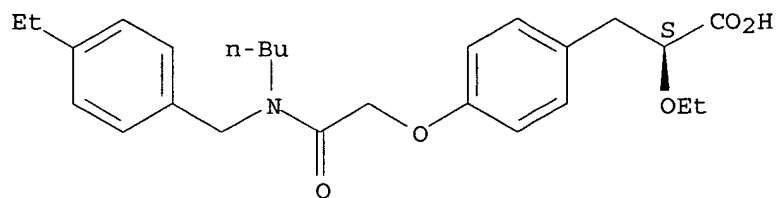
Absolute stereochemistry.



RN 816466-24-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[[4-ethylphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

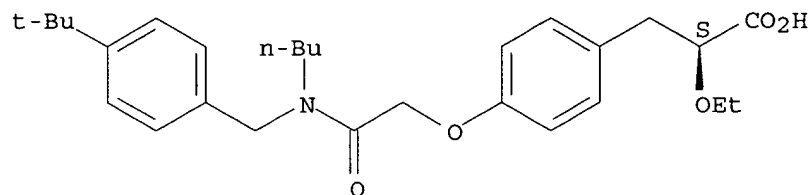
Absolute stereochemistry.



RN 816466-25-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

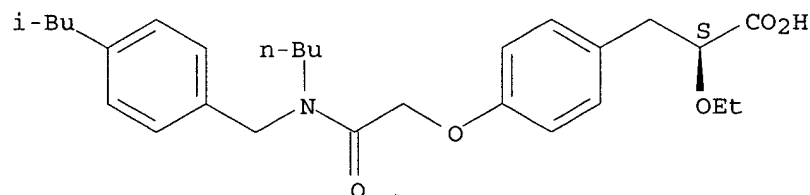
Absolute stereochemistry.



RN 816466-26-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(2-methylpropyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

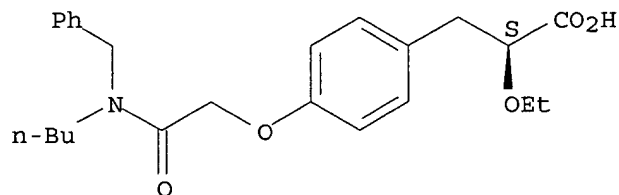
Absolute stereochemistry.



RN 816466-27-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl(phenylmethyl)amino]-2-oxoethoxy]-α-ethoxy-, (αS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

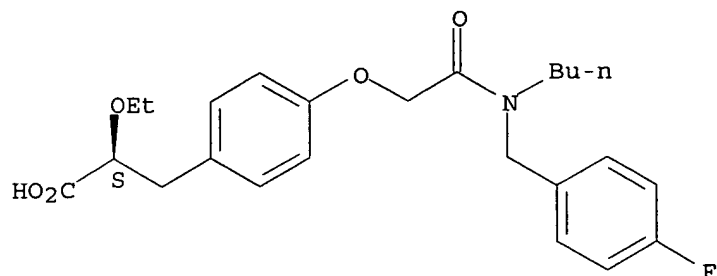


RN 816466-28-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[(4-fluorophenyl)methyl]amino]-2-

oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

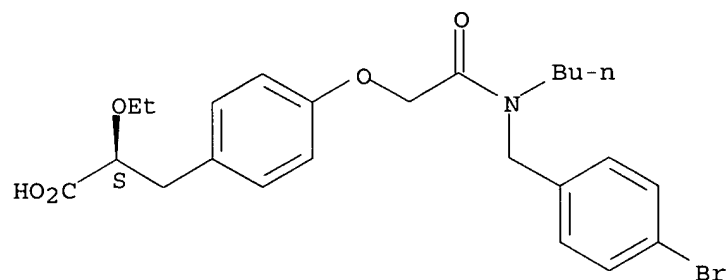
Absolute stereochemistry.



RN 816466-29-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-bromophenyl)methyl]butylamino]-2-oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

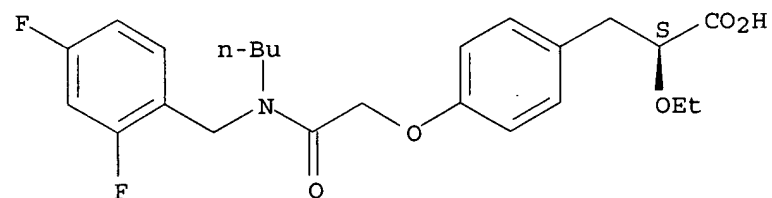
Absolute stereochemistry.



RN 816466-30-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[(2,4-difluorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

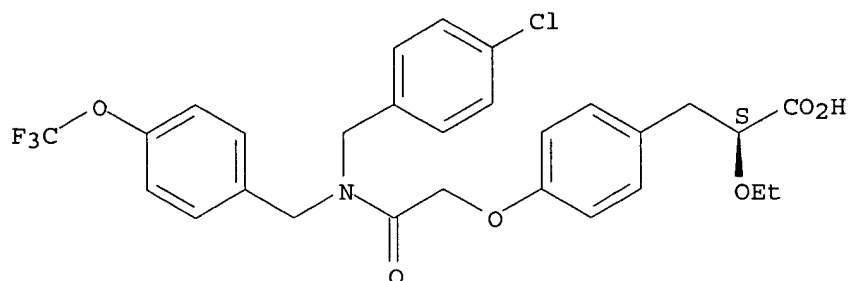
Absolute stereochemistry.



RN 816466-31-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][4-(trifluoromethoxy)phenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S) - (9CI) (CA INDEX NAME)

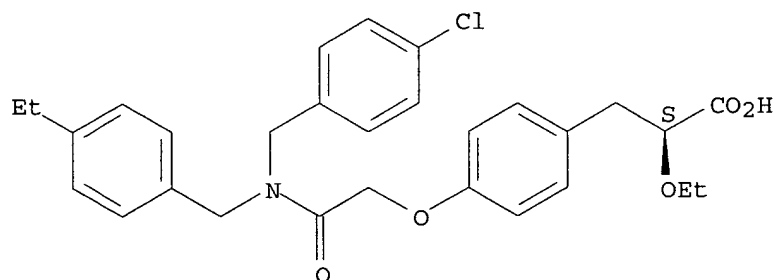
Absolute stereochemistry.



RN 816466-32-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][(4-ethoxyphenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)-(9CI) (CA INDEX NAME)

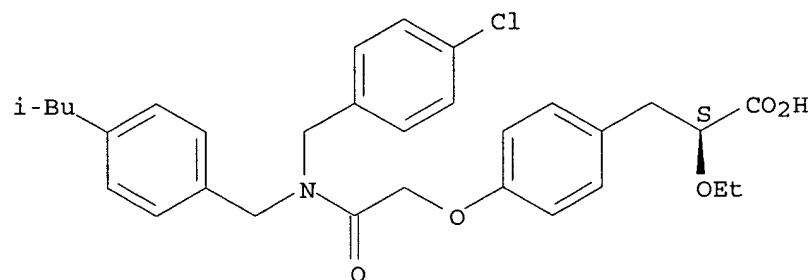
Absolute stereochemistry.



RN 816466-33-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][(4-(2-methylpropyl)phenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)-(9CI) (CA INDEX NAME)

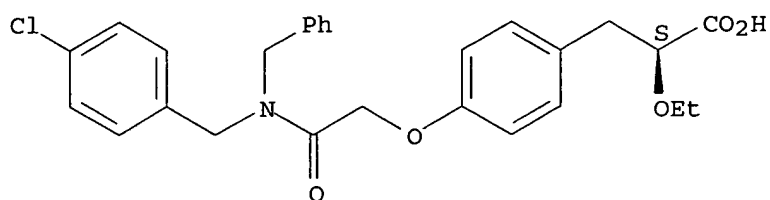
Absolute stereochemistry.



RN 816466-34-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl](phenylmethyl)amino]-2-oxoethoxy]-α-ethoxy-, (αS)-(9CI) (CA INDEX NAME)

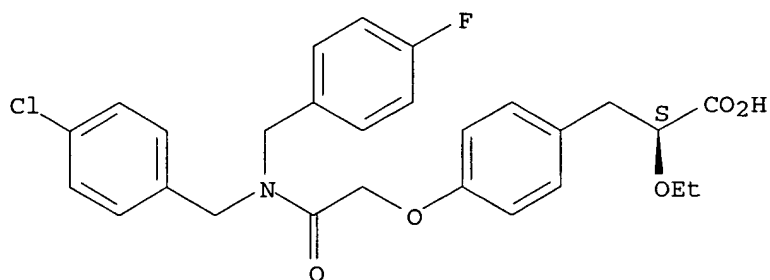
Absolute stereochemistry.



RN 816466-35-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][4-fluorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

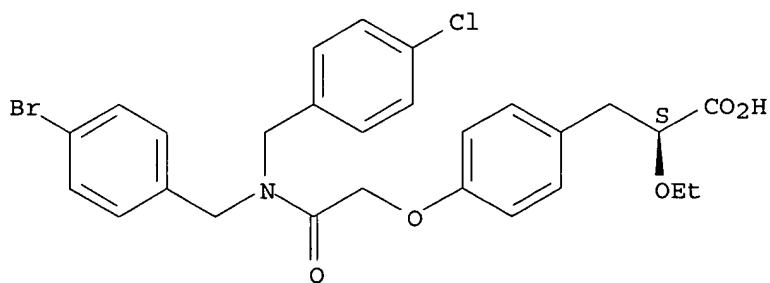
Absolute stereochemistry.



RN 816466-36-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-bromophenyl)methyl][4-chlorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

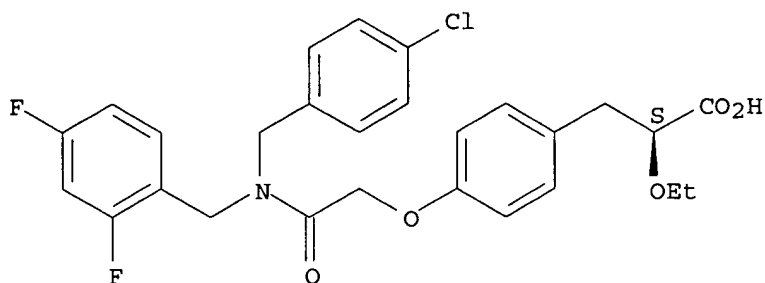
Absolute stereochemistry.



RN 816466-37-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][(2,4-difluorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

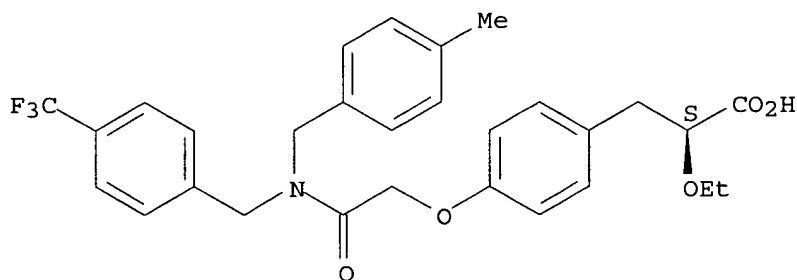
Absolute stereochemistry.



RN 816466-38-3 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[[[4-(2,4-difluorophenyl)methyl]methyl][4-(4-chlorophenyl)methyl]amino]-2-oxoethoxy]-, (αS)-(9CI) (CA INDEX NAME)

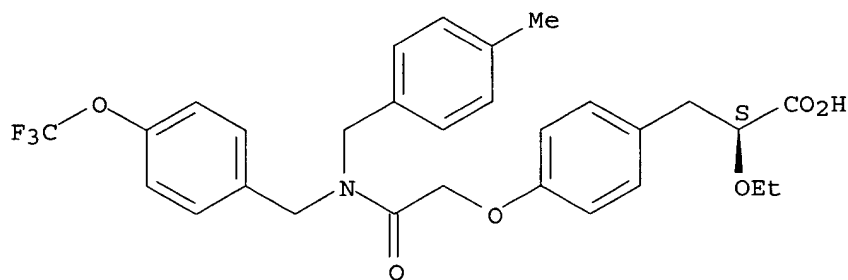
Absolute stereochemistry.



RN 816466-39-4 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[[[4-(trifluoromethoxy)phenyl)methyl]methyl][4-(4-methylphenyl)methyl]amino]-2-oxoethoxy]-, (αS)-(9CI) (CA INDEX NAME)

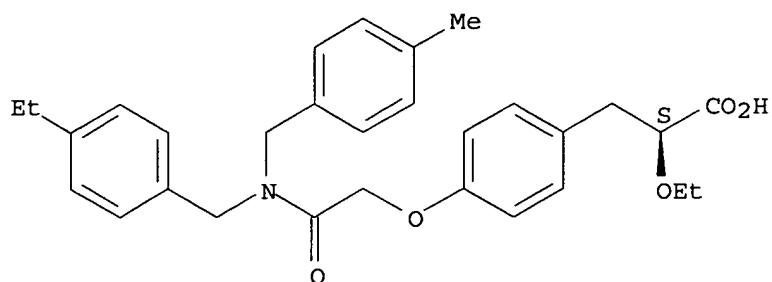
Absolute stereochemistry.



RN 816466-40-7 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[[[4-(4-ethylphenyl)methyl]methyl][4-(4-methylphenyl)methyl]amino]-2-oxoethoxy]-, (αS)-(9CI) (CA INDEX NAME)

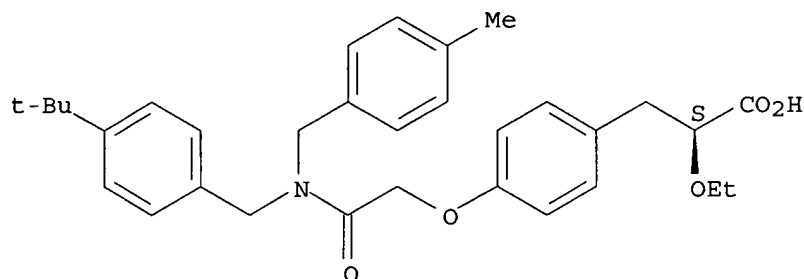
Absolute stereochemistry.



RN 816466-41-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl][(4-methylphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

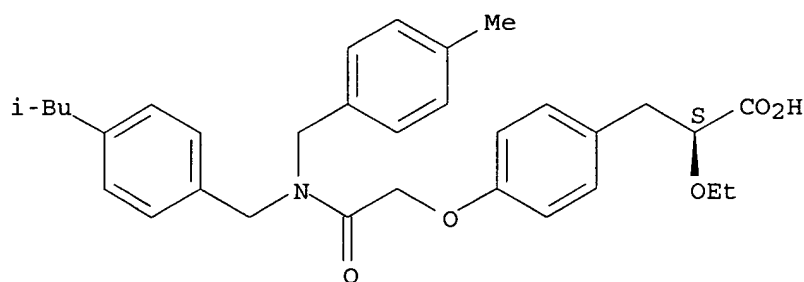
Absolute stereochemistry.



RN 816466-42-9 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[[[4-(2-methylpropyl)phenyl]methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

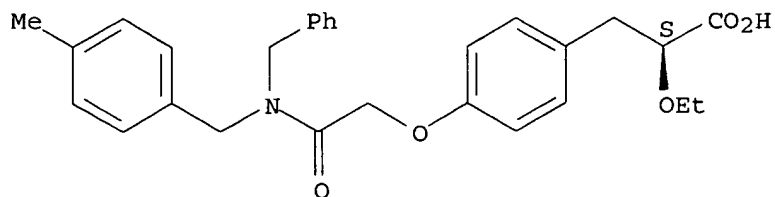
Absolute stereochemistry.



RN 816466-43-0 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[[[4-(methylphenyl)methyl](phenylmethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

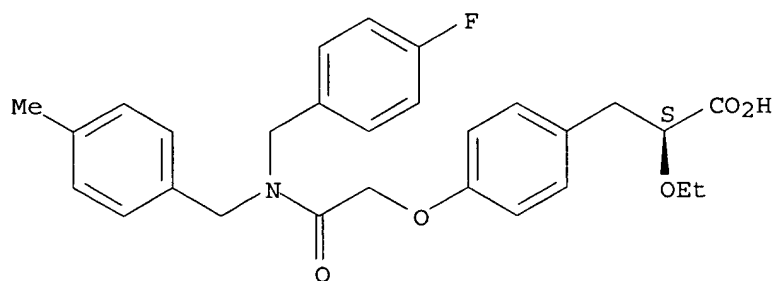
Absolute stereochemistry.



RN 816466-44-1 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[[[4-fluorophenyl)methyl][(4-methylphenyl)methyl]amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

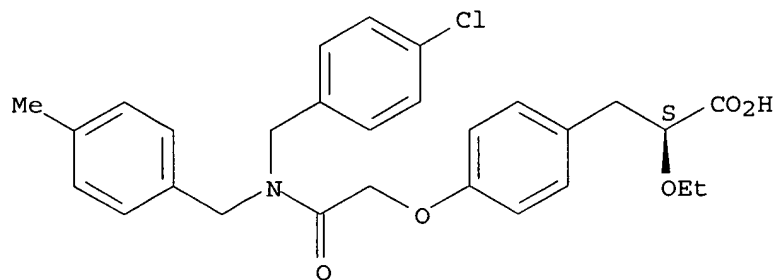
Absolute stereochemistry.



RN 816466-45-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl)methyl][(4-methylphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

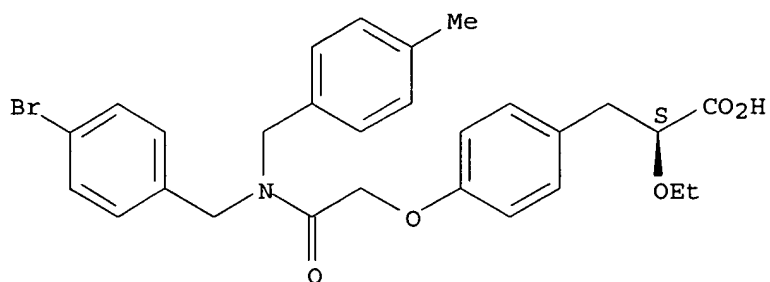
Absolute stereochemistry.



RN 816466-46-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-bromophenyl)methyl][(4-methylphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

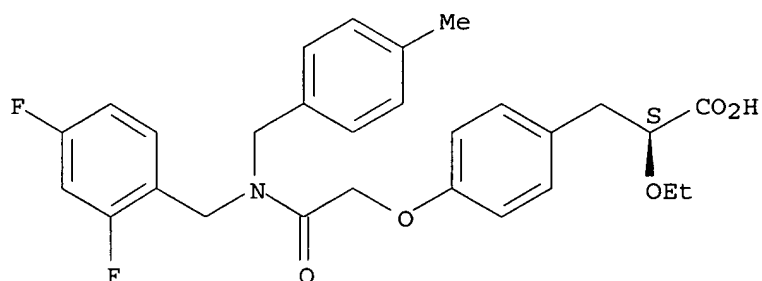
Absolute stereochemistry.



RN 816466-47-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl][(4-methylphenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

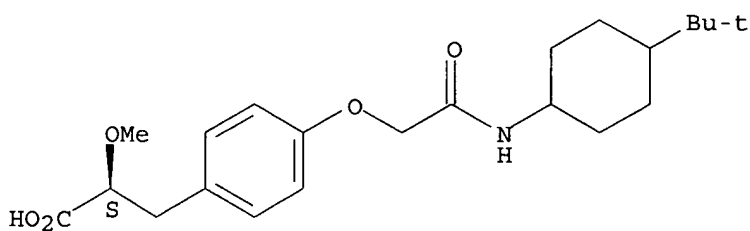
Absolute stereochemistry.



RN 817181-61-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

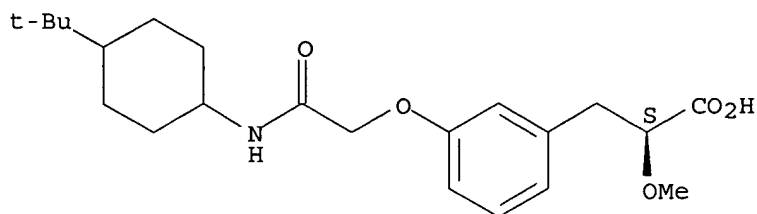
Absolute stereochemistry.



RN 817181-62-7 HCAPLUS

CN Benzenepropanoic acid, 3-[2-[[4-(1,1-dimethylethyl)cyclohexyl]amino]-2-oxoethoxy]-α-methoxy-, (αS)- (9CI) (CA INDEX NAME)

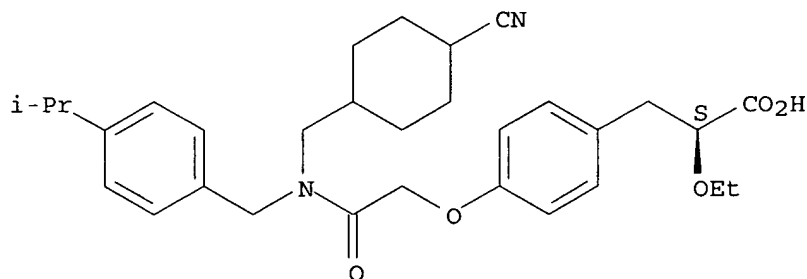
Absolute stereochemistry.



RN 817181-63-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-cyanocyclohexyl)methyl][4-(1-methylethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



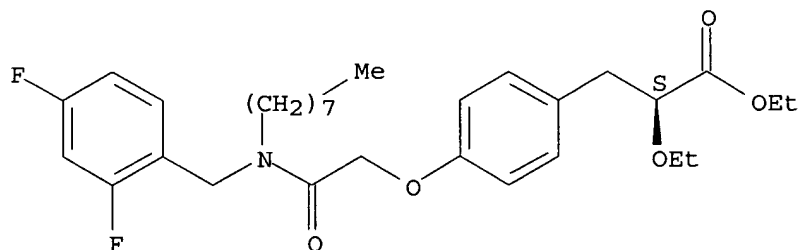
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816465-46-0P 816465-49-3P 816465-52-8P
816465-56-2P 816465-58-4P

(preparation of phenylpropanoic acid derivs. as PPARα agonists)

RN 816465-06-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl]octylamino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

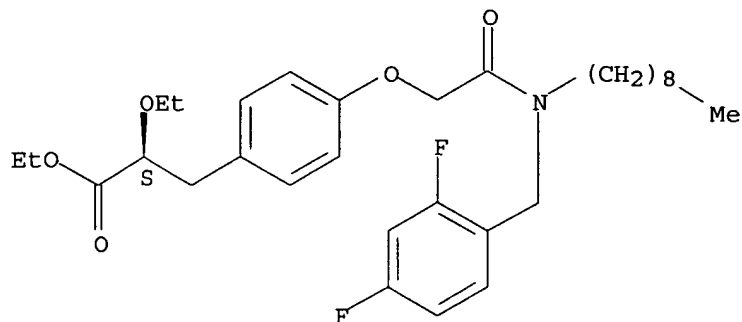
Absolute stereochemistry.



RN 816465-10-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl]nonylaminol]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

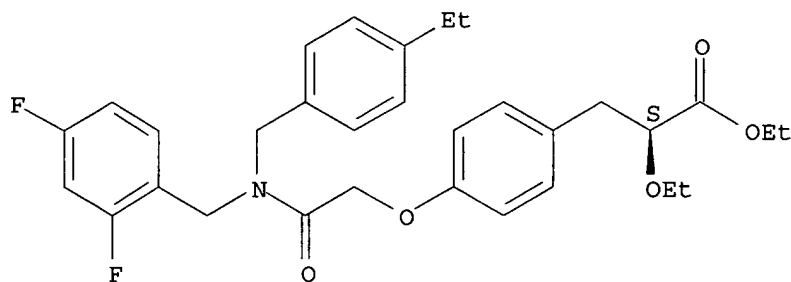
Absolute stereochemistry.



RN 816465-14-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,4-difluorophenyl)methyl][(4-ethylphenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

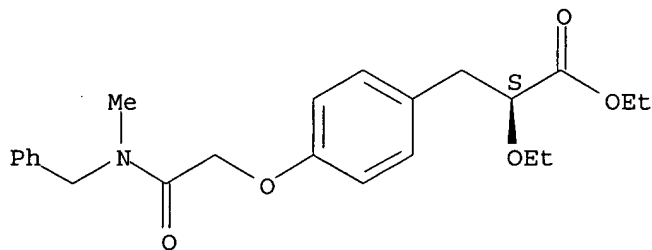
Absolute stereochemistry.



RN 816465-16-4 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[methyl(phenylmethyl)amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

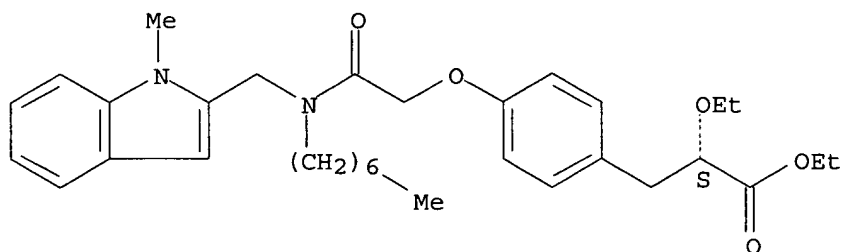
Absolute stereochemistry.



RN 816465-19-7 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[heptyl[(1-methyl-1H-indol-2-yl)methyl]amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

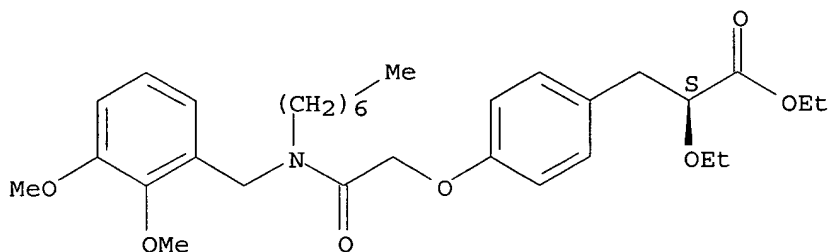
Absolute stereochemistry.



RN 816465-22-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(2,3-dimethoxyphenyl)methyl]heptylamino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI)
(CA INDEX NAME)

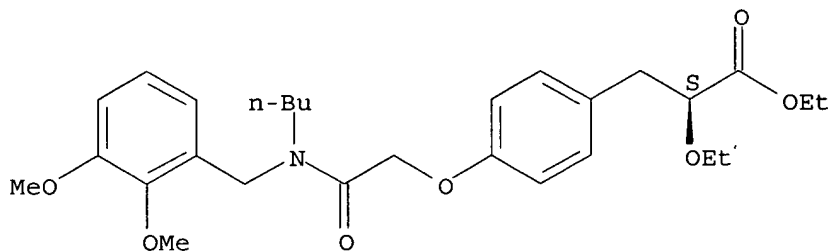
Absolute stereochemistry.



RN 816465-24-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[(2,3-dimethoxyphenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

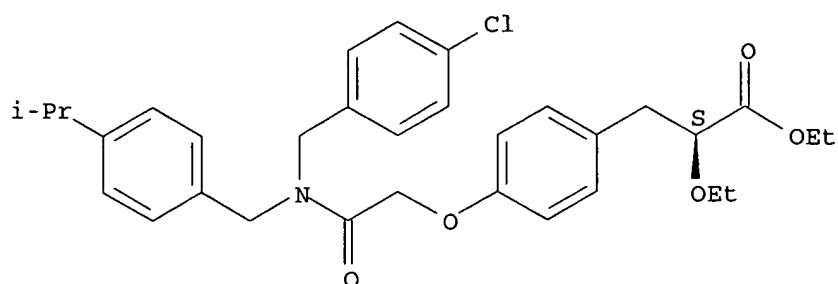
Absolute stereochemistry.



RN 816465-27-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)methyl][4-(1-methylethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

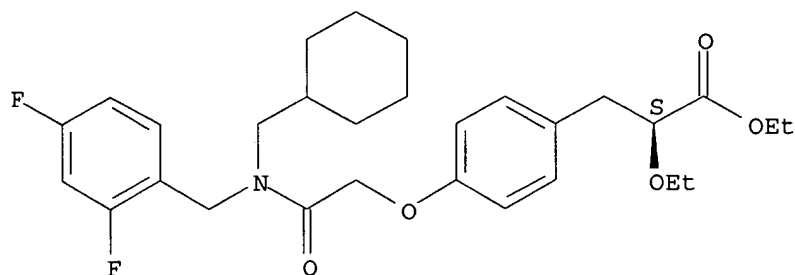
Absolute stereochemistry.



RN 816465-31-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(cyclohexylmethyl)[(2,4-difluorophenyl)methyl]amino]-2-oxoethoxy]- α -ethoxy-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

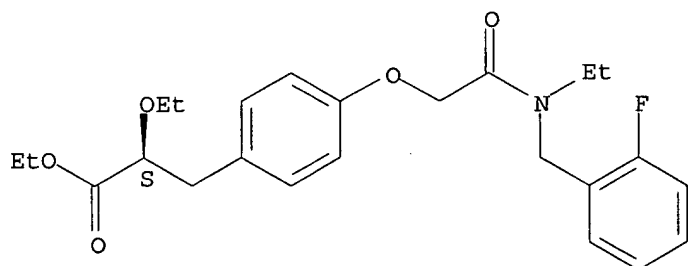
Absolute stereochemistry.



RN 816465-34-6 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

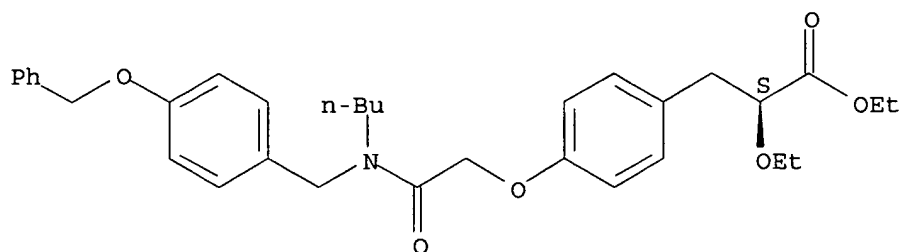
Absolute stereochemistry.



RN 816465-36-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(phenylmethoxy)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

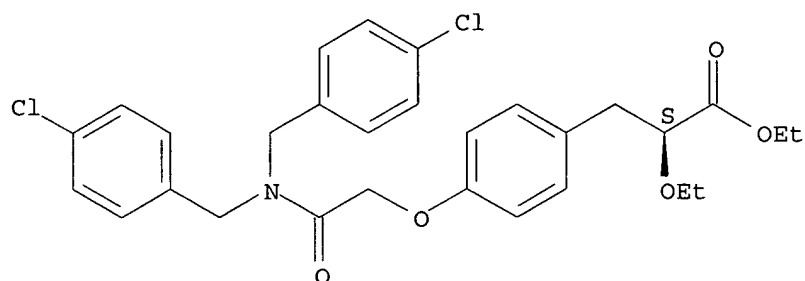
Absolute stereochemistry.



RN 816465-39-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis[(4-chlorophenyl)methyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

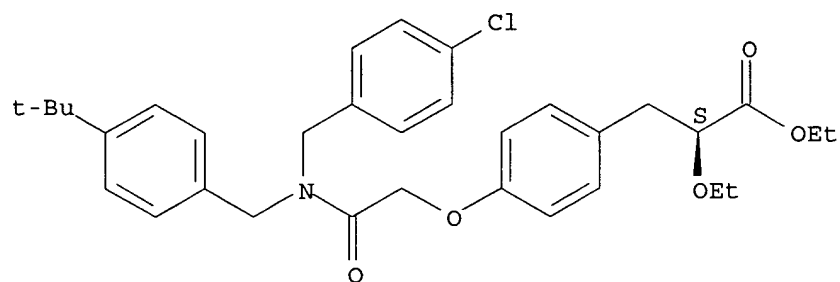
Absolute stereochemistry.



RN 816465-46-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)methyl][[4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

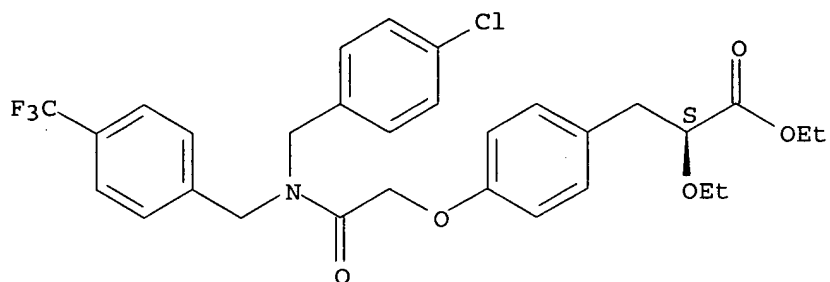
Absolute stereochemistry.



RN 816465-49-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)methyl][[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

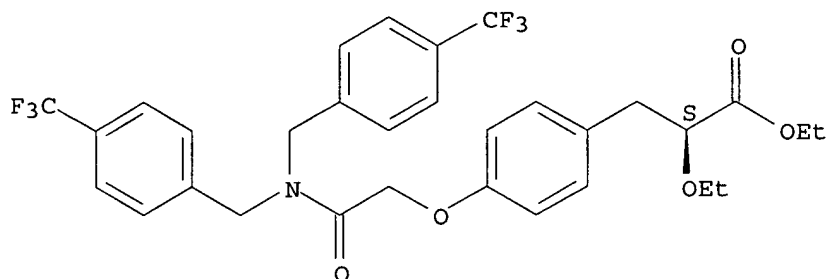
Absolute stereochemistry.



RN 816465-52-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[bis[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)-(9CI) (CA INDEX NAME)

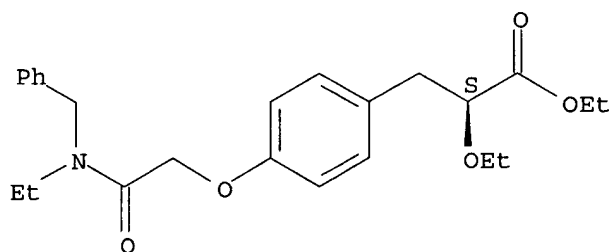
Absolute stereochemistry.



RN 816465-56-2 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[2-[ethyl(phenylmethyl)amino]-2-oxoethoxy]-, ethyl ester, (αS)-(9CI) (CA INDEX NAME)

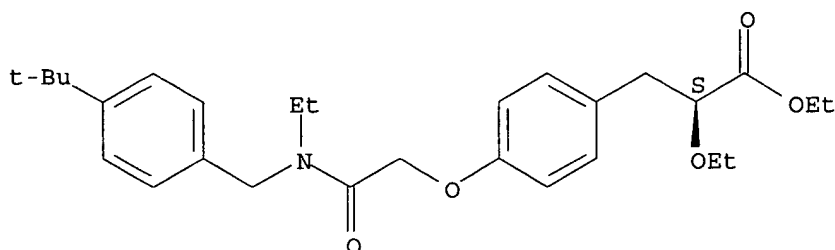
Absolute stereochemistry.



RN 816465-58-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]ethylamino]-2-oxoethoxy]-α-ethoxy-, ethyl ester, (αS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C231-00
 CC 25-9 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 63
 ST phenylpropanoic acid prepn PPAR alpha agonist **antidiabetic**
 IT **Diabetes mellitus**
 (non-insulin-dependent; preparation of phenylpropanoic acid derivs.
 as PPAR α agonists)
 IT **Antidiabetic agents**
 Antihypertensives
 Antiobesity agents
 Atherosclerosis
 Drug delivery systems
 Human
 Hypertension
 Obesity
Peroxisome proliferators
 (preparation of phenylpropanoic acid derivs. as PPAR α
 agonists)
 IT **Peroxisome proliferator-activated receptors**
 (α ; preparation of phenylpropanoic acid derivs. as PPAR α
 agonists)
 IT **Peroxisome proliferator-activated receptors**
 (γ ; preparation of phenylpropanoic acid derivs. as PPAR α
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(preparation of phenylpropanoic acid derivs. as PPAR α agonists)

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N-(2,4-Difluorobenzyl)octanamide 816465-05-1P
816465-06-2P 816465-08-4P, N-(2,4-
Difluorobenzyl)nonanamide 816465-09-5P 816465-10-8P
816465-12-0P, N-(2,4-Difluorobenzyl)-4-ethylbenzamide
816465-13-1P 816465-14-2P 816465-16-4P
816465-18-6P 816465-19-7P 816465-21-1P,
N-Heptyl-2,3-dimethoxybenzamide 816465-22-2P
816465-24-4P 816465-26-6P 816465-27-7P
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816465-56-2P 816465-58-4P

(preparation of phenylpropanoic acid derivs. as PPAR α agonists)

L32 ANSWER 3 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:546469 HCAPLUS

DOCUMENT NUMBER: 141:106266

TITLE: Preparation of phenylpropanoic acids
derivatives as selective PPAR α
modulators

INVENTOR(S): Lindstedt Alstermark, Eva-Lotte; Olsson, Anna
Christina; Li, Lanna; Aurell, Carl-Johan;
Minidis, Anna; Yousefi-Salakdeh, Esmail;
Dahlstrom, Mikael Ulf Johan

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056748	A1	20040708	WO 2003-GB5602	2003 1219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508851	AA	20040708	CA 2003-2508851	2003 1219
AU 2003290309	A1	20040714	AU 2003-290309	2003 1219
US 2005131068	A1	20050616	US 2003-499893	2003 1219
EP 1572626	A1	20050914	EP 2003-782668	2003 1219
BR 2003017458	A	20051116	BR 2003-17458	2003 1219
CN 1753862	A	20060329	CN 2003-80109895	2003 1219
JP 2006511572	T2	20060406	JP 2004-561668	2003 1219
JP 3786945	B2	20060621		
US 2005282822	A1	20051222	US 2004-26806	2004 1230
NO 2005002914	A	20050719	NO 2005-2914	2005 0615

JP 2006045240

A2

20060216

JP 2005-253346

2005
0901

PRIORITY APPLN. INFO.:

GB 2002-29931

A

2002
1221

SE 2001-4334

A

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1219

WO 2002-GB5738

W

2002
1218

WO 2002-GB5744

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2002
1218

GB 2003-14079

A

2003
0618

JP 2004-561668

A3

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WO 2003-GB305602

A

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WO 2003-GB5602

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WO 2004-EP6597

A

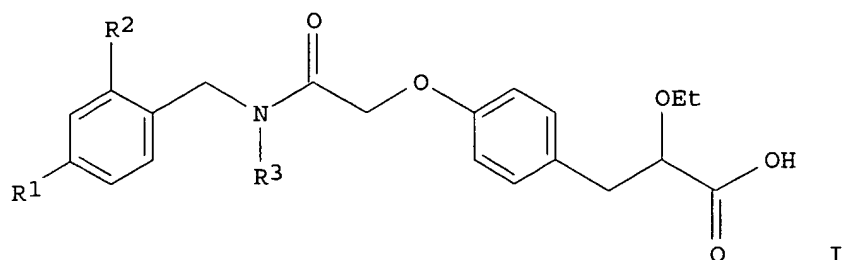
2004
0617

US 2005-499261

A2

2005
0304OTHER SOURCE(S):
GI

CASREACT 141:106266; MARPAT 141:106266



AB Title compds. I [R1 = Cl, CF3, CF3O; R2 = H, F; R3 = alkyl] and their pharmaceutically acceptable salts, prodrugs were prepared For example, amidation of N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine, e.g., prepared from Et (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate in 3 steps, and {4-[(2S)-2,3-diethoxy-3-oxopropyl]phenoxy}acetic acid, followed by hydrolysis afforded compound (S)-I [R1 = CF3; R2 = F; R3 = butyl] in 72% yield. Compds. I have EC50 values <0.1 $\mu\text{mol/L}$ for PPAR α , e.g., the EC50 value of compound (S)-I [R1 = CF3; R2 = F; R3 = butyl] was 0.001 $\mu\text{mol/L}$. Of notes, compds. I exhibit improved metabolic stability (in vitro), promising toxicol. profile (no data provided) and particular compds. have the ratio of the EC50(PPAR γ):EC50(PPAR γ) <150:1. Compds. I are claimed useful for the treatment of hypertension, **diabetes**, etc.

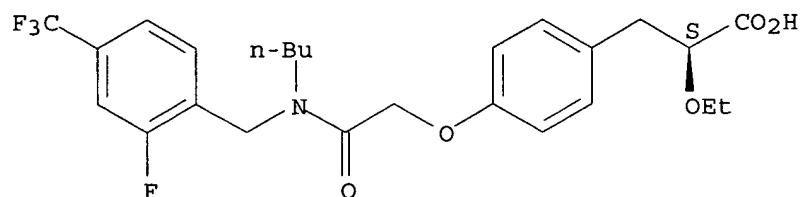
IT 719277-13-1P 719277-14-2P 719277-15-3P
719277-16-4P 719277-17-5P

(preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)

RN 719277-13-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[2-fluoro-4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

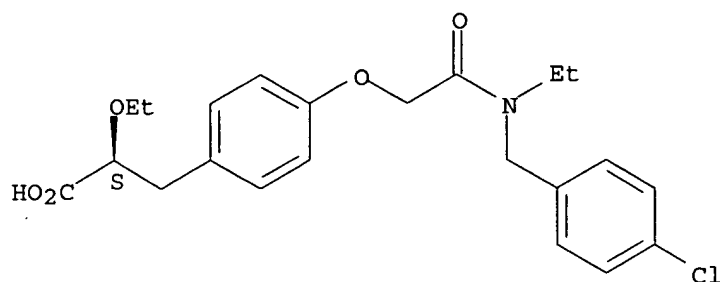
Absolute stereochemistry.



RN 719277-14-2 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[4-chlorophenyl]methyl]ethylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

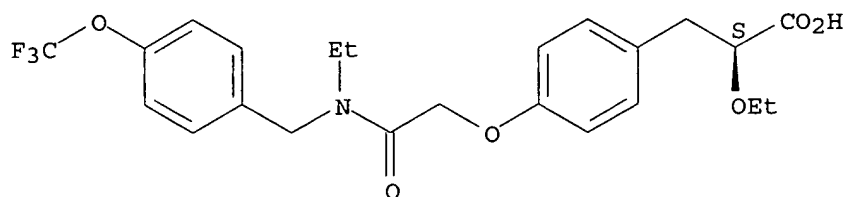
Absolute stereochemistry.



RN 719277-15-3 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[[4-(trifluoromethoxy)phenyl]methyl]amino]-2-oxoethoxy]-, (α S)-(9CI) (CA INDEX NAME)

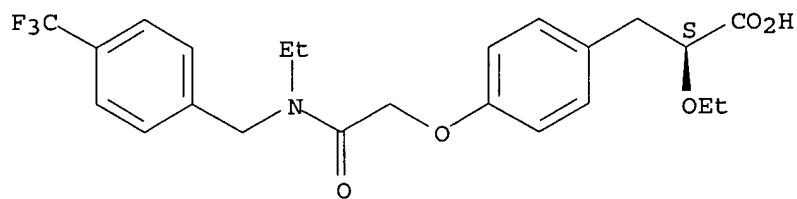
Absolute stereochemistry.



RN 719277-16-4 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-, (α S)-(9CI) (CA INDEX NAME)

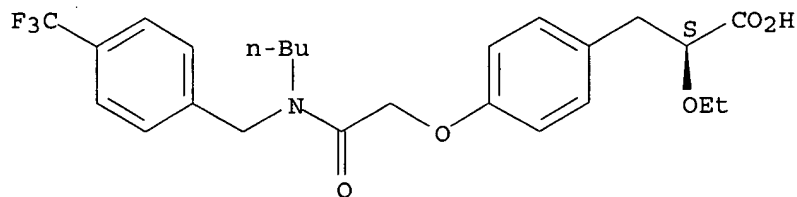
Absolute stereochemistry.



RN 719277-17-5 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, (α S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



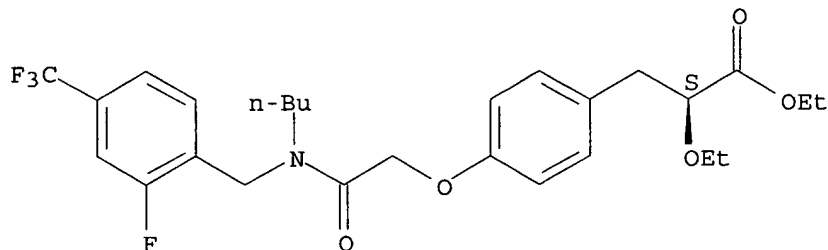
IT 719277-19-7P 719277-20-0P 719277-23-3P
719277-24-4P 765303-27-3P

(preparation of phenylpropanoic acids derivs. as selective
PPAR α modulators for treatment of dyslipidemia)

RN 719277-19-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[butyl[[2-fluoro-4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

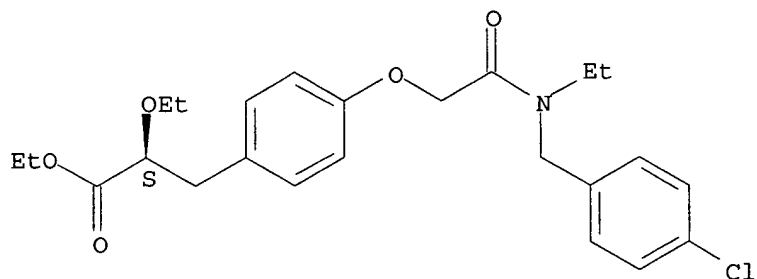
Absolute stereochemistry.



RN 719277-20-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(4-chlorophenyl)methyl]ethylamino]-2-oxoethoxy]- α -ethoxy-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

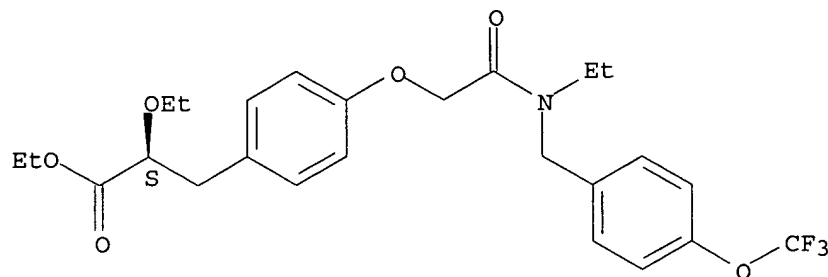
Absolute stereochemistry.



RN 719277-23-3 HCAPLUS

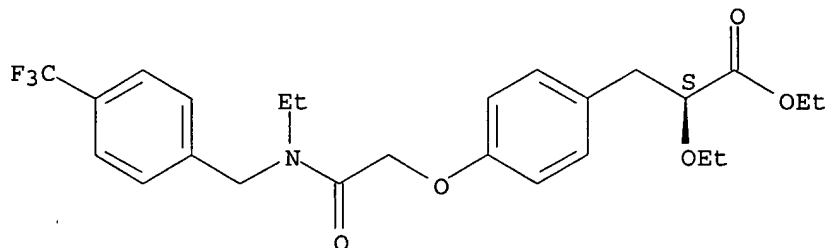
CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[[4-(trifluoromethoxy)phenyl]methyl]amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



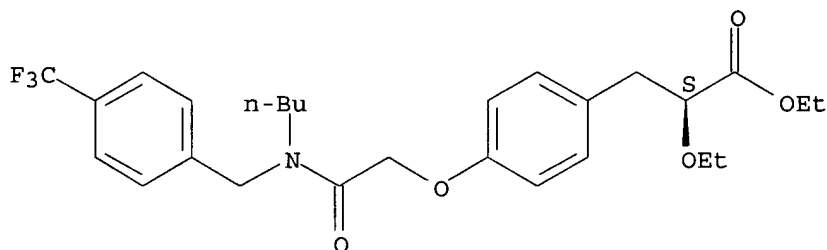
RN 719277-24-4 HCAPLUS
 CN Benzenepropanoic acid, α -ethoxy-4-[2-[ethyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765303-27-3 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-[butyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]- α -ethoxy-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C235-20
 ICS A61K031-16
 CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 63
 ST phenylpropanoic acid prepn PPAR alpha modulator prodrug; antihypertensive phenylpropanoic acid prepn PPAR alpha modulator prodrug; antidiabetic agent phenylpropanoic acid prepn PPAR alpha modulator prodrug
 IT **Peroxisome proliferators**
 (medicaments with; preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)
 IT **Antidiabetic agents**
 Antihypertensives
 Antiobesity agents
 Drug delivery systems
 Human
 (preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)
 IT **Peroxisome proliferator-activated receptors**
 (preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)
 IT Atherosclerosis

Diabetes mellitus
Hypertension
Obesity

(treatment of; preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)

IT 719277-13-1P 719277-14-2P 719277-15-3P

719277-16-4P 719277-17-5P

(preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)

IT 549501-67-9P 549501-68-0P 719277-18-6P 719277-19-7P

719277-20-0P 719277-21-1P 719277-22-2P

719277-23-3P 719277-24-4P 765303-27-3P

(preparation of phenylpropanoic acids derivs. as selective PPAR α modulators for treatment of dyslipidemia)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 4 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:412940 HCAPLUS

DOCUMENT NUMBER: 141:7105

TITLE: Preparation of thienyl- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases

INVENTOR(S): Cao, Jingrong; Gao, Huai; Green, Jeremy; Marhefka, Craig

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041813	A1	20040521	WO 2003-US34319	2003 1030

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2504320 AA 20040521 CA 2003-2504320

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AU 2003288956 A1 20040607 AU 2003-288956

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US 2004122016 A1 20040624 US 2003-696862
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EP 1558607 A1 20050803 EP 2003-781448
2003
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
EE, HU, SK
CN 1732164 A 20060208 CN 2003-80108111
2003
1030

JP 2006514684 T2 20060511 JP 2005-502202
2003
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NO 2005002595 A 20050627 NO 2005-2595
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PRIORITY APPLN. INFO.: US 2002-422441P P
2002
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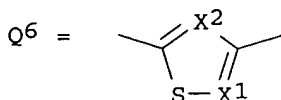
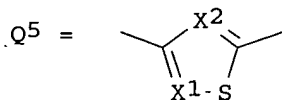
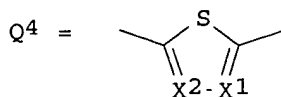
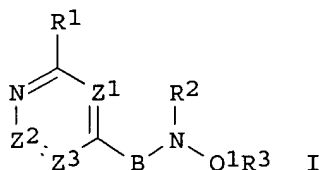
US 2003-476433P P
2003
0606

US 2003-476691P P
2003
0606

US 2003-479903P P
2003
0619

WO 2003-US34319 W
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1030

OTHER SOURCE(S): MARPAT 141:7105
GI

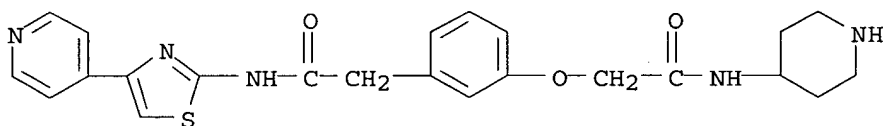


AB Title compds. [I; B = Q4, Q5, Q6; R1 = halo, cyano, NO₂, VmR; Z1, Z3 = N, CRz; Z2 = N, CR1; Rz = halo, cyano, NO₂, UnR'; R2 = UnR'; X1, X2 = CR4, N; R4 = halo, cyano, NO₂, VmR; U, V = (substituted) alkylidene optionally interrupted by NR, O, S, CS, SO, SO₂, CO₂, etc.; m, n = 0, 1; R = H, (substituted) aliphatic; R' = R, (unsatd.) (heterocyclic) mono- or bicyclic ring; Q1 = CO, SO₂, CONR, SO₂NR; R3 = Q2Ar1; R2Q1R3 = atoms to form a cyclic group; Ar1 = (unsatd.) (heterocyclic) mono- or bicyclic ring; with provisos], were prepared Thus, 2-chloro-N-(4-pyridin-4-ylthiazol-2-yl)acetamide and N-methylaniline were stirred overnight in DMF at 70° to give 2-(methylphenylamino)-N-(4-pyridin-4-ylthiazol-2-yl)acetamide. Certain I were shown to inhibit ROCK I, ERK2, GSK3, and PKA with K_i <1 μM.

IT **692885-81-7P 692885-86-2P**
(claimed compound; preparation of thiophene- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases)

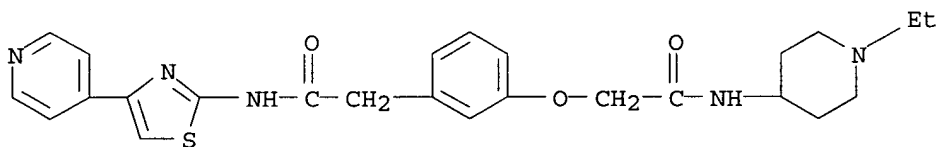
RN 692885-81-7 HCAPLUS

CN Benzeneacetamide, 3-[2-oxo-2-(4-piperidinylamino)ethoxy]-N-[4-(4-pyridinyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 692885-86-2 HCAPLUS

CN Benzeneacetamide, 3-[2-[(1-ethyl-4-piperidinyl)amino]-2-oxoethoxy]-N-[4-(4-pyridinyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



IC ICM C07D409-04
ICS C07D417-04; C07D417-14; C07D409-14

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27, 63

IT Allergy inhibitors
Anti-AIDS agents
Anti-inflammatory agents
Antianginal agents
Antiarteriosclerotics
Antiasthmatics
Antidiabetic agents
Antihypertensives
Antipsychotics
Antitumor agents
Antiviral agents
Cardiovascular agents
Cytotoxic agents
Drug delivery systems

Human

Nervous system agents

(preparation of thiophene- and thiazolecarboxamides as inhibitors of
ROCK, ERK, GSK, and AGC protein kinases)

IT AIDS (disease)

Allergy

Alopecia

Arteriosclerosis

Asthma

Atherosclerosis

Autoimmune disease

Bone, disease

Cystic fibrosis

Diabetes mellitus

Heart, disease

Hypertension

Immune disease

Inflammation

Ischemia

Multiple sclerosis

Neoplasm

Osteoporosis

Psoriasis

Schizophrenia

(treatment; preparation of thiophene- and thiazolecarboxamides as
inhibitors of ROCK, ERK, GSK, and AGC protein kinases)

IT	692878-12-9P	692878-18-5P	692878-23-2P	692878-28-7P
	692878-33-4P	692878-38-9P	692878-43-6P	692878-49-2P
	692878-54-9P	692878-60-7P	692878-64-1P	692878-69-6P
	692878-75-4P	692878-80-1P	692878-85-6P	692878-90-3P
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 693025-22-8P

(claimed compound; preparation of thiophene- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 5 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:392321 HCAPLUS

DOCUMENT NUMBER: 140:406826

TITLE: Preparation of N-benzylpiperazine derivatives
as chemokine receptor CCR1 antagonists useful
as immunomodulatory agents

INVENTOR(S): Blumberg, Laura C.; Brown, Matthew F.; Gaweco,
Anderson S.; Gladue, Ronald P.; Hayward,
Matthew M.; Lundquist, Gregory D.; Poss,
Christopher S.; Shavnya, Andrei

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 58 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

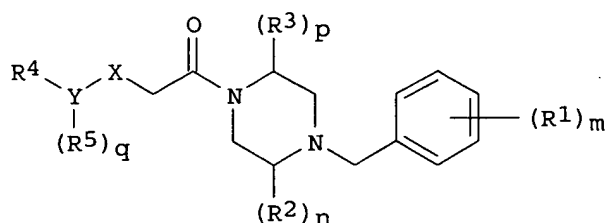
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S): MARPAT 140:406826
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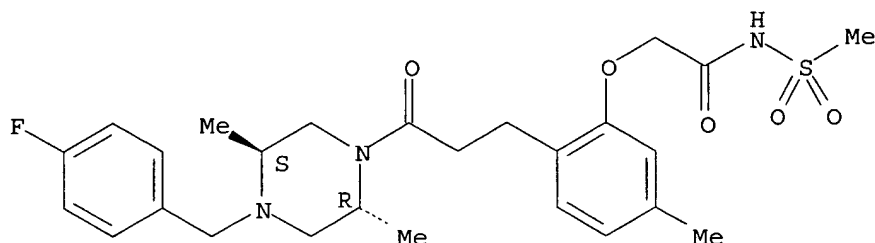
AB The present invention relates to compds. of the formula (I) and the pharmaceutically acceptable forms thereof [m = 0-5; n, p = 0-2; q = 0-4; X = O, S, CH₂, (un)substituted NH; Y = C₆-10 aryl, C₂-9 heteroaryl; R₁ = H, HO, halo, C₁-8 alkyl, C₁-8 alkoxy, HO-C₁-8 alkyl, cyano, NH₂, H₂N-C₁-8 alkyl, CO₂H, C₁-8 alkyl-CO, C₁-8 alkyl-CO-C₁-8 alkyl, CONH₂, or H₂NCO-C₁-8 alkyl; R₂, R₃ = H, oxo, C₁-8 alkyl, C₃-8 cycloalkyl-C₁-8 alkyl, C₆-10 aryl, C₆-10 aryl-C₁-8 alkyl, HO-C₁-8 alkyl, C₁-8 alkyl-O-C₁-8 alkyl, H₂N-C₁-8 alkyl, C₁-8 alkyl-NH-C₁-8 alkyl, (C₁-8 alkyl)₂N-C₁-8 alkyl, C₂-9 heterocyclyl-C₁-8 alkyl, C₃-8 cycloalkyl-NH-C₁-8 alkyl, C₁-8 alkyl-CO-NH-C₁-8 alkyl, C₁-8 alkyl-O-CO-NH-C₁-8 alkyl, H₂NCO-NH-C₁-8 alkyl, C₁-8 alkyl-SO₂NH-C₁-8 alkyl, C₂-9 heteroaryl-C₁-8 alkyl, H₂NCO, H₂NCO-C₁-8 alkyl; R₄ = (HO₂C)(H₂N)-C₁-8 alkyl, (HO₂C)[(C₁-8 alkyl)NH]-C₁-8 alkyl, (HO₂C)[(C₁-8 alkyl)₂N]-C₁-8 alkyl, (HO₂C-C₁-8 alkyl)(C₁-8 alkyl)N, (HO₂C-C₁-8 alkyl)(C₁-8 alkyl)N-C₁-8 alkyl, (HO₂C-C₁-8 alkyl)(C₁-8 alkyl-SO₂)N, (HO₂C-C₁-8 alkyl)(C₁-8 alkyl-SO₂)N-C₁-8 alkyl, (HO₂C-C₁-8 alkyl)(C₁-8 alkyl-CO)N, etc.; R₅ = H, HO, halo, cyano, CO₂H, H₂N, C₁-8 alkyl-NH, (C₁-8 alkyl)₂N, C₁-8 alkyl, C₁-8 alkyl-O, HO-C₁-8 alkyl, C₁-8 alkyl-NH-C₁-8 alkyl, (C₁-8 alkyl)₂N-C₁-8 alkyl, etc.]. Moreover, the present invention is also directed at pharmaceutical compns. comprising the compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal. Particularly, disclosed is a method of treating or preventing a disorder or condition selected from the group consisting of fibrosis, Alzheimer's disease, conditions associated with leptin production, sequelae associated with cancer, cancer metastasis, diseases or conditions related to production of cytokines at inflammatory sites, and tissue damage caused by inflammation induced by infectious agents, wherein the method comprises administering to a mammal in need of such treatment or prevention a pharmaceutically effective amount of the compound I or a pharmaceutically acceptable form thereof. The compds. I are potent and selective inhibitors of MIP-1 α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). [2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetic acid was condensed with methanesulfonamide in CH₂Cl₂ at room temperature for 18 h using 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride to give N-[[2-[3-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxo-propyl]-5-methylphenoxy]acetyl]methanesulfonamide. All the compds. I inhibited MIP-1 α (and the related chemokines shown to interact with CCR1) induced chemotaxis of THP-1 cells and human leukocytes with IC₅₀ of <10 μ M.

IT **519171-77-8P**, N-[[2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetyl]methanesulfonamide **519173-15-0P**, N-[[2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methoxyphenoxy]acetyl]methanesulfonamide (preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

RN 519171-77-8 HCAPLUS

CN Acetamide, 2-[2-[3-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-3-oxopropyl]-5-methylphenoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

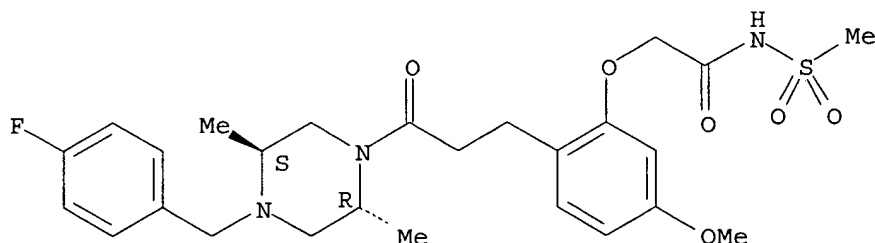
Absolute stereochemistry.



RN 519173-15-0 HCAPLUS

CN Acetamide, 2-[2-[3-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-3-oxopropyl]-5-methoxyphenoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-495

INCL 514255010

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Diabetes** mellitus
(non-insulin-dependent; preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

IT Adenoviridae
Alzheimer's disease
Anorexia
Anti-Alzheimer's agents
Antidiabetic agents
Antimalarials
Antiobesity agents
Antitumor agents
Antiviral agents

Bone resorption
 Cachexia
 Cytomegalovirus
 Fibrosis
 Fungicides
 Human herpesvirus
 Hyperplasia
 Immunomodulators
 Lyme disease
 Malaria
 Mammary gland, neoplasm
 Obesity

(preparation of N-benzylpiperazine derivs. as chemokine receptor
 CCR1 antagonists useful as immunomodulatory agents)

IT 519171-77-8P, N-[[2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-3-oxopropyl]-5-
 methylphenoxy]acetyl]methanesulfonamide 519171-81-4P,
 N-[[5-Chloro-2-[2-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethyl-
 piperazin-1-yl]-2-oxo-ethoxy]-phenoxy]-acetyl]-methanesulfonamide
 hydrochloride 519171-92-7P, [5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-
 (2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
 Phenylsulfamoyl]-Acetic Acid 519171-96-1P, 1-[5-Chloro-2-[2-[4-
 (4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-
 Ethoxy]-Benzyl]-3-(2-Methylbenzenesulfonyl)-Urea 519171-98-3P,
 (2-Methylbenzenesulfonyl)-Carbamic acid 5-Chloro-2-[2-[4-(4-Fluoro-
 Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Benzyl
 Ester 519171-99-4P, 2-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-
 (2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
 Benzylsulfamoyl]-Propionic Acid 519172-00-0P,
 N-[[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-
 Piperazin-1-yl]-2-Oxo-Ethoxy]-Benzyloxy]-Acetyl]-
 Methanesulfonamide 519172-04-4P, 1-Acetyl-3-[5-Chloro-2-[2-[4-(4-
 Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
 Benzyl]Sulfamide 519172-06-6P, [5-Chloro-2-[2-[4-(4-Fluoro-
 Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
 Benzylideneaminooxy]-Acetic Acid 519172-07-7P,
 N-[[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-
 Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Acetyl]-Methanesulfonamide
 519172-09-9P, N-[[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-
 Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Acetyl]-Sulfamide
 519172-10-2P, N-[3-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-
 Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Propionyl]-
 Methanesulfonamide 519172-14-6P, 3-[5-Chloro-2-[2-[4-(4-Fluoro-
 Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-
 Acrylic Acid 519172-16-8P, [5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-
 (2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
 Benzenesulfonylamino]-Acetic Acid hydrochloride 519172-20-4P,
 5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-
 1-yl]-2-Oxo-Ethoxy]-N-[(2-Propylamino)Carbonyl]-Benzenesulfonamide
 519172-21-5P, 5-Chloro-N-(2,2-Dimethyl-Propionyl)-2-[2-[4-(4-
 Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
 Benzenesulfonamide 519172-22-6P, 5-Chloro-2-[2-[4-(4-Fluoro-
 Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-N-(2-
 Hydroxy-2-Methyl-Propionyl)-Benzenesulfonamide 519172-24-8P,
 N-Acetyl-1-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-
 Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Methanesulfonamide
 519172-30-6P, 1-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-
 Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-N-(2-Hydroxy-2-
 Methyl-Propionyl)-Methanesulfonamide 519172-33-9P,
 N-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R)-2-Methyl-Piperazin-1-

yl]-2-Oxo-Ethoxy]-Pyridin-3-yl]-Succinamic Acid 519172-37-3P,
N-[[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Pyridin-3-yl]-Acetyl]-
Methanesulfonamide 519172-45-3P, 3-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Pyridin-
3-yl]-Propionic Acid 519172-49-7P, [[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethylamino]-
Pyridine-3-Carbonyl]-Amino]-Acetic Acid 519172-55-5P,
2-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenylsulfanyl]-2-Methyl-Propionic
Acid 519172-59-9P, 2-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Benzenesulfonyl]-2-
Methyl-Propionic Acid 519172-62-4P, [5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
Phenylmethanesulfonyl]-Acetic Acid 519172-65-7P,
N-[3-[3-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-6-Methyl-Pyridin-2-yl]-Propionyl]-
Methanesulfonamide 519172-70-4P, 2-Amino-3-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
Phenyl]-Propionic Acid 519172-73-7P, [[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
Benzyl]-Methyl-Amino]-Acetic Acid 519172-75-9P,
2-[4-Chloro-2-(2H-Tetrazol-5-ylmethoxy)-Phenoxy]-1-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-Ethanone
519172-77-1P, 2-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenoxy]-Nicotinic Acid
hydrochloride 519172-78-2P, [2-[2-[(2R)-2-Carbamoylmethyl-4-(4-Fluoro-Benzyl)-Piperazin-1-yl]-2-Oxo-Ethoxy]-5-Chloro-Phenoxy]-
Acetic Acid 519172-86-2P, (4S)-4-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
Phenoxy]-1-Methyl-Pyrrolidine-(2S)-2-Carboxylic Acid
dihydrochloride 519172-87-3P, 1-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-
N-(Methoxycarbonyl)-Methanesulfonamide 519172-88-4P,
6-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenoxy]-Nicotinic Acid
519172-90-8P, 5-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-5-Oxo-Pentanoic
Acid 519172-94-2P, 5-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Dihydro-Furan-2-
One 519172-97-5P, 4-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Pyridin-3-ylamino]-
Butyric Acid acetate 519173-03-6P, [5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Pyridin-
3-ylamino]-Acetic Acid acetate 519173-10-5P,
1-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-2-(1H-Tetrazol-5-yl)-
Ethanone hydrochloride 519173-13-8P, 1-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-
Phenyl]-3-(1H-Tetrazol-5-yl)-Propan-1-One hydrochloride
519173-14-9P, [2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methoxyphenoxy]acetic acid
519173-15-0P, N-[[2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-
methoxyphenoxy]acetyl]methanesulfonamide 519173-16-1P,
[5-Chloro-2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]phenoxy]acetic acid 519173-17-2P,
[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-oxoacetic acid 519173-18-3P,
[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-

oxoethoxy]phenoxy]acetic acid 519173-19-4P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-20-7P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-21-8P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-22-9P, [5-Chloro-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-23-0P, N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-24-1P, N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-25-2P, N-[[5-Chloro-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-26-3P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-27-4P, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-28-5P, 6-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyridine-2-carboxylic acid 519173-29-6P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-30-9P, (2R)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-31-0P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-32-1P, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-33-2P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-34-3P, (2S)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-35-4P, 2-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-36-5P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-37-6P, 2-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-38-7P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-39-8P, (2S)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-40-1P, (2S)-2-Amino-4-[5-bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-41-2P, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyridine-2-carboxylic acid 519173-42-3P, N-[(2R)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyryl]methanesulfonamide 519173-43-4P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]thiazole-4-carboxylic acid 519173-44-5P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-2-carboxylic acid 519173-45-6P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-2-carboxylic acid 519173-46-7P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]thiophene-2-carboxylic acid 519173-47-8P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-

dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy)methyl]furan-3-carboxylic acid 519173-48-9P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy)methyl]thiophene-2-carboxylic acid 519173-49-0P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy)methyl]furan-2-carboxylic acid 519173-50-3P, 3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy)methyl]furan-2-carboxylic acid 519173-51-4P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-5-(2-methoxyethyl)pyrimidine-2,4,6-trione 519173-53-6P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-5-methylpyrimidine-2,4,6-trione 519173-55-8P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-5-ethylpyrimidine-2,4,6-trione 519173-58-1P, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid 519173-60-5P, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid 519173-62-7P, (4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-2-carboxylic acid 519173-63-8P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2,2-dimethylpropionic acid 519173-65-0P, (4S)-4-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carboxylic acid 519173-67-2P, (4S)-4-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carboxylic acid 519173-69-4P, (4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carboxylic acid 519173-70-7P, N-[(4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methanesulfonamide 519173-72-9P, [3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]acetic acid 519173-73-0P, 3-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid 519173-74-1P, 3-[3-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid 519173-75-2P, [3-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]acetic acid 519173-76-3P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-3-(methylsulfonyl)urea 519173-77-4P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzylsulfamoyl]acetic acid 519173-78-5P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzyl]-3-(methylsulfonyl)urea 519173-79-6P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzyl]-3-(2-methylbenzoyl)sulfamide 519173-80-9P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzylideneaminoxy]acetic acid 519173-81-0P, [1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ethylideneaminoxy]acetic acid 519173-82-1P, [1-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ethylideneaminoxy]acetic acid 519173-83-2P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-

1-yl]-2-oxoethoxy]phenyl]phenylmethyleneaminoxy]acetic acid
519173-84-3P, [2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]-5-
methylbenzylideneaminoxy]acetic acid 519173-85-4P,
(2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]benzyloxy]propionic acid
519173-86-5P, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-
2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzyloxy]propionic acid
519173-87-6P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]benzyloxy]-2-methylpropionic
acid 519173-88-7P, Methylsulfonylcarbamic acid
5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-
yl]-2-oxoethoxy]benzyl ester 519173-89-8P, N-[5-Chloro-2-[2-[4-
(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]benzoyl]methanesulfonamide 519173-90-1P,
N-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-
2-oxoethoxy]benzoyl]methanesulfonamide 519173-91-2P,
N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-
1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519173-92-3P,
N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)piperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]methanesulfonamide 519173-93-4P,
N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]-
trifluoromethanesulfonamide 519173-94-5P 519173-95-6P,
N-[[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]-4-methoxyphenyl]acetyl]methanesulfonamide
519173-96-7P 519173-97-8P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-
(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]-2-
methylbenzenesulfonamide 519173-98-9P, Ethanesulfonic acid
N-[[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]amide
519173-99-0P, 3,5-Dimethylisoxazole-4-sulfonic acid
N-[[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]amide
519174-00-6P, N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)piperazin-1-yl]-
2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-01-7P,
(R)-N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-
2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-02-8P,
(R)-N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-03-9P,
N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]-4-
methoxybenzenesulfonamide 519174-04-0P, 2-Chloro-N-[[5-chloro-2-
[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]benzenesulfonamide 519174-05-1P,
N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]-2-
fluorobenzenesulfonamide 519174-06-2P, N-[[5-Chloro-2-[2-[4-(4-
fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]-4-methylbenzenesulfonamide
519174-07-3P, Propane-2-sulfonic acid [[5-chloro-2-[2-[4-(4-
fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]amide 519174-08-4P, Propane-1-sulfonic
acid [[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]amide
519174-10-8P, 2-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-cyanoacetamide
519174-11-9P, N-[[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonami
de 519174-12-0P, (R)-N-[[4-Chloro-2-[2-[4-(4-fluorobenzyl)-2-

methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide
 519174-13-1P, N-[[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R,5S)-
 2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfo
 namide 519174-14-2P, N-[[5-Chloro-2-[2-[4-(4-chlorobenzyl)-
 (2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-15-3P,
 N-[[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-16-4P,
 N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]phenylmethanesul
 fonamide 519174-17-5P, N-[3-[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-
 2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]propionyl]methanesu
 lfonamide 519174-18-6P, (R)-N-[[5-Chloro-2-[2-[4-(4-
 chlorobenzyl)-2-methylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-19-7P,
 (R)-N-[[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-2-methylpiperazin-1-
 yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-20-0P,
 (R)-N-[[5-Chloro-2-[2-[2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-
 oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-21-1P,
 (R)-N-[[5-Bromo-2-[2-[2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-
 oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-22-2P,
 (R)-N-[[2-[2-[2-Ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxo-
 ethoxy]-5-methylphenyl]acetyl]methanesulfonamide 519174-23-3P
 , (R)-N-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]propionyl]methanesulfonamide 519174-24-4P,
 N-[3-[2-[2-[4-(4-Fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-
 oxoethoxy]-5-methylphenyl]propionyl]methanesulfonamide
 519174-25-5P 519174-26-6P, (R)-N-[3-[5-Bromo-2-[2-[4-(4-
 fluorobenzyl)-2-methylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]propionyl]methanesulfonamide 519174-27-7P
 519174-28-8P, (R)-N-[3-[2-[2-[2-Ethyl-4-(4-fluorobenzyl)piperazin-
 1-yl]-2-oxoethoxy]-5-methylphenyl]propionyl]methanesulfonamide
 519174-29-9P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]benzylamino]acetic acid
 519174-30-2P, 3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid
 519174-31-3P, 3-[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]-5-methylphenyl]acrylic acid
 519174-32-4P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-
 methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid
 519174-33-5P, 3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-
 methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid
 519174-34-6P, 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]-N-
 [(ethylamino)carbonyl]benzenesulfonamide 519174-35-7P,
 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-
 yl]-2-oxoethoxy]-N-[(phenylamino)carbonyl]benzenesulfonamide
 519174-36-8P, 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]-N-[(2-
 methylphenylamino)carbonyl]benzenesulfonamide 519174-37-9P,
 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-
 yl]-2-oxoethoxy]-N-[(4-fluorophenylamino)carbonyl]benzenesulfonami
 de 519174-38-0P, 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]-N-
 (methoxycarbonyl)benzenesulfonamide 519174-39-1P,
 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-
 yl]-2-oxoethoxy]-N-(ethoxycarbonyl)benzenesulfonamide
 519174-40-4P, 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]-N-
 isobutyrylbenzenesulfonamide 519174-41-5P, 5-Chloro-N-

(cyclopropylcarbonyl)-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzenesulfonamide
 519174-42-6P 519174-43-7P 519174-44-8P, [[[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]sulfonyl]amino]-oxoacetic acid 519174-45-9P
 519174-47-1P, (R)-N-Acetyl-1-[5-chloro-2-[2-[4-(3,4-difluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide 519174-48-2P,
 (R)-N-Acetyl-1-[5-chloro-2-[2-[4-(4-chlorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-49-3P, (R)-[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-50-6P, (R)-[5-Chloro-2-[2-[4-(4-chlorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-52-8P, 1-[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(cyclopropylcarbonyl)methanesulfonamide 519174-53-9P,
 1-[5-Chloro-2-[2-[4-(4-chlorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(trifluoroacetyl)methanesulfonamide
 519174-54-0P, [5-Chloro-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-55-1P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-56-2P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-57-3P, [5-Bromo-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-58-4P, N-Acetyl-1-[5-chloro-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-59-5P, N-Acetyl-1-[5-bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-60-8P, N-Acetyl-1-[5-bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-61-9P, N-Acetyl-1-[5-bromo-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-62-0P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(2,2-dimethylpropionyl)methanesulfonamide 519174-63-1P,
 [5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-64-2P, [5-Chloro-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-65-3P, N-Acetyl-1-[5-chloro-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide 519174-66-4P,
 1-[5-Chloro-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(cyclopropylcarbonyl)methanesulfonamide
 519174-67-5P 519174-68-6P 519174-69-7P,
 1-[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(cyclopropylcarbonyl)methanesulfonamide 519174-70-0P,
 [5-Bromo-2-[2-[4-(4-chlorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide 519174-71-1P,
 N-Acetyl-1-[5-bromo-2-[2-[4-(4-chlorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-72-2P, N-Acetyl-1-[5-bromo-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-73-3P, [5-Bromo-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
 519174-74-4P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-

dimethylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonylamino]-
 oxoacetic acid 519174-75-5P, 1-[5-Chloro-2-[2-[4-(4-
 fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]-N-[(1-hydroxycyclopropyl)carbonyl]methanesulfona
 mide 519174-76-6P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-
 methylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonylamino]-
 oxoacetic acid 519174-77-7P, 1-[5-Chloro-2-[2-[4-(4-
 fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]phenyl]-N-(methoxyacetyl)methanesulfonamide
 519174-78-8P, N-Acetyl-1-[2-[2-[4-(4-fluorobenzyl)-(2R)-2-
 methylpiperazin-1-yl]-2-oxoethoxy]-5-trifluoromethylphenyl]methane
 sulfonamide 519174-79-9P, N-Acetyl-1-[2-[2-[4-(4-fluorobenzyl)-
 (2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]-5-
 trifluoromethylphenyl]methanesulfonamide 519174-80-2P,
 [2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]-5-trifluoromethylphenyl]methanesulfonamide
 519174-81-3P, [2-[2-[4-(4-Fluorobenzyl)-(2R)-2-methylpiperazin-1-
 yl]-2-oxoethoxy]-5-trifluoromethylphenyl]methanesulfonamide
 519174-82-4P 519174-83-5P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-
 (2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(3-
 hydroxy-3-methylbutyryl)methanesulfonamide 519174-84-6P,
 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-
 2-oxoethoxy]phenyl]-N-(2-hydroxy-2-methylpropionyl)methanesulfonam
 ide 519174-85-7P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-
 methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-
 (hydroxyacetyl)methanesulfonamide 519174-86-8P 519174-87-9P
 519174-88-0P, 1-[5-Chloro-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-
 (hydroxyacetyl)methanesulfonamide 519174-89-1P,
 1-[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-
 (hydroxyacetyl)methanesulfonamide 519174-90-4P,
 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-
 2-oxoethoxy]phenyl]-N-(3-hydroxy-3-methylbutyryl)methanesulfonamid
 e 519174-91-5P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-
 methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-[(1-
 hydroxycyclopropyl)carbonyl]methanesulfonamide 519174-92-6P,
 1-[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]-5-trifluoromethylphenyl]-N-
 (hydroxyacetyl)methanesulfonamide 519174-93-7P,
 1-[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
 oxoethoxy]-5-trifluoromethylphenyl]-N-(2-hydroxy-2-
 methylpropionyl)methanesulfonamide 519174-94-8P,
 1-[2-[2-[4-(4-Fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-
 oxoethoxy]-5-trifluoromethylphenyl]-N-(2-hydroxy-2-
 methylpropionyl)methanesulfonamide 519174-95-9P,
 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-
 2-oxoethoxy]phenyl]-N-(methoxycarbonyl)methanesulfonamide
 519174-96-0P, 1-[5-Chloro-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-
 (methoxycarbonyl)methanesulfonamide 519174-97-1P,
 1-[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R,5S)-2,5-
 dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-
 (methoxycarbonyl)methanesulfonamide
 (preparation of N-benzylpiperazine derivs. as chemokine receptor
 CCR1 antagonists useful as immunomodulatory agents)

L32 ANSWER 6 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:387265 HCAPLUS
 DOCUMENT NUMBER: 140:391297

TITLE: Preparation of piperazine derivatives as CCR1 antagonists
 INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Gaweco, Anderson See; Gladue, Ronald Paul; Hayward, Matthew Merrill; Lundquist, Gregory Dean; Poss, Christopher Stanley; Shavnya, Andre
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 131 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039376	A1	20040513	WO 2003-IB4612	2003 1020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2498261	AA	20040513	CA 2003-2498261	2003 1020
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AU 2003269364	A1	20040525	AU 2003-269364	2003 1020
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BR 2003015777	A	20050913	BR 2003-15777	2003 1020
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EP 1583533	A1	20051012	EP 2003-751145	2003 1020
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006506391	T2	20060223	JP 2004-547876	2003 1020
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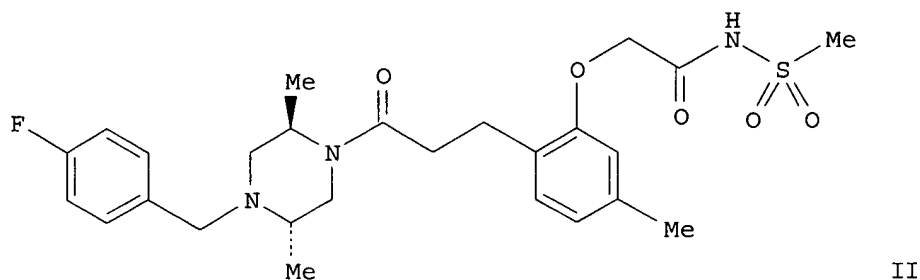
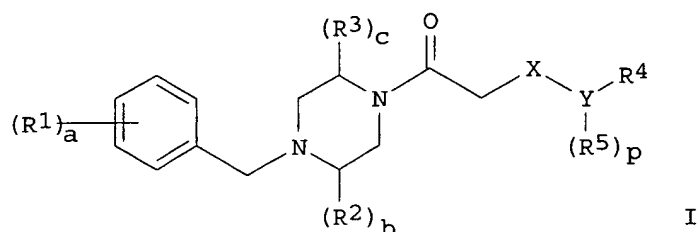
PRIORITY APPLN. INFO.:	US 2002-422590P	P	2002 1030
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WO 2003-IB4612

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1020

OTHER SOURCE(S) : MARPAT 140:391297
GI



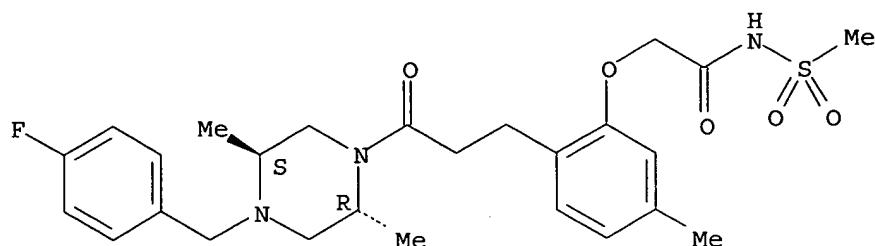
AB Title compds. I [a = 0-5; b,c = 0-2; p = 0-4; X = O, S, CH₂, (un)substituted amino; Y = (hetero)aryl; R₁ = H, OH, halo, alkyl, alkoxy, etc.; R₂-3 = H, oxo, (cyclo)alkyl, aryl, etc.; R₄ = alkyl, etc.; R₅ = H, OH, halo, CN, etc.] are prepared. For instance, (2R,5S)-1-(4-fluorobenzyl)-2,5-dimethylpiperazine (preparation given) is reacted with 7-methylchroman-2-one (PhMe, reflux 48 h), the resulting propanone treated with bromoacetic acid Me ester (THF, NaH) and the ester saponified to give II. All example compds. have IC₅₀ < 10 μM in the chemotaxis assay. I are useful for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal.

IT **519171-77-8P 519173-15-0P**, N-[[2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methoxyphenoxy]acetyl]methanesulfonamide
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 519171-77-8 HCAPLUS

CN Acetamide, 2-[2-[3-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-3-oxopropyl]-5-methylphenoxy]-N-(methanesulfonyl)- (9CI) (CA INDEX NAME)

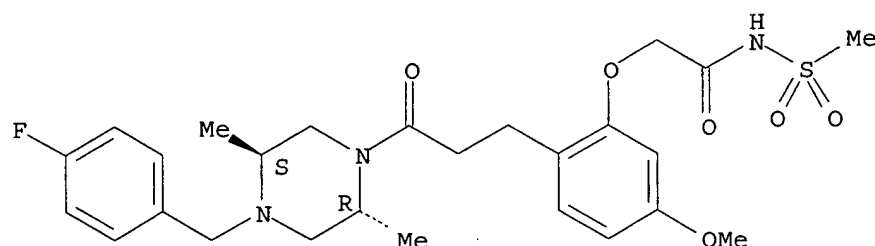
Absolute stereochemistry.



RN 519173-15-0 HCAPLUS

CN Acetamide, 2-[2-[3-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-3-oxopropyl]-5-methoxyphenoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-495

ICS A61P037-02

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT Alzheimer's disease
Anorexia
Anti-Alzheimer's agents
Anticholesteremic agents
Antidiabetic agents
Antiobesity agents
Antitumor agents
Bone resorption
Cachexia
Cardiovascular agents
Cytomegalovirus
Diabetes insipidus
Diabetes mellitus
Emphysema
Encephalomyelitis
Fibrosis
Human
Hyperplasia
Inflammation
Kidney, disease
Lyme disease
Malaria
Mammary gland, neoplasm
Meningitis
Multiple myeloma

Neoplasm

Obesity

(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

IT 519171-77-8P 519171-85-8P, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid 519171-92-7P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenylsulfamoyl]acetic acid 519171-93-8P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzylamino]propionic acid hydrochloride 519171-96-1P 519171-98-3P, (2-Methylbenzenesulfonyl)carbamic acid 5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzyl ester 519171-99-4P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzylsulfamoyl]propionic acid 519172-04-4P 519172-06-6P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzylideneaminoxy]acetic acid 519172-07-7P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519172-09-9P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]sulfamide 519172-10-2P, N-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]propionyl]methanesulfonamide 519172-14-6P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid 519172-16-8P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzenesulfonyl]amino]acetic acid hydrochloride 519172-21-5P, 5-Chloro-N-(2,2-dimethylpropionyl)-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzenesulfonamide 519172-22-6P, 5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]-N-(2-hydroxy-2-methylpropionyl)benzenesulfonamide 519172-30-6P 519172-32-8P 519172-33-9P, N-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]pyridin-3-yl]succinamic acid 519172-37-3P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]pyridin-3-yl]acetyl]methanesulfonamide 519172-45-3P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]pyridin-3-yl]propionic acid 519172-49-7P, [[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]amino]pyridine-3-carbonyl]amino]acetic acid 519172-55-5P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenylsulfanyl]-2-methylpropionic acid 519172-59-9P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzenesulfonyl]-2-methylpropionic acid 519172-62-4P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonyl]acetic acid 519172-65-7P, N-[3-[3-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]-6-methylpyridin-2-yl]propionyl]methanesulfonamide 519172-70-4P, 2-Amino-3-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]propionic acid 519172-73-7P, [[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzyl]methylamino]acetic acid 519172-75-9P, 2-[4-Chloro-2-(2H-tetrazol-5-ylmethoxy)phenoxy]-1-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]ethanone 519172-77-1P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-

dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]nicotinic acid hydrochloride 519172-78-2P, [2-[2-[(2R)-2-Carbamoylmethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]-5-chlorophenoxy]acetic acid 519172-86-2P, (4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-1-methylpyrrolidine-(2S)-2-carboxylic acid dihydrochloride 519172-87-3P 519172-88-4P, 6-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]nicotinic acid 519172-90-8P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-5-oxopentanoic acid 519172-94-2P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]dihydrofuran-2-one 519173-10-5P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-2-(1H-tetrazol-5-yl)ethanone hydrochloride 519173-13-8P, 1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-3-(1H-tetrazol-5-yl)propan-1-one hydrochloride 519173-14-9P 519173-15-0P, N-[[2-[3-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methoxyphenoxy]acetyl]methanesulfonamide 519173-16-1P, [5-Chloro-2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]phenoxy]acetic acid 519173-17-2P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]oxoacetic acid 519173-18-3P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-19-4P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-20-7P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-21-8P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-22-9P, [5-Chloro-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenoxy]acetic acid 519173-23-0P, N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-24-1P, N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-25-2P, N-[[5-Chloro-2-[2-[(2R)-2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenoxy]acetyl]methanesulfonamide 519173-26-3P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-27-4P, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-28-5P, 6-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyridine-2-carboxylic acid 519173-29-6P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-30-9P, (2R)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-31-0P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-32-1P, (R)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butanoic acid 519173-33-2P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-34-3P, (2S)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-35-4P, 2-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-

2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-36-5P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-37-6P, 2-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2-methylpropionic acid 519173-38-7P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]difluoroacetic acid 519173-39-8P, (2S)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-40-1P, (2S)-2-Amino-4-[5-bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyric acid 519173-41-2P, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyridine-2-carboxylic acid 519173-42-3P, N-[(2R)-2-Amino-4-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]butyryl]methanesulfonamide 519173-43-4P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]thiazole-4-carboxylic acid 519173-44-5P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-2-carboxylic acid 519173-45-6P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-2-carboxylic acid 519173-46-7P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]thiophene-2-carboxylic acid 519173-47-8P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-3-carboxylic acid 519173-48-9P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]thiophene-2-carboxylic acid 519173-49-0P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-2-carboxylic acid 519173-50-3P, 3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]methyl]furan-2-carboxylic acid 519173-51-4P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-5-(2-methoxyethyl)pyrimidine-2,4,6-trione 519173-53-6P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-5-methylpyrimidine-2,4,6-trione 519173-55-8P, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-5-ethylpyrimidine-2,4,6-trione 519173-58-1P, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid 519173-60-5P, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid 519173-62-7P, (4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-2-carboxylic acid 519173-63-8P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]-2,2-dimethylpropionic acid 519173-65-0P, (4S)-4-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carboxylic acid 519173-67-2P, (4S)-4-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carboxylic acid 519173-69-4P, (4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carboxylic acid 519173-70-7P, N-[(4S)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-

oxoethoxy]phenoxy]pyrrolidine-(2S)-2-carbonyl]methanesulfonamide
519173-72-9P 519173-73-0P 519173-74-1P 519173-75-2P
519173-76-3P 519173-77-4P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-
(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]benzylsulfamoyl]acetic acid 519173-78-5P
519173-79-6P 519173-80-9P, [5-Bromo-2-[2-[4-(4-fluorobenzyl)-
(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]benzylideneaminooxy]acetic acid 519173-81-0P,
[1-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ethylideneaminooxy]acet
ic acid 519173-82-1P, [1-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-
(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]phenyl]ethylideneaminooxy]acetic acid 519173-83-2P,
[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-
1-yl]-2-oxoethoxy]phenyl]phenylmethyleneaminooxy]acetic acid
519173-84-3P, [2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]-5-
methylbenzylideneaminooxy]acetic acid 519173-85-4P,
(2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]benzyloxy]propionic acid
519173-86-5P, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-
2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzyloxy]propionic acid
519173-87-6P, 2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-
dimethylpiperazin-1-yl]-2-oxoethoxy]benzyloxy]-2-methylpropionic
acid 519173-88-7P, Methylsulfonylcarbamic acid
5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-
yl]-2-oxoethoxy]benzyl ester 519173-89-8P 519173-90-1P,
N-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-
2-oxoethoxy]benzoyl]methanesulfonamide 519173-91-2P
519173-92-3P, N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)piperazin-1-yl]-
2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519173-93-4P
519173-94-5P 519173-95-6P 519173-96-7P 519173-97-8P
519173-98-9P 519173-99-0P 519174-00-6P, N-[[5-Bromo-2-[2-[4-(4-
fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulf
onamide 519174-01-7P, (R)-N-[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-
2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonami
de 519174-02-8P, (R)-N-[[5-Bromo-2-[2-[4-(4-fluorobenzyl)-2-
methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide
519174-03-9P 519174-04-0P 519174-05-1P 519174-06-2P
519174-07-3P, Propane-2-sulfonic acid [[5-chloro-2-[2-[4-(4-
fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]amide 519174-08-4P 519174-11-9P
519174-12-0P, (R)-N-[[4-Chloro-2-[2-[4-(4-fluorobenzyl)-2-
methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide
519174-13-1P 519174-14-2P 519174-15-3P 519174-16-4P
519174-17-5P 519174-18-6P, (R)-N-[[5-Chloro-2-[2-[4-(4-
chlorobenzyl)-2-methylpiperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-19-7P,
(R)-N-[[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-2-methylpiperazin-1-
yl]-2-oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-20-0P,
(R)-N-[[5-Chloro-2-[2-[2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-21-1P,
(R)-N-[[5-Bromo-2-[2-[2-ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-
oxoethoxy]phenyl]acetyl]methanesulfonamide 519174-22-2P,
(R)-N-[[2-[2-[2-Ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-
oxoethoxy]-5-methylphenyl]acetyl]methanesulfonamide
519174-23-3P, (R)-N-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-
methylpiperazin-1-yl]-2-oxoethoxy]phenyl]propionyl]methanesulfonam
ide 519174-24-4P, N-[3-[2-[2-[4-(4-Fluorobenzyl)-(2R)-2-
methylpiperazin-1-yl]-2-oxoethoxy]-5-methylphenyl]propionyl]methan

esulfonamide 519174-25-5P 519174-26-6P, (R)-N-[3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]propionyl]methanesulfonamide 519174-27-7P
519174-28-8P, (R)-N-[3-[2-[2-[2-Ethyl-4-(4-fluorobenzyl)piperazin-1-yl]-2-oxoethoxy]-5-methylphenyl]propionyl]methanesulfonamide
519174-29-9P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzylamino]acetic acid
519174-30-2P, 3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid
519174-31-3P, 3-[2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]-5-methylphenyl]acrylic acid
519174-32-4P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid
519174-33-5P, 3-[5-Bromo-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]acrylic acid
519174-34-6P 519174-35-7P 519174-36-8P 519174-37-9P
519174-38-0P 519174-39-1P 519174-40-4P 519174-41-5P
519174-42-6P 519174-43-7P 519174-44-8P 519174-45-9P
519174-52-8P, (R)-[5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-((cyclopropane)carbonyl)methanesulfonamide 519174-53-9P,
(R)-[5-Chloro-2-[2-[4-(4-chlorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(trifluoroacetyl)methanesulfonamide
519174-62-0P 519174-63-1P, [5-Chloro-2-[2-[4-(3,4-difluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide 519174-66-4P 519174-67-5P
519174-69-7P 519174-70-0P, [5-Bromo-2-[2-[4-(4-chlorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
519174-73-3P, [5-Bromo-2-[2-[4-(4-chlorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonamide
519174-74-4P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonylamino]oxoacetic acid 519174-75-5P 519174-76-6P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonylamino]oxoacetic acid 519174-77-7P
519174-78-8P, (R)-N-Acetyl[2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]-5-trifluoromethylphenyl]methanesulfonamide 519174-79-9P 519174-80-2P, [2-[2-[4-(4-Fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]-5-trifluoromethylphenyl]methanesulfonamide 519174-81-3P,
[2-[2-[4-(4-Fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]-5-trifluoromethylphenyl]methanesulfonamide
519174-82-4P 519174-83-5P 519174-84-6P, (R)-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(2-hydroxy-2-methylpropionyl)methanesulfonamide 519174-85-7P,
(R)-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(hydroxyacetyl)methanesulfonamide
519174-86-8P 519174-87-9P 519174-88-0P 519174-89-1P
519174-90-4P, (R)-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(3-hydroxy-3-methylbutyryl)methanesulfonamide 519174-91-5P,
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(R)-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-N-(methoxycarbonyl)methanesulfonamide
519174-96-0P 519174-97-1P 519174-98-2P, N-[5-Chloro-2-[2-[4-(4-

fluorobenzyl) - (2R) -2-methylpiperazin-1-yl] -2-oxoethoxy]pyridin-3-yl] -2,2-dimethylsuccinamic acid 519174-99-3P,
[[5-Chloro-2-[2-[4-(4-fluorobenzyl) - (2R,5S) -2,5-dimethylpiperazin-1-yl] -2-oxoethoxy]pyridine-3-carbonyl]amino]acetic acid 519175-00-9P, N-[5-Chloro-2-[2-[4-(4-fluorobenzyl) - (2R,5S) -2,5-dimethylpiperazin-1-yl] -2-oxoethoxy]pyridin-3-yl]succinamic acid 519175-01-0P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl) - (2R,5S) -2,5-dimethylpiperazin-1-yl] -2-oxoethoxy]pyridin-3-yl]acrylic acid 519175-02-1P, 3-[5-Chloro-2-[2-[4-(4-fluorobenzyl) - (2R,5S) -2,5-dimethylpiperazin-1-yl] -2-oxoethylamino]pyridin-3-yl]propionic acid 519175-03-2P, N-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl) - (2R,5S) -2,5-dimethylpiperazin-1-yl] -2-oxoethoxy]pyridin-3-yl]propionyl]methanesulfonamide 519175-04-3P, 2-Amino-3-[5-chloro-2-[2-[4-(4-fluorobenzyl) - (2R,5S) -2,5-dimethylpiperazin-1-yl] -2-oxoethoxy]pyridin-3-yl]propionic acid 519175-05-4P
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 688031-96-1P 688031-98-3P 688032-01-1P 688032-02-2P
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 (preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

L32 ANSWER 7 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:120834 HCAPLUS

DOCUMENT NUMBER: 140:181466

TITLE: Preparation of resorcinol derivatives as
peroxisome proliferator-activated
 receptor (PPAR) γ -agonists

INVENTOR(S): Shibata, Tomoyuki; Wada, Kunio; Nakamura,
 Yuji; Araki, Kazushi

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

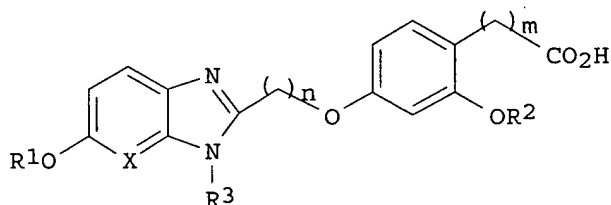
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S): MARPAT 140:181466
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AB 4-[(Pyrido[2,3-d]imidazol-2-yl or benzimidazol-2-ylalkoxy)phenyl]propanoic acid or acetic acid derivs. represented by the following general formula (I) [wherein X = CH, N; R1 = each (un)substituted C1-6 alkyl, C3-10 cycloalkyl, C2-6 alkenyl, C6-10 aryl, C7-16 aralkyl, 4- to 10-membered heterocycle containing one to three heteroatoms selected from N, O, and S atoms; R2 = each (un)substituted C7-16 aralkyl, C9-16 aralkenyl, or alkyl substituted by a 5- to 10-membered heteroarom. ring containing one to three heteroatoms selected from N, O, and S atoms; R3 = H, C1-6 alkyl, (un)substituted C6-10 aryl; m = 1, 2; n = an integer of 1-3] or pharmacol. acceptable salts or esters thereof are prepared. Also disclosed are pharmaceutical compns. containing the compds. I or pharmacol. acceptable salts or esters thereof as the active ingredients (1) for improving insulin-resistance, lowering blood sugar, or inhibiting the proliferation of cancer cells or (2) for the prevention and/or treatment of **diabetes**, impaired glucose tolerance, obesity, hyperlipemia, or **diabetes** complications. Thus, 1.09 g 3-(2-benzyloxy-4-hydroxyphenyl)propionic acid Et ester and 697 mg 2-hydroxymethyl-6-methoxy-1-methyl-1H-benzimidazole were dissolved in 30 mL toluene, treated with 1.13 mL tributylphosphine and 1.14

g 1,1'-(azodicarbonyl)dipiperidine and stirred at room temperature overnight to give 87% 3-[2-benzyloxy-4-(6-methoxy-1-methyl-1H-benzimidazol-2-ylmethoxy)phenyl]propionic acid Et ester which (1.5 g) was stirred with a mixture of 7 mL EtOH, 7 mL THF, and 6.3 mL 1 N aqueous NaOH at room temperature overnight and stirred with 1 N aqueous HCl and EtOAc to give 45% 3-[2-benzyloxy-4-(6-methoxy-1-methyl-1H-benzimidazol-2-ylmethoxy)phenyl]propionic acid (II). 3-[4-[2-[6-(4-Amino-3,5-dimethylphenoxy)-1-methyl-1H-benzimidazol-2-yl]ethoxy]-2-(4-chlorobenzyloxy)phenyl]propionic acid hydrochloride was fed to male KK mice with a feed containing 0.01% II for 3 days to lower blood sugar level by 71%. A capsule, a tablet, and a granule containing I were formulated.

IT 657431-17-9P 657431-36-2P 657431-40-8P

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657431-56-6P 657431-60-2P 657431-64-6P

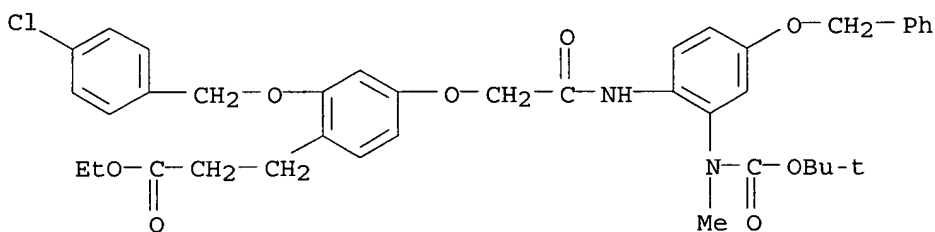
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(preparation of resorcinol derivs. as **peroxisome** proliferator-activated receptor (PPAR) γ -agonists, anticancer agents, or treatment or prevention of **diabetes**, impaired glucose tolerance, obesity, or hyperlipemia)

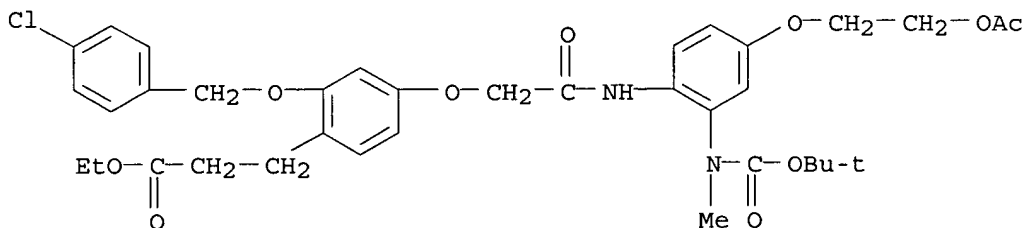
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CN Benzenepropanoic acid, 2-[[4-(chlorophenyl)methoxy]-4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-(phenylmethoxy)phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



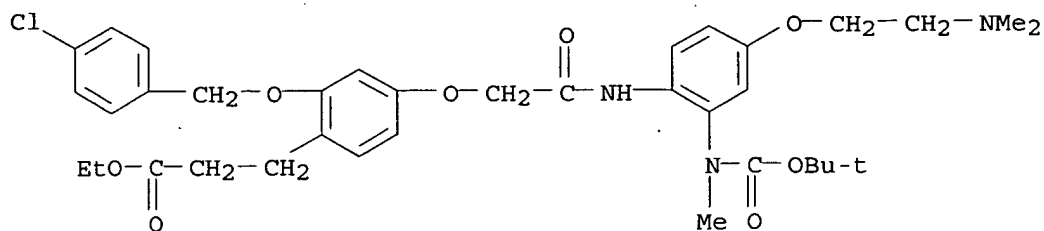
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CN Benzenepropanoic acid, 4-[2-[[4-[2-(acetyloxy)ethoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-2-[[4-(chlorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



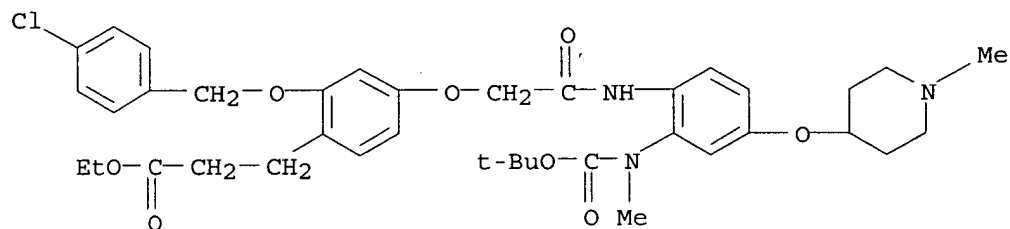
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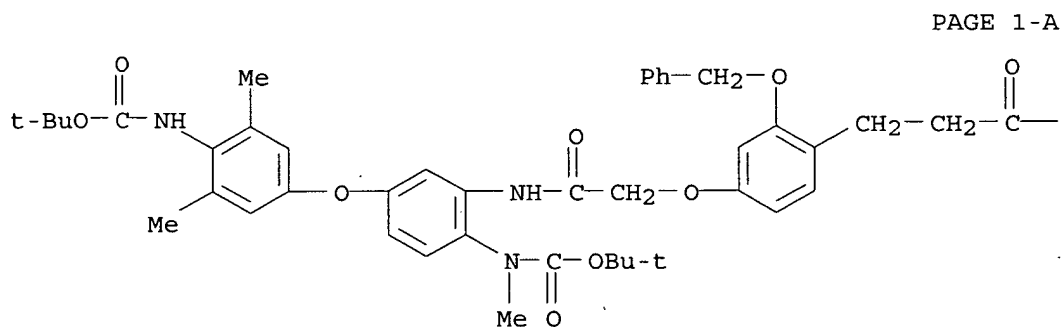
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CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[2-[(1,1-dimethylethoxy)carbonyl]methylamino]-4-[(1-methyl-4-piperidinyl)oxy]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI)
(CA INDEX NAME)



RN 657431-46-4 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-[4-[(1,1-dimethylethoxy)carbonyl]amino]-3,5-dimethylphenoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-2-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



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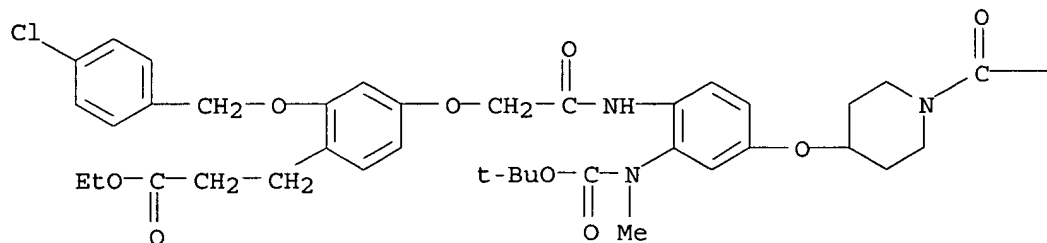
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RN 657431-50-0 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[[3-[(4-chlorophenyl)methoxy]-4-(3-ethoxy-3-oxopropyl)phenoxy]acetyl]amino]-3-[(1,1-

dimethylethoxy) carbonyl]methylamino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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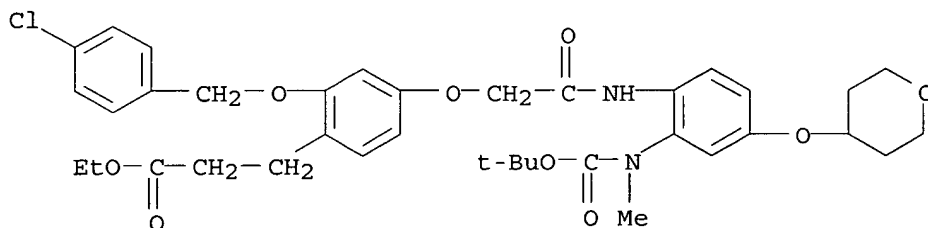


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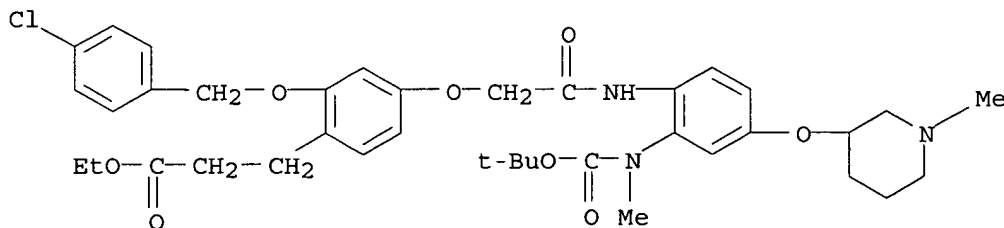
RN 657431-56-6 HCAPLUS

CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[2-[[[(1,1-dimethylethoxy) carbonyl]methylamino]-4-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 657431-60-2 HCAPLUS

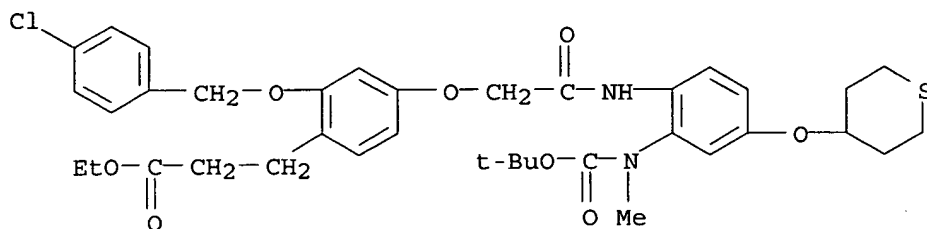
CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[2-[[[(1,1-dimethylethoxy) carbonyl]methylamino]-4-[(1-methyl-3-piperidinyl)oxy]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 657431-64-6 HCAPLUS

CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[2-[[[(1,1-dimethylethoxy) carbonyl]methylamino]-4-[(tetrahydro-2H-thiopyran-4-

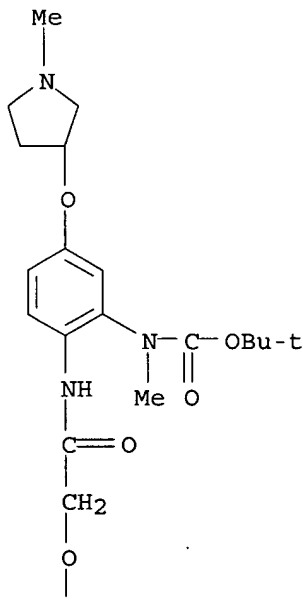
yl)oxy]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



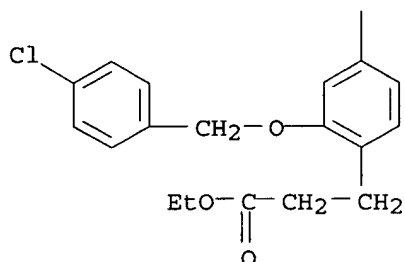
RN 657431-68-0 HCAPLUS

CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

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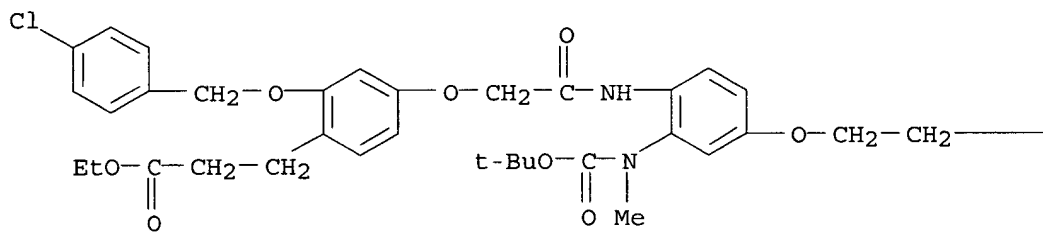


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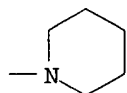


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 (CA INDEX NAME)

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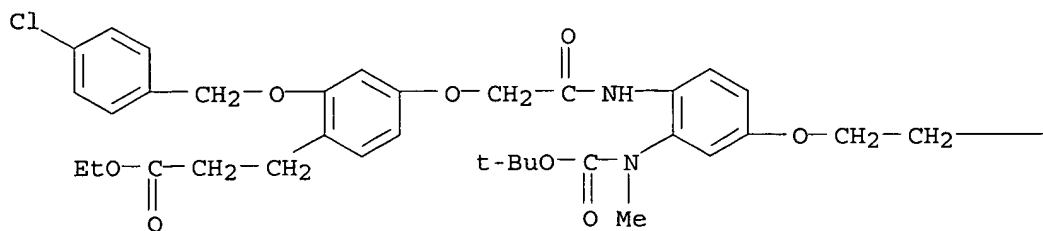


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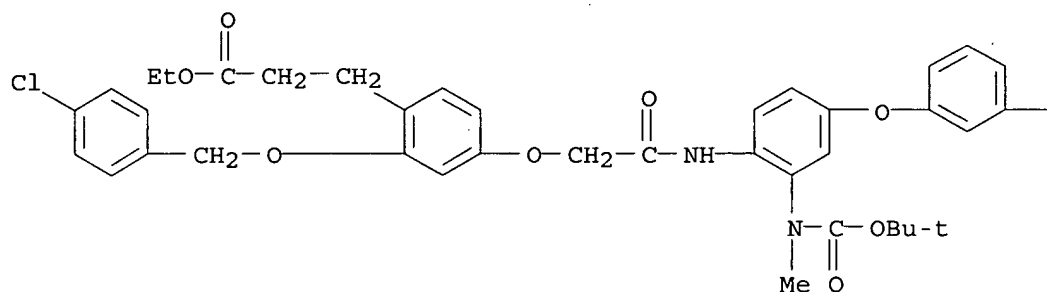
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 CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[2-[(1,1-dimethylethoxy)carbonyl]methylamino]-4-[2-(4-morpholinyl)ethoxy]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI)
 (CA INDEX NAME)

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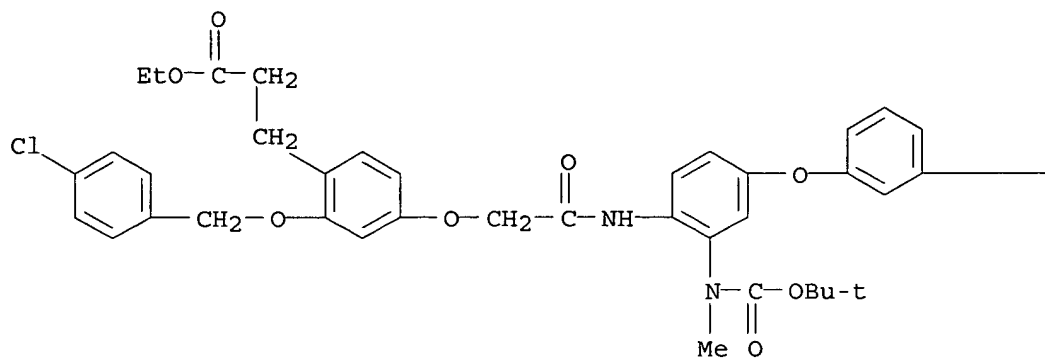
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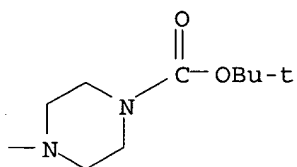
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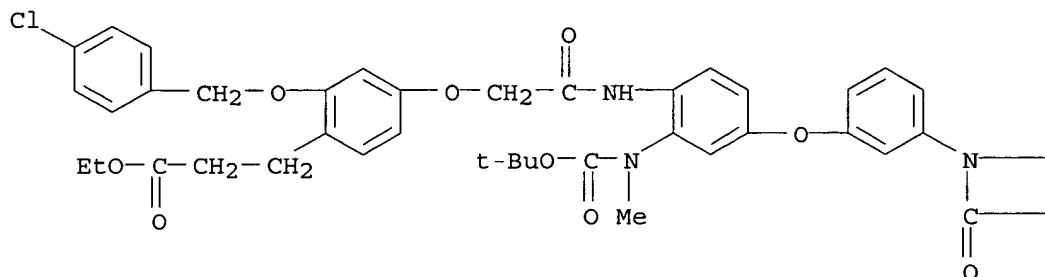
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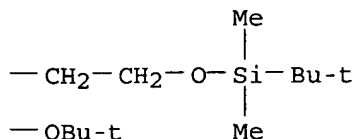
RN 657432-02-5 HCAPLUS

CN Benzenepropanoic acid, 2-[(4-chlorophenyl)methoxy]-4-[2-[[4-[3-[[[(1,1-dimethylethoxy)carbonyl][2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]amino]phenoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

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 ICS C07D401-12; C07D409-12; C07D471-04; C07D403-12; A61K031-4184;
 A61K031-4188; A61K031-4439; A61K031-4709; A61K031-454;
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 A61P001-18; A61P003-04; A61P003-06; A61P003-10; A61P007-00;
 A61P001-06
- CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
- ST resorcinol deriv prepn **peroxisome** proliferator activated
 receptor gamma agonist; PPAR gamma agonist
 benzimidazolylalkoxyphenylpropanoic acid prepn;
 benzimidazolylmethoxyphenylpropionic acid prepn treatment
diabetes; benzimidazolethoxyphenylpropionic acid prepn
 treatment **diabetes**; impaired glucose tolerance treatment
 prevention benzimidazolylalkoxyphenylpropanoic acid prepn; obesity
 hyperlipemia treatment prevention benzimidazolylalkoxyphenylpropanoic
 acid prepn; **diabetes** complication treatment
 prevention benzimidazolylalkoxyphenylpropanoic acid prepn; insulin
 resistance improver benzimidazolylalkoxyphenylpropanoic acid
 prepn; blood sugar lowering benzimidazolylalkoxyphenylpropanoic
 acid prepn; cancer proliferation inhibitor
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- IT **Diabetes** mellitus
 (diabetes complications; preparation of resorcinol derivs.
 as **peroxisome** proliferator-activated receptor (PPAR)
 γ-agonists, anticancer agents, or treatment or prevention
 of **diabetes**, impaired glucose tolerance, obesity, or
 hyperlipemia)
- IT **Antidiabetic** agents
 Antiobesity agents
 Antitumor agents
Diabetes mellitus
 Human
 Hyperglycemia
 Hypolipemic agents
 Neoplasm
 Obesity
 (preparation of resorcinol derivs. as **peroxisome**
 proliferator-activated receptor (PPAR) γ-agonists,
 anticancer agents, or treatment or prevention of
diabetes, impaired glucose tolerance, obesity, or
 hyperlipemia)
- IT Hyperlipidemia
 (preparation of resorcinol derivs. as **peroxisome**
 proliferator-activated receptor (PPAR) γ-agonists,
 anticancer agents, or treatment or prevention of
diabetes, impaired glucose tolerance, obesity, or

- hyperlipemia)
- IT Peroxisome proliferator-activated receptors
(γ , agonists; preparation of resorcinol derivs. as
peroxisome proliferator-activated receptor (PPAR)
 γ -agonists, anticancer agents, or treatment or prevention
of **diabetes**, impaired glucose tolerance, obesity, or
hyperlipemia)
- IT 50-99-7, D-Glucose, biological studies
(impaired glucose tolerance; preparation of resorcinol derivs. as
peroxisome proliferator-activated receptor (PPAR)
 γ -agonists, anticancer agents, or treatment or prevention
of **diabetes**, impaired glucose tolerance, obesity, or
hyperlipemia)
- IT 657429-24-8P 657429-25-9P 657429-26-0P 657429-27-1P
657429-28-2P 657429-29-3P 657429-30-6P 657429-31-7P
657429-32-8P 657429-33-9P 657429-34-0P 657429-35-1P
657429-36-2P 657429-37-3P 657429-38-4P 657429-39-5P
657429-40-8P 657429-41-9P 657429-42-0P 657429-43-1P
657429-44-2P 657429-45-3P 657429-46-4P 657429-47-5P
657429-48-6P 657429-49-7P 657429-50-0P 657429-51-1P
657429-52-2P 657429-53-3P 657429-54-4P 657429-55-5P
657429-56-6P 657429-57-7P 657429-58-8P 657429-59-9P
657429-60-2P 657429-61-3P 657429-62-4P 657429-63-5P
657429-64-6P 657429-65-7P 657429-66-8P 657429-67-9P
657429-68-0P 657429-69-1P 657429-70-4P 657429-71-5P
657429-72-6P 657429-73-7P 657429-74-8P 657429-75-9P
657429-76-0P 657429-77-1P 657429-78-2P 657429-79-3P
657429-80-6P 657429-81-7P 657429-82-8P 657429-83-9P
657429-84-0P 657429-85-1P 657429-86-2P 657429-87-3P
657429-88-4P 657429-89-5P 657429-90-8P 657429-91-9P
657429-92-0P 657429-93-1P 657429-94-2P 657429-95-3P
657429-96-4P 657429-97-5P 657429-98-6P 657429-99-7P
657430-00-7P 657430-01-8P 657430-02-9P 657430-03-0P
657430-04-1P 657430-05-2P 657430-06-3P 657430-07-4P
657430-08-5P 657430-09-6P 657430-10-9P 657430-11-0P
657430-12-1P 657430-13-2P 657430-14-3P 657430-15-4P
657430-16-5P 657430-17-6P 657430-59-6P 657430-72-3P
(preparation of resorcinol derivs. as **peroxisome**
proliferator-activated receptor (PPAR) γ -agonists,
anticancer agents, or treatment or prevention of
diabetes, impaired glucose tolerance, obesity, or
hyperlipemia)
- IT 57-57-8, Oxetan-2-one 79-14-1, Glycolic acid, reactions
94-99-5, 2,4-Dichlorobenzyl chloride 95-01-2,
2,4-Dihydroxybenzaldehyde 96-41-3, Cyclopentanol 96-48-0,
 γ -Butyrolactone 100-39-0, Benzyl bromide 102-47-6,
3,4-Dichlorobenzyl chloride 103-63-9, 2-Bromoethylbenzene
104-81-4, 4-Methylbenzyl bromide 104-83-6, 4-Chlorobenzyl
chloride 106-52-5, 1-Methylpiperidin-4-ol 107-18-6, Allyl
alcohol, reactions 107-30-2, Chloromethyl methyl ether
108-01-0, 2-Dimethylaminoethanol 108-93-0, Cyclohexanol,
reactions 124-63-0, Methanesulfonyl chloride 395-44-8,
2-Trifluoromethylbenzyl bromide 402-23-3, 3-
Trifluoromethylbenzyl bromide 402-49-3, 4-Trifluoromethylbenzyl
bromide 459-46-1, 4-Fluorobenzyl bromide 502-41-0,
Cycloheptanol 542-59-6, 2-Acetoxyethanol 589-15-1,
4-Bromobenzyl bromide 591-27-5, 3-Aminophenol 622-40-2,
4-Morpholineethanol 637-59-2, 1-Bromo-3-phenylpropane
824-94-2, 4-Methoxybenzyl chloride 867-13-0,
Diethylphosphonoacetic acid ethyl ester 874-98-6,

3-Methoxybenzyl bromide 877-88-3, 3,5-Dimethoxybenzyl bromide 939-26-4, 2-Naphthylmethyl bromide 1592-20-7, 4-Vinylbenzyl chloride 1765-40-8, 1-Bromomethyl-2,3,4,5,6-pentafluorobenzene 1877-77-6, 3-Aminobenzyl alcohol 2014-83-7, 2,6-Dichlorobenzyl chloride 2051-18-5, 4-Isopropylbenzyl chloride 2081-44-9, 2567-29-5, 4-Phenylbenzyl bromide 2746-25-0, 4-Methoxybenzyl bromide 3040-44-6, 2-(Piperidin-1-yl)ethanol 3099-31-8, 3-Chloromethylpyridine 3447-53-8, 4-Difluoromethoxybenzyl bromide 3554-74-3, 1-Methylpiperidin-3-ol 4377-41-7, 2-Chloromethylquinoline 4392-24-9, Cinnamyl bromide 5292-43-3, tert-Butyl bromoacetate 5544-60-5, 4-Benzyloxybenzyl bromide 6653-80-1, 4-Ethoxybenzyl chloride 7311-46-8, 5-Bromo-2-chloromethylthiophene 13220-33-2, 1-Methylpyrrolidin-3-ol 16004-15-2, 4-Iodobenzyl bromide 18880-00-7, 4-tert-Butylbenzyl bromide 20034-71-3 23784-96-5, 2-Chloro-5-chloromethylthiophene 24424-99-5, Di-tert-butyl dicarbonate 27292-49-5, 3-(Morpholin-4-yl)phenol 29683-23-6, Tetrahydrothiopyran-4-ol 34776-73-3, 2-Chloromethyl-5-methylthiophene 38185-19-2, 4-Methylthiobenzyl bromide 50685-89-7 50824-05-0, 4-Trifluoromethoxybenzyl bromide 52289-93-7, 2-Methoxybenzyl bromide 53606-06-7 54751-01-8, 4-(Bromomethyl)pyridine 54777-65-0, 4-Acetylaminobenzyl chloride 57825-29-3, 2-Ethylbenzyl bromide 57825-30-6, 4-Ethylbenzyl bromide 59413-99-9 70258-18-3, 2-Chloro-5-chloromethylpyridine 86864-60-0, (2-Bromoethoxy)-tert-butyl dimethylsilane 109384-19-2, 4-Hydroxypiperidine-1-carboxylic acid tert-butyl ester 158985-25-2, 4-(4-Hydroxyphenyl)piperazine-1-carboxylic acid tert-butyl ester 170859-70-8, 4-Bromo-2-chloromethylthiophene 172648-24-7 185428-59-5 223134-15-4 299176-17-3 301548-21-0 301548-22-1 321595-75-9 337914-79-1 657431-19-1 657431-22-6 657431-29-3 657431-98-6

(preparation of resorcinol derivs. as **peroxisome** proliferator-activated receptor (PPAR) γ -agonists, anticancer agents, or treatment or prevention of **diabetes**, impaired glucose tolerance, obesity, or hyperlipemia)

IT 52085-14-0P, 4-Benzyloxy-2-hydroxybenzaldehyde 80754-22-9P
 95332-26-6P, 2-Hydroxy-4-methoxymethoxybenzaldehyde 223133-34-4P
 223133-36-6P 223133-38-8P 314271-24-4P 444646-76-8P
 474295-91-5P 515164-47-3P 515164-48-4P 628334-62-3P
 636563-05-8P 657430-18-7P 657430-19-8P 657430-20-1P
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657431-11-3P 657431-12-4P 657431-13-5P 657431-14-6P
657431-15-7P 657431-16-8P **657431-17-9P** 657431-18-0P
657431-20-4P 657431-21-5P 657431-23-7P 657431-24-8P
657431-25-9P 657431-26-0P 657431-27-1P 657431-28-2P
657431-30-6P 657431-31-7P 657431-32-8P 657431-33-9P
657431-34-0P 657431-35-1P **657431-36-2P** 657431-37-3P
657431-38-4P 657431-39-5P **657431-40-8P** 657431-41-9P
657431-42-0P 657431-43-1P **657431-44-2P** 657431-45-3P
657431-46-4P 657431-47-5P 657431-48-6P 657431-49-7P
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657431-58-8P 657431-59-9P **657431-60-2P** 657431-61-3P
657431-62-4P 657431-63-5P **657431-64-6P** 657431-65-7P
657431-66-8P 657431-67-9P **657431-68-0P** 657431-69-1P
657431-70-4P 657431-71-5P **657431-72-6P** 657431-73-7P
657431-74-8P 657431-75-9P **657431-76-0P** 657431-77-1P
657431-78-2P 657431-79-3P 657431-80-6P 657431-81-7P
657431-82-8P 657431-83-9P 657431-84-0P 657431-85-1P
657431-86-2P 657431-87-3P **657431-88-4P** 657431-89-5P
657431-90-8P 657431-91-9P 657431-92-0P **657431-93-1P**
657431-94-2P 657431-95-3P 657431-96-4P 657431-97-5P
657431-99-7P 657432-00-3P 657432-01-4P **657432-02-5P**
657432-03-6P 657432-04-7P 657432-05-8P 657432-06-9P
657432-07-0P 657432-08-1P 657432-09-2P 657432-10-5P
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657432-15-0P 657432-16-1P 657432-17-2P 657432-18-3P
657432-19-4P 657432-20-7P 657432-21-8P 657432-22-9P
657432-23-0P 657432-24-1P 657432-25-2P 657432-26-3P
657432-27-4P 657432-28-5P 657432-29-6P

(preparation of resorcinol derivs. as **peroxisome**
proliferator-activated receptor (PPAR) γ -agonists,
anticancer agents, or treatment or prevention of
diabetes, impaired glucose tolerance, obesity, or
hyperlipemia)

IT 9004-10-8, Insulin, biological studies
(resistance; preparation of resorcinol derivs. as **peroxisome**
proliferator-activated receptor (PPAR) γ -agonists,
anticancer agents, or treatment or prevention of
diabetes, impaired glucose tolerance, obesity, or
hyperlipemia)

L32 ANSWER 8 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:80638 HCAPLUS

DOCUMENT NUMBER: 140:128152

TITLE: Preparation of benzoic acids, in particular
acetylaminobenzoic acids, as promoters of
nonsense mutation suppression in messenger RNA
(mRNA) and/or as modulators of translation

INVENTOR(S): Wilde, Richard G.; Welch., Ellen M.; Takasugi,
James Jan; Almstead, Neil G.; Rubenstein,
Steven Marc; Beckmann, Holger

PATENT ASSIGNEE(S): PTC Therapeutics, Inc., USA; Tularik Inc.

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009533	A1	20040129	WO 2003-US23183	2003 0723

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2493457	AA	20040129	CA 2003-2493457	2003 0723
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AU 2003254157	A1	20040209	AU 2003-254157	2003 0723
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EP 1525185	A1	20050427	EP 2003-766013	2003 0723
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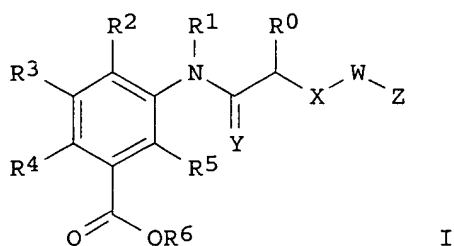
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PRIORITY APPLN. INFO.:	US 2002-398267P	P	2002 0724
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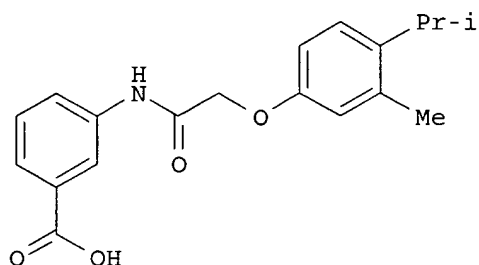
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OTHER SOURCE(S): MARPAT 140:128152
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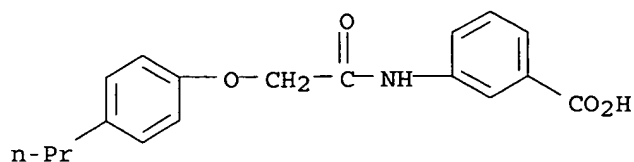


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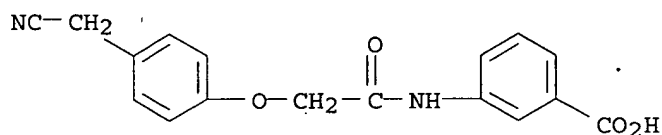


II

- AB Title compds. I [wherein X = O, S, CO, SO, SO₂; Y = O, S; Z = (un)substituted hetero/aryl, cycloalkyl; W = (CH₂)_n; n = 0-4; R₁ = H, SO₂H and derivs., CF₃, CN, CO₂H and derivs., CHO and derivs., (un)substituted alk(en/yn)yl, hetero/cycloalkyl, hetero/aryl; R₀ = H or R₀CCNR₁ = 5-7 membered heterocyclyl or heteroaryl ring; R₂, R₃, R₄, R₅ = independently H, halo, CF₃, OCF₃, OCHF₂, CN, CO₂H and derivs., SO₂H and derivs., NO₂, NH₂ and derivs., (un)substituted alk(en/yn)yl, (un)substituted hetero/cycloalkyl, hetero/aryl, alkoxy, hetero/aryloxy; R₆ = H, (un)substituted cyclo/heterocyclo/alkyl, hetero/aryl, or any biohydrolyzable group; their pharmaceutical acceptable salts, hydrates, clathrates, prodrugs, polymorphs, and stereoisomers] were prepared as promoters of nonsense mutation suppression in mRNA (mRNA) and/or as modulators of translation termination. For example, II was prepared in 3 steps by acylation of Me 3-aminobenzoate with bromoacetyl bromide in the presence of DIPEA/THF, O-arylation of 4-isopropyl-3-methylphenol with the bromide intermediate in the presence of K₂CO₃/2-butanone, and demethylation. II showed both very high potency and efficacy of protein synthesis in a cell-based luciferase assay (no data). Thus, I are useful for treating or preventing a disease ameliorated by modulation of premature translation termination or nonsense-mediated mRNA decay, or ameliorating one or more symptoms associated therewith.
- IT **649773-67-1P**, 3-[[2-(4-Propylphenoxy)acetyl]amino]benzoic acid **649774-21-0P**, 3-[[2-[(4-Cyanomethylphenyl)oxy]acetyl]amino]benzoic acid (promotor of nonsense mutation suppression; preparation of benzoic acids, in particular acetylaminobenzoic acids, as promoters of nonsense mutation suppression in mRNA and/or as modulators of translation termination)
- RN **649773-67-1** HCAPLUS
- CN Benzoic acid, 3-[[[(4-propylphenoxy)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 649774-21-0 HCAPLUS
 CN Benzoic acid, 3-[[[4-(cyanomethyl)phenoxy]acetyl]amino]- (9CI)
 (CA INDEX NAME)



IC ICM C07C235-24
 ICS C07C323-63; C07C327-42; C07D207-273; C07D405-12; C07D317-64;
 C07D213-64; C07D209-48; C07D239-38; C07D257-04; C07D271-06;
 A61K031-16; A61K031-33; A61P003-00; A61P007-00
 CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid
 Compounds)
 Section cross-reference(s): 1, 63
 IT Anti-Alzheimer's agents
 Anti-inflammatory agents
 Antiarthritics
 Anticholesteremic agents
 Antidiabetic agents
 Antiobesity agents
 Antiparkinsonian agents
 Antirheumatic agents
 Antitumor agents
 Human
 Nervous system agents
 (preparation of benzoic acids, in particular acetylamino benzoic
 acids, as promoters of nonsense mutation suppression in mRNA
 and/or as modulators of translation termination)
 IT Acute B-cell leukemia
 Acute T-cell leukemia
 Acute lymphocytic leukemia
 Acute myeloid leukemia
 Acute myeloid leukemia
 Acute myelomonocytic leukemia
 Acute promyelocytic leukemia
 Adrenal gland, neoplasm
 Aging, animal
 Alzheimer's disease
 Amyloidosis
 Arthritis
 Atherosclerosis
 Blood, disease
 Bone, neoplasm
 Brain, neoplasm
 Carcinoma

Central nervous system, disease
 Chronic lymphocytic leukemia
 Chronic myeloid leukemia
 Cirrhosis
 Cystic fibrosis

Diabetes mellitus

Dwarfism
 Esophagus, neoplasm
 Eye, neoplasm
 Familial hypercholesterolemia
 Hairy cell leukemia
 Head and Neck, neoplasm
 Head and Neck, neoplasm
 Heart, disease
 Hematopoietic neoplasm
 Hyperthyroidism
 Hypothyroidism
 Immunodeficiency
 Inflammation
 Intestine, neoplasm
 Kidney, disease
 Kidney, neoplasm
 Liver, neoplasm
 Lung, neoplasm
 Mammary gland, neoplasm
 Marfan syndrome
 Melanoma
 Mouth, neoplasm
 Multiple myeloma
 Multiple sclerosis
 Muscular dystrophy
 Neoplasm
 Neuroglia, neoplasm
 Niemann-Pick disease
 Obesity
 Ovary, neoplasm
 Pancreas, neoplasm
 Parkinson's disease
 Pharynx, neoplasm
 Prostate gland, neoplasm
 Rheumatoid arthritis
 Sarcoma
 Skin, neoplasm
 Stomach, neoplasm
 Testis, neoplasm

(treatment; preparation of benzoic acids, in particular
 acetylaminobenzoic acids, as promoters of nonsense mutation
 suppression in mRNA and/or as modulators of translation
 termination)

IT 70853-28-0P, 3-[[2-(4-Chlorophenoxy)acetyl]amino]benzoic acid
 82157-40-2P, 3-[[2-(p-Tolyloxy)acetyl]amino]benzoic acid
 303773-82-2P, 3-[[2-[(Naphthalen-2-yl)oxy]acetyl]amino]benzoic
 acid 304890-52-6P, 3-[[2-(2-Isopropylphenyloxy)acetyl]amino]benz
 oic acid 319489-60-6P, 3-[[2-(3,4-Dimethylphenoxy)acetyl]amino]b
 enzoic acid 397281-31-1P, 3-[[2-(4-Bromophenoxy)acetyl]amino]ben
 zoic acid 397281-40-2P, 3-[[2-(4-Phenoxyphenoxy)acetyl]amino]ben
 zoic acid 397282-38-1P, 3-[[2-(4-Acetylphenyloxy)acetyl]amino]be
 nzoic acid 405921-06-4P, 3-[[2-(4-tert-
 Butylphenoxy)acetyl]amino]benzoic acid 405924-15-4P,
 3-[[2-[4-(1-Methyl-1-phenylethyl)phenoxy]acetyl]amino]benzoic acid

405924-18-7P, 3-[[2-[(4'-Methyl-1,1'-biphen-4-yl)oxy]acetyl]amino]benzoic acid 446829-09-0P,
3-[[2-(4-Isopropyl-3-methylphenoxy)acetyl]amino]benzoic acid
447428-17-3P, 3-[[2-[(1,1'-Biphen-4-yl)oxy]acetyl]amino]benzoic
acid 459130-15-5P, 3-[[2-(3-Isopropylphenoxy)acetyl]amino]benzoic
acid 649773-59-1P, 3-[[2-[(2-Isopropylphenyl)sulfanyl]acetyl]a
mino]benzoic acid 649773-60-4P, 3-[[2-(3-Isopropyl-5-
methylphenoxy)acetyl]amino]benzoic acid 649773-61-5P,
3-[[2-(4-Isopropylphenoxy)acetyl]amino]benzoic acid
649773-62-6P, 3-[[2-(4-Isopropyl-3-methylphenoxy)-1-
thioxoethyl]amino]benzoic acid 649773-63-7P,
3-[[2-[(2'-Methyl-1,1'-biphen-4-yl)oxy]acetyl]amino]benzoic acid
649773-64-8P, 3-[[2-[(3'-Methyl-1,1'-biphen-4-
yl)oxy]acetyl]amino]benzoic acid 649773-65-9P,
3-[[2-(3,4-Dichlorophenoxy)acetyl]amino]benzoic acid
649773-66-0P, 3-[[2-[4-(1,1-Dimethylpropyl)phenoxy]acetyl]amino]be
nzoic acid 649773-67-1P, 3-[[2-(4-
Propylphenoxy)acetyl]amino]benzoic acid 649773-68-2P,
3-[[2-(4-Trifluoromethylphenoxy)acetyl]amino]benzoic acid
649773-69-3P, 3-[[2-(4-Ethylphenoxy)acetyl]amino]benzoic acid
649773-70-6P, 3-[[2-[(Indan-5-yl)oxy]acetyl]amino]benzoic acid
649773-71-7P, 3-[[2-[(5,6,7,8-Tetrahydronaphthalen-2-
yl)oxy]acetyl]amino]benzoic acid 649773-72-8P,
3-[[2-(4-Trifluoromethoxyphenoxy)acetyl]amino]benzoic acid
649773-73-9P, 3-[2-(4-Cyclopentylphenoxy)acetyl]amino]benzoic acid
649773-74-0P, 3-[[2-(4-Chloro-3-methylphenoxy)acetyl]amino]benzo
ic acid 649773-75-1P, 3-[[2-(4-Iodophenoxy)acetyl]amino]benzoic
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methylphenyl)oxy]acetyl]amino]benzoic acid 649773-81-9P,
3-[[2-(4-Benzoylphenoxy)acetyl]amino]benzoic acid
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3-[3-[(Indan-5-yl)oxy]-2-oxopyrrolidin-1-yl]benzoic acid
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649773-93-3P, 3-[[2-[(5-Isopropyl-2-methylphenyl)oxy]acetyl]amino]
benzoic acid 649773-94-4P, 3-[[2-[(4-Isopropyl-3-
methylphenyl)oxy]acetyl]amino]benzoic acid Sodium salt
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no]benzoic acid 649773-96-6P, 3-[[2-[(4-Isopropyl-3-
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3-[[2-(4-Chloro-3-fluorophenoxy)acetyl]amino]benzoic acid

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REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

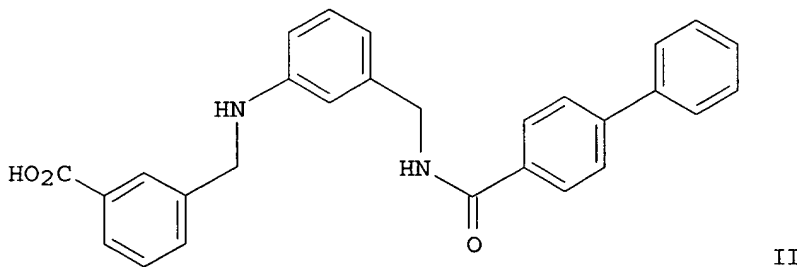
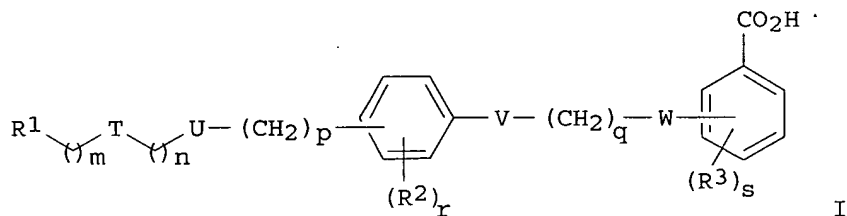
L32 ANSWER 9 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:2679 HCAPLUS
 DOCUMENT NUMBER: 140:76898

TITLE: Preparation of benzoic acid derivatives as modulators of PPAR- α and PPAR- γ
 INVENTOR(S): Li, Lanna
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000295	A1	20031231	WO 2003-GB2598	2003 0617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003240101	A1	20040106	AU 2003-240101	2003 0617
BR 2003011840	A	20050315	BR 2003-11840	2003 0617
EP 1517680	A1	20050330	EP 2003-732715	2003 0617
CN 1662230	A	20050831	CN 2003-814319	2003 0617
JP 2006502105	T2	20060119	JP 2004-515010	2003 0617
NZ 536972	A	20060630	NZ 2003-536972	2003 0617

NO 2004005222	A	20050119	NO 2004-5222	2004 1129
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ZA 2004009690	A	20051011	ZA 2004-9690	2004 1130
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US 2005267149	A1	20051201	US 2004-518819	2004 1220
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		WO 2003-GB2598	W	2003 0617

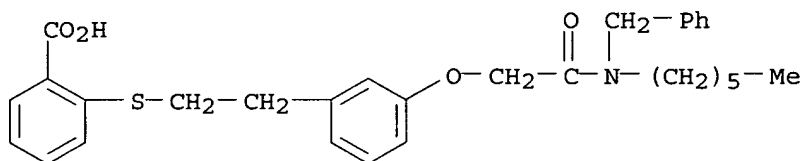
OTHER SOURCE(S): MARPAT 140:76898
GI



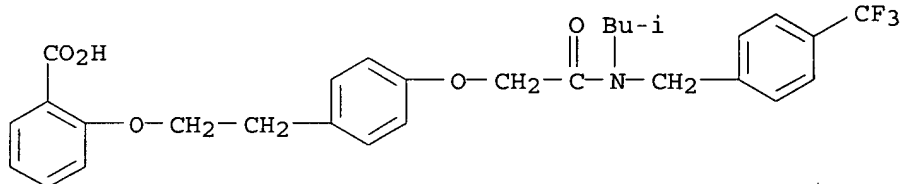
AB Title compds. I [R1 = (un)substituted aryl, alkyl, acyl, etc.; (CH2)m-T-(CH2)n-U-(CH2)p = attached at either the meta or para position (to V) and is O(CH2)2, O(CH2)3, etc.; V = O, S, amino, single bond; q = 1-3; W = O, S, amido, amino, single bond; R2 = halo, alkyl, alkoxy, etc.; r = 0-3; R3 = halo, alkyl, alkoxy, etc.; s = 0-3; with some provisions] are prepared For instance, tert-Bu [3-[[[(1,1'-biphenyl-4-yl)carbonyl]amino]methyl]phenyl]car

bamate (preparation given) is deprotected (CH₂Cl₂, TFA) and alkylated with 3-carboxybenzaldehyde (HOAc, NaBH₄) to give II. Compds. of the invention have an EC₅₀ < 50 μmol/L for PPAR-α and PPAR-γ. I are useful in treating clin. conditions associated with insulin resistance.

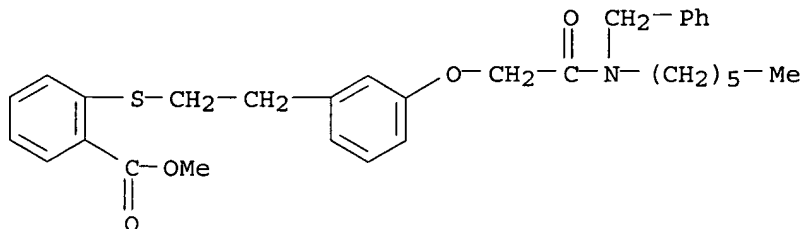
- IT **637358-95-3P**, 2-[[2-[3-[2-[Benzyl(hexyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid **637359-18-3P**, 2-[2-[4-[2-[Isobutyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid
(preparation of benzoic acid derivs. as modulators of PPAR-α and PPAR-γ)
- RN 637358-95-3 HCAPLUS
- CN Benzoic acid, 2-[[2-[3-[2-[hexyl(phenylmethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



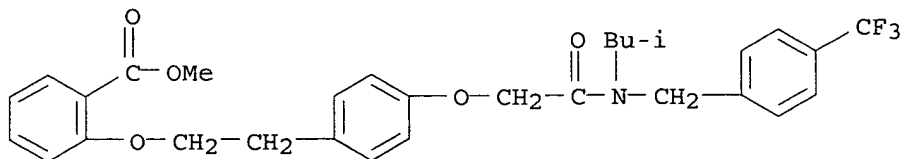
- RN 637359-18-3 HCAPLUS
- CN Benzoic acid, 2-[2-[4-[2-[(2-methylpropyl)[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



- IT **637358-94-2P**, Methyl 2-[[2-[3-[2-[benzyl(hexyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate **637359-17-2P**, Methyl 2-[2-[4-[2-[isobutyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoate
(preparation of benzoic acid derivs. as modulators of PPAR-α and PPAR-γ)
- RN 637358-94-2 HCAPLUS
- CN Benzoic acid, 2-[[2-[3-[2-[hexyl(phenylmethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]-, methyl ester (9CI) (CA INDEX NAME)



RN 637359-17-2 HCAPLUS
 CN Benzoic acid, 2-[2-[4-[2-[(2-methylpropyl)[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



IC ICM A61K031-19
 ICS A61P003-06; A61P003-10; C07C065-24; C07C233-78; C07C235-34;
 C07C309-66; C07C311-13; C07C323-62; C07D213-40; C07D217-06;
 C07D277-56; C07D307-68; C07D233-60
 CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid
 Compounds)
 Section cross-reference(s): 1, 63
 IT **Peroxisome** proliferator-activated receptors
 (α; preparation of benzoic acid derivs. as modulators of
 PPAR-α and PPAR-γ)
 IT **Peroxisome** proliferator-activated receptors
 (γ; preparation of benzoic acid derivs. as modulators of
 PPAR-α and PPAR-γ)
 IT 637358-29-3P, 3-[[[3-[[[(1,1'-Biphenyl-4-yl)carbonyl]amino]methyl]phenyl]amino]methyl]benzoic acid
 637358-31-7P, 2-[[4-[2-Oxo-2-[[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]methyl]benzoic acid 637358-36-2P,
 2-[[3-[2-[Benzyl(hexyl)amino]-2-oxoethyl]phenoxy]methyl]benzoic acid 637358-40-8P, 2-[[3-[2-Oxo-2-[[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]methyl]benzoic acid
 637358-44-2P, 2-[[4-[3-[[2-(3,4-Dimethoxyphenyl)ethyl](methyl)amino]-3-oxopropyl]phenoxy]methyl]benzoic acid 637358-47-5P,
 2-[[4-[2-[[[4-Methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]carbonyl]amino]ethyl]phenoxy]methyl]benzoic acid
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 2-[[4-[2-[[[(2-Methyl-5-phenylfuran-3-yl)carbonyl]amino]ethyl]phenoxy]methyl]benzoic acid 637358-53-3P, 2-[[4-[2-[[[Benzylsulfonyl]amino]ethyl]phenoxy]methyl]benzoic acid
 637358-56-6P, 2-[[4-[2-[Benzyl(hexyl)amino]-2-oxoethyl]-2-fluorophenoxy]methyl]benzoic acid 637358-59-9P,
 2-[[4-[2-[Benzyl(hexyl)amino]-2-oxoethyl]-2-methoxyphenoxy]methyl]benzoic acid 637358-62-4P,
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2-[[4-[3-[[2-(2-Ethoxyphenyl)ethyl]amino]-3-oxopropyl]phenoxy]methyl]benzoic acid 637358-89-5P,
 2-[[4-[3-[Ethyl(2-(pyridin-2-yl)ethyl)amino]-3-oxopropyl]phenoxy]methyl]benzoic acid 637358-95-3P,
 2-[[2-[3-[2-[Benzyl(hexyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637358-98-6P, 2-[[4-[2-[Heptyl[2-(2-methoxyphenyl)ethyl]amino]-2-oxoethyl]phenoxy]methyl]benzoic acid 637359-01-4P, 2-[[4-[2-[[2-(4-Chlorophenyl)ethyl](heptyl)amino]-2-oxoethyl]phenoxy]methyl]benzoic acid 637359-04-7P,
 2-[[4-[2-[Heptyl(2-phenylethyl)amino]-2-oxoethyl]phenoxy]methyl]benzoic acid 637359-07-0P,
 2-[[4-[2-[Ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenoxy]methyl]benzoic acid 637359-10-5P,
 2-[[4-[2-[Ethyl(2-fluorobenzyl)amino]-2-oxoethyl]benzyl]oxy]benzoic acid 637359-12-7P,
 2-[[4-[2-[Heptyl(2-phenylethyl)amino]-2-oxoethyl]benzyl]oxy]benzoic acid 637359-14-9P,
 2-[[4-[2-[[2-(4-Chlorophenyl)ethyl](heptyl)amino]-2-oxoethyl]benzyl]oxy]benzoic acid 637359-18-3P,
 2-[2-[4-[2-[Isobutyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid

(preparation of benzoic acid derivs. as modulators of PPAR- α and PPAR- γ)

IT 135810-05-8P, Methyl 2-[[4-(3-hydroxypropyl)phenoxy]methyl]benzoate 211917-72-5P, Methyl 2-[[4-[2-[(tert-butoxycarbonyl)amino]ethyl]phenoxy]methyl]benzoate 265996-88-1P, N-Heptyl-2-phenylacetamide 348613-09-2P, N-Heptyl-2-(2-methoxyphenyl)acetamide 349428-15-5P, 2-(4-Chlorophenyl)-N-heptylacetamide 637358-27-1P, tert-Butyl [3-[[[(1,1'-biphenyl-4-yl)carbonyl]amino]methyl]phenyl]carbamate 637358-28-2P, N-(3-Aminobenzyl)-1,1'-biphenyl-4-carboxamide 637358-30-6P, Methyl 2-[[4-[2-oxo-2-[[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]methyl]benzoate 637358-32-8P, [3-[[2-(Methoxycarbonyl)benzyl]oxy]phenyl]acetic acid 637358-34-0P, Methyl 2-[[3-[2-[benzyl(hexyl)amino]-2-oxoethyl]phenoxy]methyl]benzoate 637358-38-4P, Methyl 2-[[3-[2-oxo-2-[[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]methyl]benzoate 637358-42-0P, N-[2-(3,4-Dimethoxyphenyl)ethyl]-3-(4-hydroxyphenyl)-N-(methyl)propanamide 637358-43-1P, Methyl 2-[[4-[3-[2-(3,4-dimethoxyphenyl)ethyl(methyl)amino]-3-oxopropyl]phenoxy]methyl]benzoate 637358-45-3P, Methyl 2-[[4-(2-aminoethyl)phenoxy]methyl]benzoate hydrochloride 637358-46-4P, Methyl 2-[[4-[2-[[4-methyl-2-(4-(trifluoromethyl)phenyl)thiazol-5-yl]carbonyl]amino]ethyl]phenoxy]methyl]benzoate 637358-48-6P, Methyl 2-[[4-[2-[[[(2,4-difluorophenyl)amino]carbonyl]amino]ethyl]phenoxy]methyl]benzoate 637358-50-0P, Methyl 2-[[4-[2-[[2-methyl-5-phenylfuran-3-yl]carbonyl]amino]ethyl]phenoxy]methyl]benzoate 637358-52-2P, Methyl 2-[[4-[2-[(benzylsulfonyl)amino]ethyl]phenoxy]methyl]benzoate 637358-54-4P, N-Benzyl-2-(3-fluoro-4-hydroxyphenyl)-N-hexylacetamide 637358-55-5P, Methyl 2-[[4-[2-[benzyl(hexyl)amino]-2-oxoethyl]-2-fluorophenoxy]methyl]benzoate 637358-57-7P, N-Benzyl-N-hexyl-2-(4-hydroxy-3-methoxyphenyl)acetamide 637358-58-8P, Methyl 2-[[4-[2-[benzyl(hexyl)amino]-2-oxoethyl]-2-(methoxy)phenoxy]methyl]benzoate 637358-60-2P 637358-61-3P 637358-63-5P, Methyl 2-[[4-(2-hydroxyethyl)phenoxy]methyl]benzoate 637358-64-6P, Methyl 2-[[4-[2-[(methylsulfonyl)oxy]ethyl]phenoxy]methyl]benzoate 637358-65-7P, Methyl 2-[[4-[2-[4-(1H-imidazol-1-yl)phenoxy]ethyl]phenoxy]methyl]benzoate 637358-67-9P, Methyl

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 637358-88-4P, Methyl 2-[[4-[3-[ethyl(2-(pyridin-2-yl)ethyl)amino]-
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 N-Benzyl-2-bromo-N-hexylacetamide 637358-94-2P, Methyl
 2-[[2-[3-[2-[benzyl(hexyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]ben
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 637359-00-3P, Methyl 2-[[4-[2-[2-(4-chlorophenyl)ethyl](heptyl)am
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 2-[[4-[2-[heptyl(2-phenylethyl)amino]-2-
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 N-Ethyl-N-(2-fluorobenzyl)-2-(4-hydroxyphenoxy)acetamide
 637359-06-9P, Methyl 2-[[4-[2-[ethyl(2-fluorobenzyl)amino]-2-
 oxoethoxy]phenoxy]methyl]benzoate 637359-09-2P, Methyl
 [2-[4-[2-[[(ethyl)(2-fluorobenzyl)amino]-2-
 oxoethyl]benzyl]oxy]benzoate 637359-11-6P, Methyl
 [2-[4-[2-[heptyl(2-phenylethyl)amino]-2-
 oxoethyl]benzyl]oxy]benzoate 637359-13-8P, Methyl
 [2-[4-[2-[2-(4-chlorophenyl)ethyl](heptyl)amino]-2-
 oxoethyl]benzyl]oxy]benzoate 637359-17-2P, Methyl
 2-[2-[4-[2-[isobutyl[4-(trifluoromethyl)benzyl]amino]-2-
 oxoethoxy]phenyl]ethoxy]benzoate

(preparation of benzoic acid derivs. as modulators of PPAR- α
 and PPAR- γ)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 10 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2678 HCAPLUS

DOCUMENT NUMBER: 140:59405

TITLE: Preparation of ortho-substituted benzoic acid
 derivatives for the treatment of insulin
 resistance

INVENTOR(S): Li, Lanna

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000294	A1	20031231	WO 2003-GB2591	2003 0617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490684	AA	20031231	CA 2003-2490684	2003 0617
AU 2003240100	A1	20040106	AU 2003-240100	2003 0617
EP 1517679	A1	20050330	EP 2003-732714	2003 0617
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BR 2003011839	A	20050405	BR 2003-11839	2003 0617
CN 1674883	A	20050928	CN 2003-819853	2003 0617
JP 2005535618	T2	20051124	JP 2004-515008	2003 0617
NO 2004005223	A	20050317	NO 2004-5223	2004 1129
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US 2005222261	A1	20051006	US 2004-519376	

2004
1220

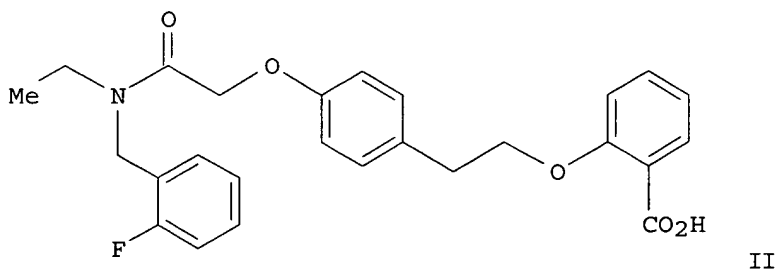
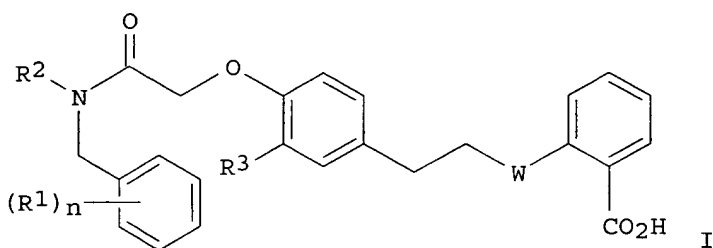
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WO 2003-GB2591 W
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OTHER SOURCE(S): MARPAT 140:59405
GI



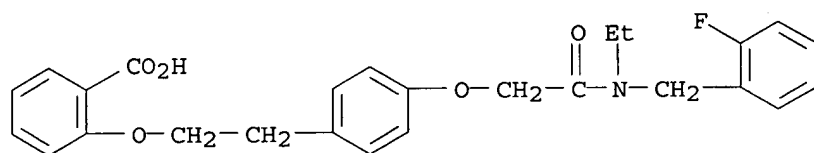
AB Title compds. I [n = 0-2; R1 = halo, alkyl, alkoxy, etc.; R2 = alkyl; R3 = H, OCH3; W = O, S] are prepared For instance, tert-Bu [4-(2-hydroxyethyl)phenoxy]acetate (preparation given) is sulfonylated (CH2Cl2, Et3N, MsCl), reacted with Me salicylate (CH3CN, K2CO3, reflux, 16 h), deprotected (CH2Cl2, TFA), coupled to N-(2-Fluorobenzyl)ethanamine and saponified to give II. Example compds. have an EC50 < 50 μ mol/L for PPAR- α . I are useful in treating clin. conditions associated with insulin resistance.

IT **637763-49-6P**, 2-[2-[4-[2-[Ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid **637763-60-1P**, 2-[2-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]-3-methoxyphenyl]ethoxy]benzoic acid **637763-69-0P**, 2-[2-[4-[2-[(4-Chlorobenzyl)(ethyl)amino]-2-

oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-75-8P,
 2-[[2-[4-[2-[Ethyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-77-0P,
 2-[2-[4-[2-[Butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-79-2P,
 2-[2-[4-[2-[(2,4-Difluorobenzyl)(propyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-81-6P,
 2-[2-[4-[2-[Benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-83-8P, 2-[[2-[4-[2-[Benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-85-0P,
 2-[2-[4-[2-[(4-tert-Butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-87-2P,
 2-[2-[4-[2-[Ethyl(4-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-89-4P,
 2-[[2-[4-[2-[Ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-92-9P,
 2-[[2-[4-[2-[(2-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-93-0P,
 2-[2-[4-[2-[(4-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-94-1P,
 2-[2-[4-[2-[Ethyl(4-trifluoromethylbenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid
 (preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)

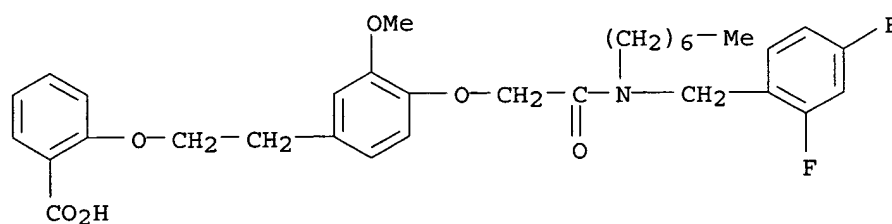
RN 637763-49-6 HCAPLUS

CN Benzoic acid, 2-[2-[4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



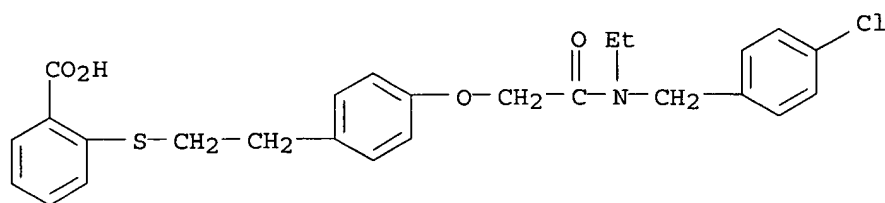
RN 637763-60-1 HCAPLUS

CN Benzoic acid, 2-[2-[4-[2-[[2,4-difluorophenyl)methyl]heptylamino]-2-oxoethoxy]-3-methoxyphenyl]ethoxy]- (9CI) (CA INDEX NAME)



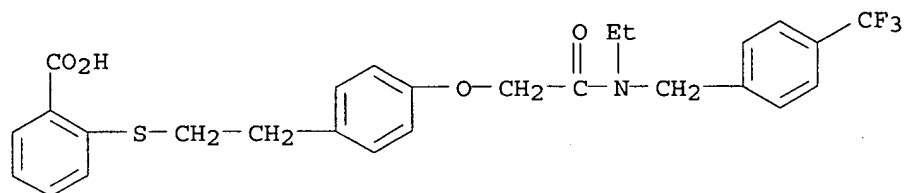
RN 637763-69-0 HCAPLUS

CN Benzoic acid, 2-[[2-[4-[2-[[4-chlorophenyl)methyl]ethylamino]-2-oxoethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



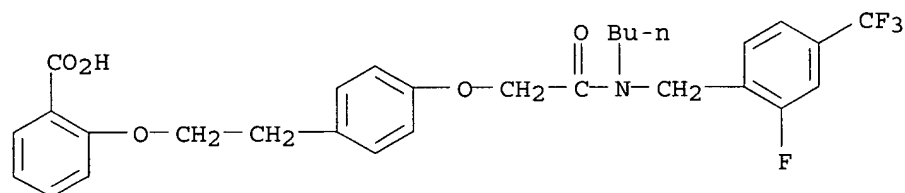
RN 637763-75-8 HCAPLUS

CN Benzoic acid, 2-[[2-[4-[2-[ethyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



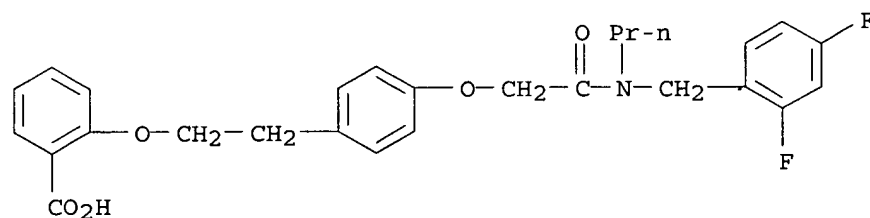
RN 637763-77-0 HCAPLUS

CN Benzoic acid, 2-[2-[4-[2-[butyl[[2-fluoro-4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



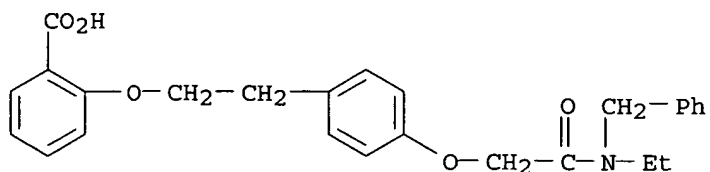
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CN Benzoic acid, 2-[2-[4-[2-[[2,4-difluorophenyl]methyl]propylamino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



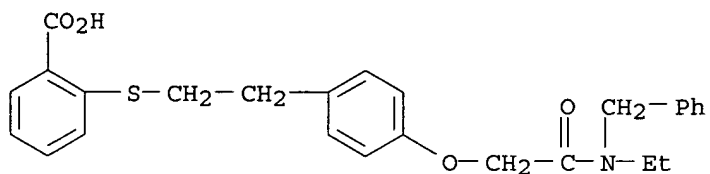
RN 637763-81-6 HCAPLUS

CN Benzoic acid, 2-[2-[4-[2-[ethyl(phenylmethyl)amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



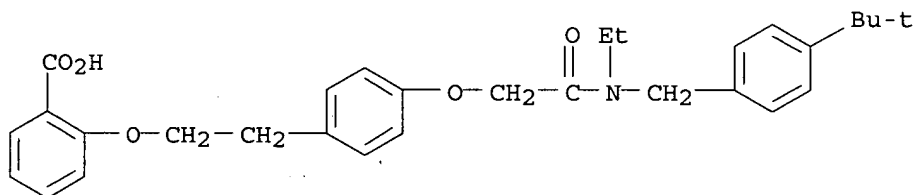
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CN Benzoic acid, 2-[[2-[4-[2-[ethyl(phenylmethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



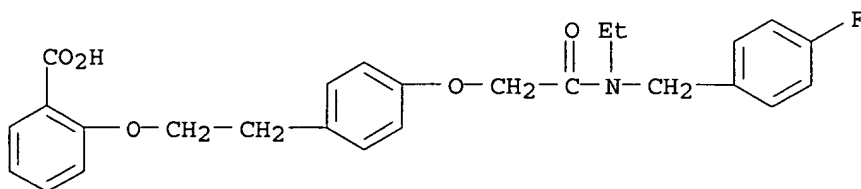
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CN Benzoic acid, 2-[2-[4-[2-[[[4-(1,1-dimethylethyl)phenyl]methyl]ethyl]amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



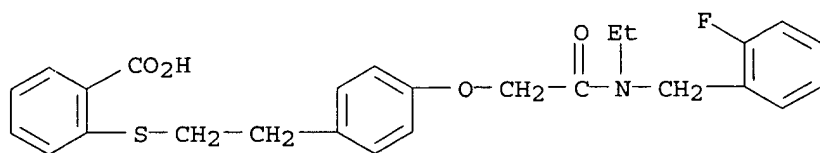
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CN Benzoic acid, 2-[2-[4-[2-[ethyl[(4-fluorophenyl)methyl]amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



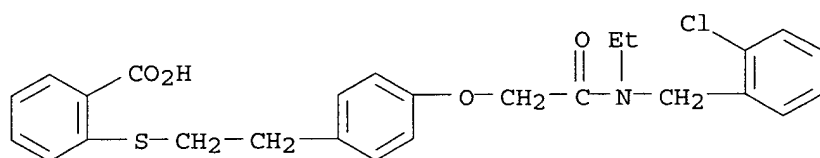
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CN Benzoic acid, 2-[[2-[4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



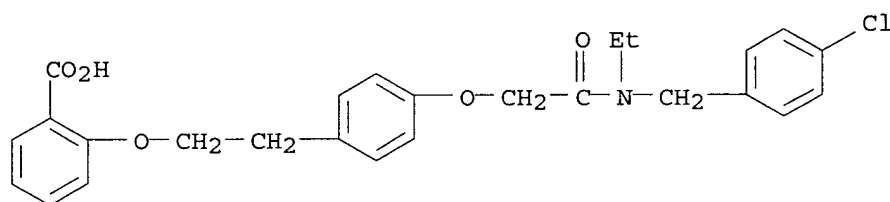
RN 637763-92-9 HCAPLUS

CN Benzoic acid, 2-[[2-[4-[2-[(2-chlorophenyl)methyl]ethylamino]-2-oxoethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



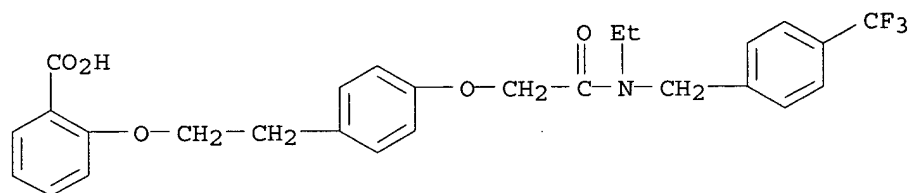
RN 637763-93-0 HCAPLUS

CN Benzoic acid, 2-[2-[4-[2-[(4-chlorophenyl)methyl]ethylamino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



RN 637763-94-1 HCAPLUS

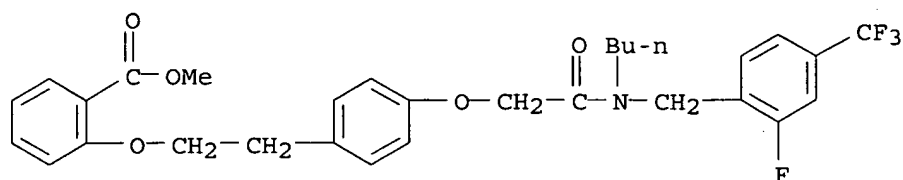
CN Benzoic acid, 2-[2-[4-[2-[ethyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethoxy]- (9CI) (CA INDEX NAME)



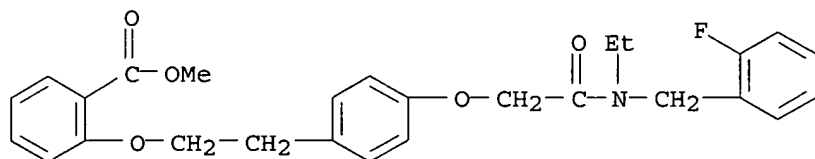
IT 637763-76-9, Methyl 2-[2-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoate (preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)

RN 637763-76-9 HCAPLUS

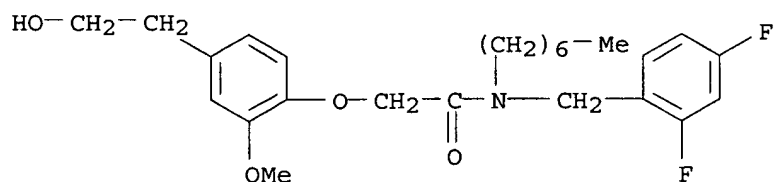
CN Benzoic acid, 2-[2-[4-[2-[butyl[[2-fluoro-4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



- IT 637763-47-4P, Methyl 2-[2-[4-[2-[ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate
 637763-54-3P, N-(2,4-Difluorobenzyl)-N-heptyl-2-[4-(2-hydroxyethyl)-2-methoxyphenoxy]acetamide 637763-56-5P,
 2-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]-3-methoxyphenyl]ethyl methanesulfonate 637763-58-7P,
 Methyl 2-[2-[4-[2-[(2,4-difluorobenzyl)(heptyl)amino]-2-oxoethoxy]-3-methoxyphenyl]ethoxy]benzoate 637763-67-8P, Methyl
 2-[[2-[4-[2-[(4-chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate 637763-73-6P,
 Methyl 2-[[2-[4-[2-[ethyl(4-(trifluoromethyl)benzyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate 637763-78-1P,
 Methyl 2-[2-[4-[2-[(2,4-difluorobenzyl)(propyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate 637763-80-5P, Methyl
 2-[2-[4-[2-[benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate 637763-82-7P, Methyl 2-[[2-[4-[2-[benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate 637763-84-9P,
 Methyl 2-[2-[4-[2-[(4-tert-butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate 637763-86-1P, Methyl
 2-[2-[4-[2-[ethyl(4-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate 637763-88-3P, Methyl
 2-[[2-[4-[2-[ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate 637763-91-8P,
 Methyl 2-[[2-[4-[2-[(2-chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate
 (preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)
- RN 637763-47-4 HCAPLUS
 CN Benzoic acid, 2-[2-[4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]phenyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)

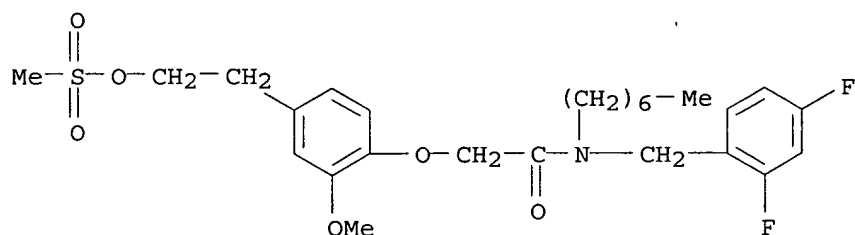


- RN 637763-54-3 HCAPLUS
 CN Acetamide, N-[(2,4-difluorophenyl)methyl]-N-heptyl-2-[4-(2-hydroxyethyl)-2-methoxyphenoxy]- (9CI) (CA INDEX NAME)



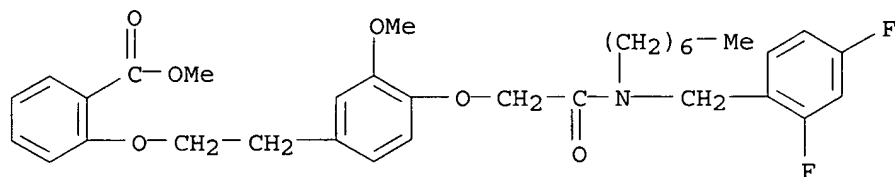
RN 637763-56-5 HCAPLUS

CN Acetamide, N-[(2,4-difluorophenyl)methyl]-N-heptyl-2-[2-methoxy-4-
[2-[(methylsulfonyl)oxy]ethyl]phenoxy] - (9CI) (CA INDEX NAME)



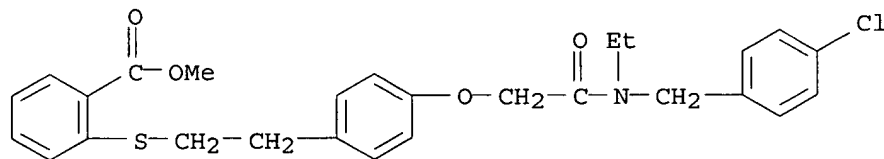
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CN Benzoic acid, 2-[2-[4-[2-[[[(2,4-difluorophenyl)methyl]heptylamino]-2-oxoethoxy]-3-methoxyphenyl]ethoxy]-, methyl ester (9CI) (CA
INDEX NAME)



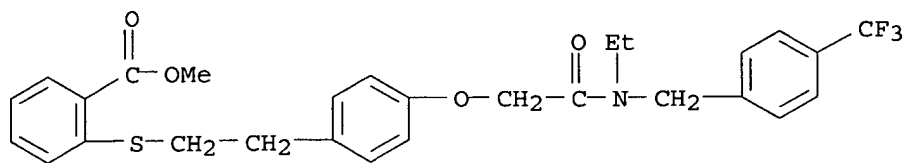
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CN Benzoic acid, 2-[[2-[4-[2-[[[(4-chlorophenyl)methyl]ethylamino]-2-oxoethoxy]phenyl]ethyl]thio]-, methyl ester (9CI) (CA INDEX NAME)



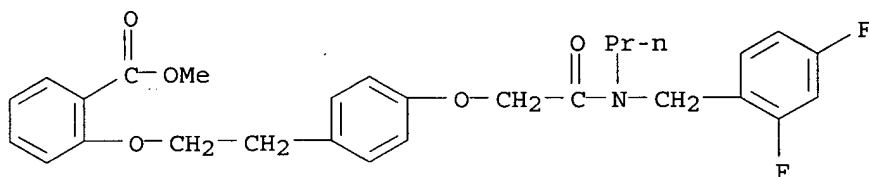
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CN Benzoic acid, 2-[[2-[4-[2-[ethyl[[4-(trifluoromethyl)phenyl]methyl]amino]-2-oxoethoxy]phenyl]ethyl]thio]-, methyl ester (9CI) (CA
INDEX NAME)



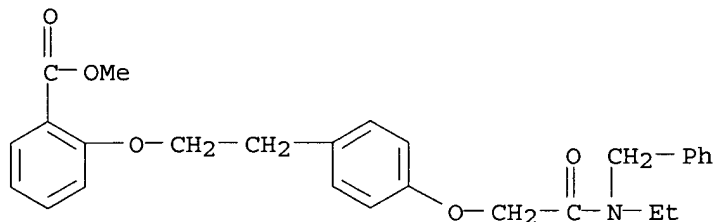
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CN Benzoic acid, 2-[2-[4-[2-[(2,4-difluorophenyl)methyl]propylamino]-2-oxoethoxy]phenyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



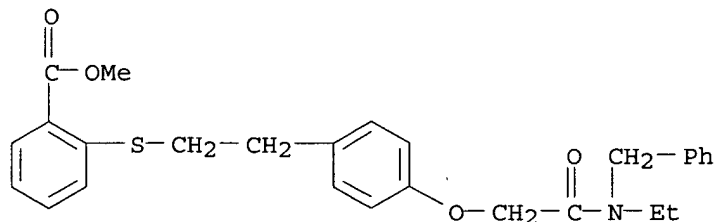
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CN Benzoic acid, 2-[2-[4-[2-[ethyl(phenylmethyl)amino]-2-oxoethoxy]phenyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



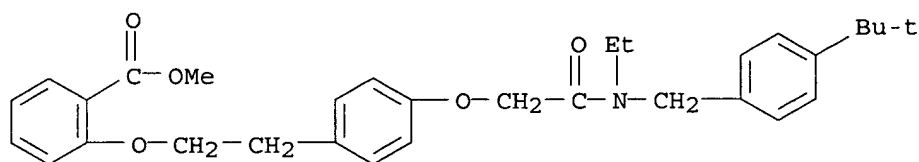
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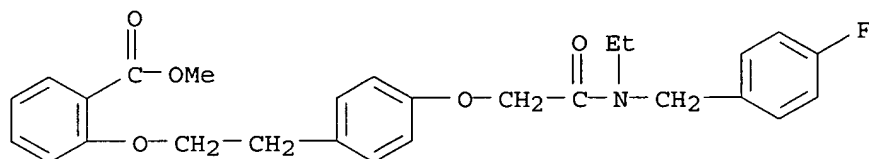
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CN Benzoic acid, 2-[2-[4-[2-[[4-(1,1-dimethylethyl)phenyl]methyl]ethylamino]-2-oxoethoxy]phenyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



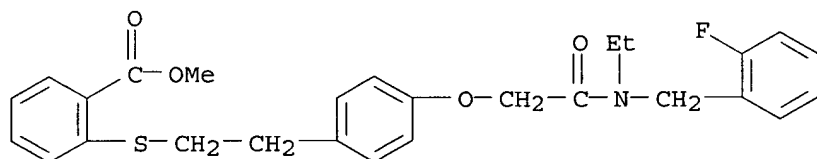
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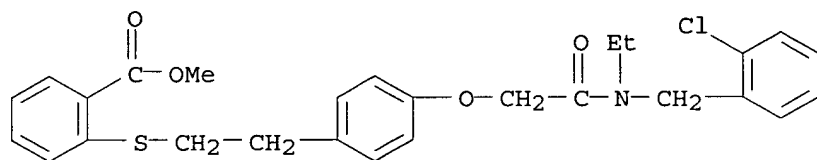
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CN Benzoic acid, 2-[2-[4-[2-[ethyl[(2-fluorophenyl)methyl]amino]-2-oxoethoxy]phenyl]ethylthio]-, methyl ester (9CI) (CA INDEX NAME)



RN 637763-91-8 HCAPLUS

CN Benzoic acid, 2-[2-[4-[2-[ethyl[(2-chlorophenyl)methyl]ethylamino]-2-oxoethoxy]phenyl]ethylthio]-, methyl ester (9CI) (CA INDEX NAME)



IC ICM A61K031-19

ICS A61P003-06; A61P003-10; C07C323-62; C07C235-20

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

IT Anticholesteremic agents

Antidiabetic agents

Antihypertensives

Antiobesity agents

(combination pharmaceutical; preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)

IT **Peroxisome** proliferator-activated receptors

(α ; preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)

- IT 637763-49-6P, 2-[2-[4-[2-[Ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-60-1P, 2-[2-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]-3-methoxyphenyl]ethoxy]benzoic acid 637763-69-0P, 2-[2-[4-[2-[(4-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-75-8P, 2-[2-[4-[2-[Ethyl[4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-77-0P, 2-[2-[4-[2-[Butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-79-2P, 2-[2-[4-[2-[(2,4-Difluorobenzyl)(propyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-81-6P, 2-[2-[4-[2-[Benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-83-8P, 2-[2-[4-[2-[Benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-85-0P, 2-[2-[4-[2-[(4-tert-Butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-87-2P, 2-[2-[4-[2-[Ethyl(4-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-89-4P, 2-[2-[4-[2-[Ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-92-9P, 2-[2-[4-[2-[(2-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoic acid 637763-93-0P, 2-[2-[4-[2-[(4-Chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid 637763-94-1P, 2-[2-[4-[2-[Ethyl(4-trifluoromethylbenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoic acid (preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)
- IT 104-86-9, 1-(4-Chlorophenyl)methanamine 119-36-8, Methyl salicylate 140-75-0, 1-(4-Fluorophenyl)methanamine 501-94-0, 4-(2-Hydroxyethyl)phenol 3300-51-4, 1-[4-(Trifluoromethyl)phenyl]methanamine 4892-02-8, Methyl 2-mercaptobenzoate 5292-43-3, tert-Butyl bromoacetate 14321-27-8, N-Benzyl-N-ethylamine 22118-09-8, Bromoacetyl chloride 62924-61-2, N-(2-Chlorobenzyl)-N-ethylamine 64567-25-5, N-(2-Fluorobenzyl)ethanamine 152821-50-6, N-(4-tert-Butylbenzyl)-N-ethylamine 637014-99-4, N-(2,4-Difluorobenzyl)-N-heptylamine 637015-27-1, N-(2,4-Difluorobenzyl)-N-propylamine 637359-16-1, Methyl 2-[2-[4-(2-chloro-2-oxoethoxy)phenyl]ethoxy]benzoate 637763-76-9, Methyl 2-[2-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethoxy]benzoate (preparation of ortho-substituted benzoic acid derivs. for treatment of insulin resistance)
- IT 57058-33-0P, N-(4-Chlorobenzyl)acetamide 69957-83-1P, N-(4-Chlorobenzyl)-N-ethylamine 86010-68-6P, N-(4-Fluorobenzyl)acetamide 90390-12-8P, N-[4-(Trifluoromethyl)benzyl]ethanamine 119293-44-6P, tert-Butyl [4-(2-hydroxyethyl)phenoxy]acetate 162401-03-8P, N-(4-Fluorobenzyl)ethanamine 637763-42-9P, tert-Butyl [4-[2-[(methylsulfonyl)oxy]ethyl]phenoxy]acetate 637763-43-0P, Methyl 2-[2-[4-(2-tert-butoxy-2-oxoethoxy)phenyl]ethoxy]benzoate 637763-45-2P, [4-[2-[2-(Methoxycarbonyl)phenoxy]ethyl]phenoxy]acetic acid 637763-47-4P, Methyl 2-[2-[4-[2-[ethyl(2-fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate 637763-51-0P, 2-Bromo-N-(2,4-difluorobenzyl)-N-heptylacetamide 637763-54-3P, N-(2,4-Difluorobenzyl)-N-heptyl-2-[4-(2-

hydroxyethyl)-2-methoxyphenoxy]acetamide **637763-56-5P**,
 2-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]-3-
 methoxyphenyl]ethyl methanesulfonate **637763-58-7P**,
 Methyl 2-[2-[4-[2-[(2,4-difluorobenzyl)(heptyl)amino]-2-oxoethoxy]-
 3-methoxyphenyl]ethoxy]benzoate **637763-63-4P**, Methyl
 2-[2-[4-(2-tert-butoxy-2-oxoethoxy)phenyl]ethyl]thio]benzoate
637763-65-6P, [4-[2-[[2-(Methoxycarbonyl)phenyl]thio]ethyl]phenoxy
]acetic acid **637763-67-8P**, Methyl 2-[[2-[4-[2-[(4-
 chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate
637763-71-4P, N-[4-(Trifluoromethyl)benzyl]acetamide
637763-73-6P, Methyl 2-[[2-[4-[2-[ethyl[4-
 (trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]ethyl]thio]benzo
 ate **637763-78-1P**, Methyl 2-[2-[4-[2-[(2,4-
 difluorobenzyl)(propyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate
637763-80-5P, Methyl 2-[2-[4-[2-[benzyl(ethyl)amino]-2-
 oxoethoxy]phenyl]ethoxy]benzoate **637763-82-7P**, Methyl
 2-[[2-[4-[2-[benzyl(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]ben
 zoate **637763-84-9P**, Methyl 2-[2-[4-[2-[(4-tert-
 butylbenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate
637763-86-1P, Methyl 2-[2-[4-[2-[ethyl(4-
 fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethoxy]benzoate
637763-88-3P, Methyl 2-[[2-[4-[2-[ethyl(2-
 fluorobenzyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate
637763-91-8P, Methyl 2-[[2-[4-[2-[(2-
 chlorobenzyl)(ethyl)amino]-2-oxoethoxy]phenyl]ethyl]thio]benzoate
 (preparation of ortho-substituted benzoic acid derivs. for treatment
 of insulin resistance)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 11 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:491169 HCAPLUS

DOCUMENT NUMBER: 139:69054

TITLE: Preparation of substituted phenylpropionic
 acid derivatives as agonists to human
peroxisome proliferator-activated
 receptor alpha (PPAR)

INVENTOR(S): Alstermark Lindstedt, Eva-Lotte; Olsson, Anna
 Christina; Li, Lanna

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051822	A1	20030626	WO 2002-GB5744	2002 1218

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
 GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
 KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
 MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,

SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG

CA 2469302 AA 20030626 CA 2002-2469302 2002
1218

AU 2002352427 A1 20030630 AU 2002-352427 2002
1218

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
EE, SK

BR 2002014986 A 20041214 BR 2002-14986 2002
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CN 1620422 A 20050525 CN 2002-828123 2002
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CN 1620423 A 20050525 CN 2002-828155 2002
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US 2005113362 A1 20050526 US 2003-499378 2002
1218

JP 2005526704 T2 20050908 JP 2003-552710 2002
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NZ 533274 A 20051223 NZ 2002-533274 2002
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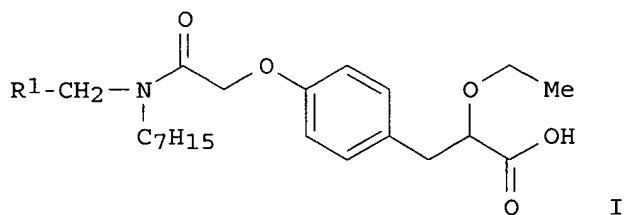
NO 2004003164 A 20040716 NO 2004-3164 2004
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JP 2005336209 A2 20051208 JP 2005-235794 2005

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PRIORITY APPLN. INFO.:	SE 2001-4334	A	
			2001 1219
	<--		
	JP 2003-552709	A3	
			2002 1218
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	WO 2002-GB5738	W	
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	WO 2002-GB5744	W	
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	GB 2002-29931	A	
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	GB 2003-14079	A	
			2003 0618
	WO 2003-GB305602	A	
			2003 1219
	WO 2004-EP6597	A	
			2004 0617
	US 2005-499261	A2	
			2005 0304

OTHER SOURCE(S) : MARPAT 139:69054
GI



AB The present invention provides the S enantiomer of a compound of formula (I) (wherein R1 represents 2,4-difluorophenyl or cyclohexyl) as well as pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs thereof, processes for preparing such compds., their the utility in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, methods for their therapeutic use, and

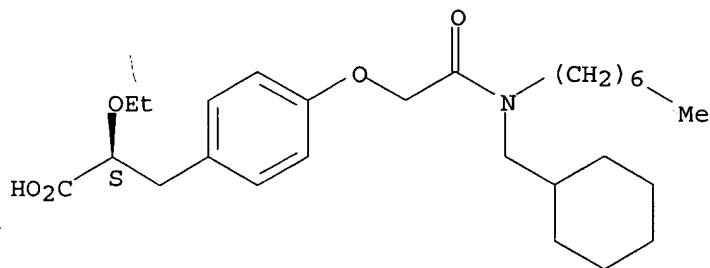
pharmaceutical compns. containing them. Thus, to a solution of [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid (0.108 g) 3.6 mL CH₂Cl₂ were added N-(cyclohexylmethyl)-N-heptylamine hydrochloride (0.090 g) and DMAP (0.098 g) followed by 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (0.070 g) and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., Et (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate which (0.031 g) was saponified with LiOH in aqueous THF at room temperature overnight and acidified with aqueous 2 M HCl to give (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid. The compds. I had EC₅₀ of less than 0.5 μ mol/L for PPAR α and preferred compds. have EC₅₀ of less than 0.05 μ mol/L for PPAR α . They were more potent with respect to PPAR α than with respect to PPAR γ .

IT 549501-66-8P, (2S)-3-[4-[2-[(Cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 549501-72-6P, (2S)-3-[4-[2-[(2,4-Difluorobenzyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid (preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)

RN 549501-66-8 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(cyclohexylmethyl)heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

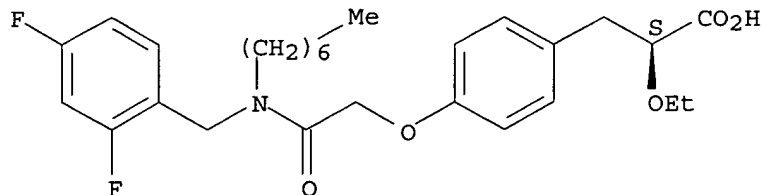
Absolute stereochemistry.



RN 549501-72-6 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[(2,4-difluorophenyl)methyl]heptylamino]-2-oxoethoxy]- α -ethoxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C235-20

ICS A61K031-16; A61P003-00

CC 25-18 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

- ST phenylpropionic acid prepn agonist human **peroxisome** proliferator activated receptor; lipid disorder dyslipidemia treatment phenylpropionic acid prepn
- IT Human
(preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT Dyslipidemia
(preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT **Peroxisome** proliferator-activated receptors
(α ; preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT 134523-00-5, Atorvastatin 287714-41-4, Rosuvastatin
(drug containing; preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT 439087-18-0 439087-21-5 439087-31-7 439087-34-0
439087-36-2 439087-37-3 439087-38-4 439087-48-6
439087-61-3 439087-63-5 439087-88-4 439087-89-5
439087-96-4 439088-00-3 439088-01-4 439088-02-5
439088-03-6 501692-15-5 501692-16-6 501692-17-7
501692-21-3 501692-27-9 501692-28-0 501692-40-6
501692-41-7 501692-43-9 501692-44-0 501692-46-2
501692-50-8 549501-76-0 549501-77-1 549501-78-2
549501-79-3 549501-80-6 549501-81-7 549501-82-8
549501-83-9 549501-84-0
(ideal bile acid transport system (IBAT) inhibitor, drug containing; preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT 549501-67-9P, Ethyl (2S)-3-[4-[2-(benzyloxy)-2-oxoethoxy]phenyl]-2-ethoxypropanoate 549501-68-0P, [4-[(2S)-2,3-Diethoxy-3-oxopropyl]phenoxy]acetic acid 549501-69-1P, N-(Cyclohexylmethyl)heptanamide 549501-70-4P, N-(Cyclohexylmethyl)-N-heptylamine hydrochloride 549501-71-5P, Ethyl (2S)-3-[4-[2-[(cyclohexylmethyl) (heptyl) amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate 549501-73-7P, N-(2,4-Difluorobenzyl)heptanamide 549501-74-8P 549501-75-9P, Ethyl (2S)-3-[4-[2-[(2,4-difluorobenzyl) (heptyl) amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate
(intermediate; preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT 549501-66-8P, (2S)-3-[4-[2-[(Cyclohexylmethyl) (heptyl) amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid 549501-72-6P, (2S)-3-[4-[2-[(2,4-Difluorobenzyl) (heptyl) amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid
(preparation of substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor alpha (PPAR) for treating lipid disorders)
- IT 111-14-8, n-Heptanoic acid 3218-02-8, Aminomethylcyclohexane 5437-45-6, Benzyl bromoacetate 72235-52-0, 2,4-Difluorobenzylamine 222555-06-8, Ethyl (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate

(reactant; preparation of substituted phenylpropionic acid derivs.
as agonists to human **peroxisome** proliferator-
activated receptor alpha (PPAR) for treating lipid disorders)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 12 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:491168 HCAPLUS

DOCUMENT NUMBER: 139:69049

TITLE: Preparation of substituted phenylpropionic
acid derivatives as agonists to human
peroxisome proliferator-activated
receptor alpha (PPAR)

INVENTOR(S): Alstermark Lindstedt, Eva-Lotte; Olsson, Anna
Christina; Li, Lanna

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051821	A1	20030626	WO 2002-GB5738	2002 1218

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CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, YU, ZA, ZM, ZW

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DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
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AU 2002366315	A1	20030630	AU 2002-366315	2002 1218
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			WO 2002-GB5738	W
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2003
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WO 2004-EP6597

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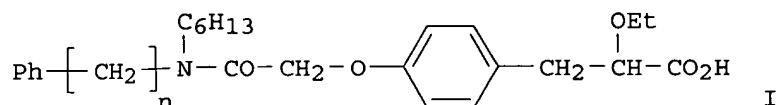
2004
0617

US 2005-499261

A2

2005
0304OTHER SOURCE(S):
GI

MARPAT 139:69049



AB The S enantiomer of I, $n = 1$ or 2 , (C_6H_{13} = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4{2-[benzyl(hexyl)amino]-2-oxoethoxy}phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

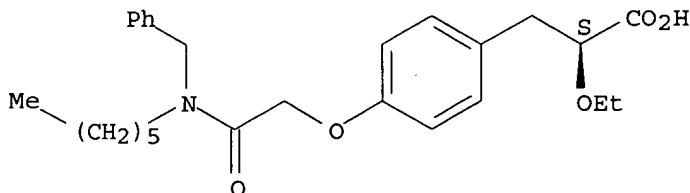
IT 549532-33-4P 549532-35-6P

(preparation of enantiomeric substituted phenylpropionic acid derivs. as agonists to human **peroxisome** proliferator-activated receptor)

RN 549532-33-4 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(phenylmethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

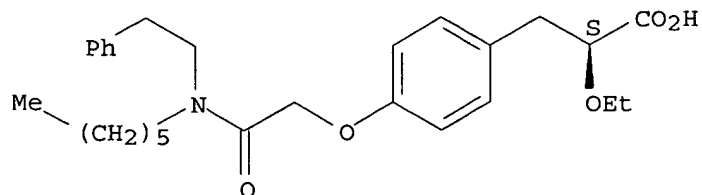
Absolute stereochemistry.



RN 549532-35-6 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-[2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- IC ICM C07C235-20
ICS A61K031-16; A61P003-00
- CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
- ST substituted phenylpropionic acid deriv enantiomer deriv prepn
agonist activity; human **peroxisome** proliferator
activated receptor substituted phenylpropionic acid deriv; PPAR
receptor substituted phenylpropionic acid deriv enantiomer
- IT Antiarteriosclerotics
(antiatherosclerotics; preparation of enantiomeric substituted
phenylpropionic acid derivs. as agonists to human
peroxisome proliferator-activated receptor)
- IT Structure-activity relationship
(hydroxymethylglutaryl CoA reductase-inhibiting; preparation of
enantiomeric substituted phenylpropionic acid derivs. as
agonists to human **peroxisome** proliferator-activated
receptor)
- IT Bile acids
(ileal bile acid transport, inhibitor; preparation of enantiomeric
substituted phenylpropionic acid derivs. as agonists to human
peroxisome proliferator-activated receptor)
- IT Charcoal
(palladium supported with; preparation of enantiomeric substituted
phenylpropionic acid derivs. as agonists to human
peroxisome proliferator-activated receptor)
- IT Anticholesteremic agents
Antidiabetic agents
Antihypertensives
Antiobesity agents
Human
Hypolipemic agents
(preparation of enantiomeric substituted phenylpropionic acid
derivs. as agonists to human **peroxisome**
proliferator-activated receptor)
- IT **Peroxisome** proliferator-activated receptors
(preparation of enantiomeric substituted phenylpropionic acid
derivs. as agonists to human **peroxisome**
proliferator-activated receptor)
- IT Drug delivery systems
(prodrugs; preparation of enantiomeric substituted phenylpropionic
acid derivs. as agonists to human **peroxisome**
proliferator-activated receptor)
- IT 7440-05-3, Palladium, uses
(charcoal-supported; preparation of enantiomeric substituted
phenylpropionic acid derivs. as agonists to human
peroxisome proliferator-activated receptor)
- IT 37250-24-1P, HMG-CoA reductase
(inhibitors; preparation of enantiomeric substituted phenylpropionic
acid derivs. as agonists to human **peroxisome**
proliferator-activated receptor)

IT 439087-18-0P 439087-21-5P 439087-27-1P 439087-31-7P
 439087-34-0P 439087-36-2P 439087-37-3P 439087-38-4P
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 549501-83-9P 549501-84-0P 549532-33-4P
 549532-35-6P 549532-37-8P
 (preparation of enantiomeric substituted phenylpropionic acid
 derivs. as agonists to human **peroxisome**
 proliferator-activated receptor)

IT 5437-45-6, Benzyl bromoacetate 24997-83-9, N-Hexyl-2-
 phenylethylamine 25468-44-4, N-Hexylbenzylamine 25952-53-8,
 1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride
 222555-06-8
 (preparation of enantiomeric substituted phenylpropionic acid
 derivs. as agonists to human **peroxisome**
 proliferator-activated receptor)

IT 549501-67-9P 549501-68-0P 549532-34-5P 549532-36-7P
 (preparation of enantiomeric substituted phenylpropionic acid
 derivs. as agonists to human **peroxisome**
 proliferator-activated receptor)

IT 67-68-5, DMSO, uses 109-99-9, THF, uses 57951-36-7,
 Dimethylaminopyridine
 (solvent; preparation of enantiomeric substituted phenylpropionic
 acid derivs. as agonists to human **peroxisome**
 proliferator-activated receptor)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 13 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:154382 HCAPLUS

DOCUMENT NUMBER: 138:187795

TITLE: Preparation of aryl or heterocyclyl-
 substituted benzoic acid and alkanolic acid
 derivatives as antagonists of prostaglandin E2
 (PEG2) receptors

INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi,
 Kaoru; Narita, Masami; Ogawa, Mikio

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1009 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

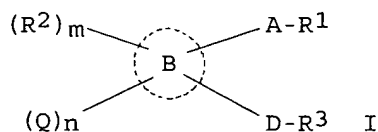
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003016254	A1	20030227	WO 2002-JP8120	2002 0808

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 KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
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 PRIORITY APPLN. INFO.: JP 2001-241867 A 2001
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 WO 2002-JP8120 W 2002
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 OTHER SOURCE(S): MARPAT 138:187795
 GI



AB Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO₂H, CO₂R₄, CH₂OH, COR₅SO₂R₆, CONH₂, CH₂NR₅SO₂R₆, CH₂NR₉COR₁₀, CH₂NR₉CONR₅SO₂R₆, CH₂SO₂NR₉COR₁₀, CH₂O₂CNR₅SO₂R₆, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R₄ = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R₅, R₉ = H, C1-6 alkyl; R₆ = C1-6 alkyl, C3-15 mono-, di-, or tricarboxylic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R₁₀ = H, R₆); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R₂ = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF₂, CF₃, NO₂, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene, C2-4 alkenylene, or C2-4 alkynylene)-Cyc₂, -C1-4 alkylene-Z-Cyc₃, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc₂, Cyc₃ = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO₂, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R₃ = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)propenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino)acetamide, (thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide, (pyrazolylmethylphenoxy)acetamide, (phenoxymethyl)benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG₂ receptors, in particular, subtype EP₃ and/or subtype EP₄ and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching), urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy,

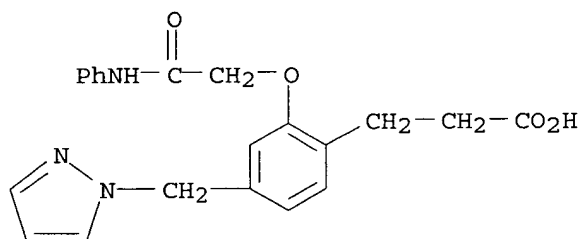
reproduction disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers associated therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, reduction of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, **diabetes** insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et₃N in THF at 0° for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4-methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE₂ to prostaglandin E₂ (PGE₂) receptor subtype EP₁, EP₂, EP₃, and EP₄ expressed in CHO cells with K_i of >10, >10, 0.27, and 0.038 μM, resp. A tablet formulation containing (2E)-2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.

IT 499145-07-2P 499145-08-3P 499145-09-4P
499145-20-9P 499145-21-0P 499153-29-6P
499153-30-9P 499153-40-1P

(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanolic acid derivs. as antagonists of prostaglandin E₂ (PGE₂) receptors as therapeutic agents)

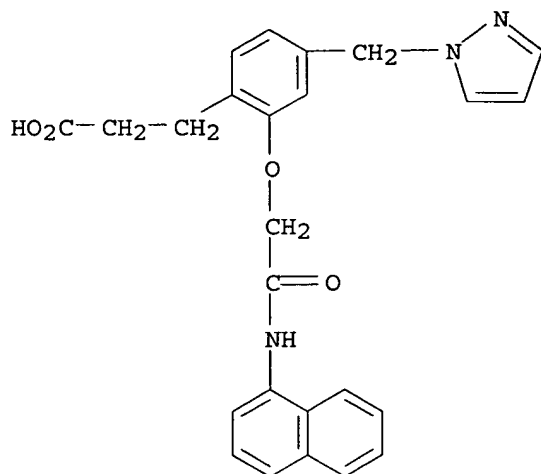
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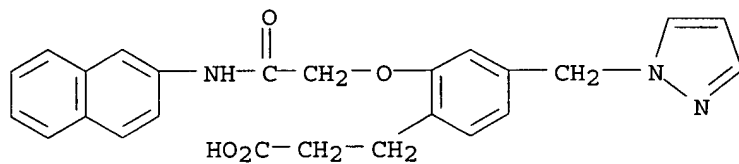
RN 499145-08-3 HCAPLUS

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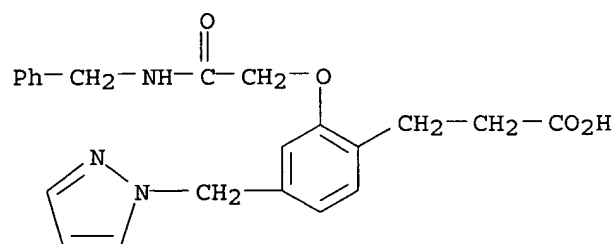
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CN Benzenepropanoic acid, 2-[2-(2-naphthalenylamino)-2-oxoethoxy]-4-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



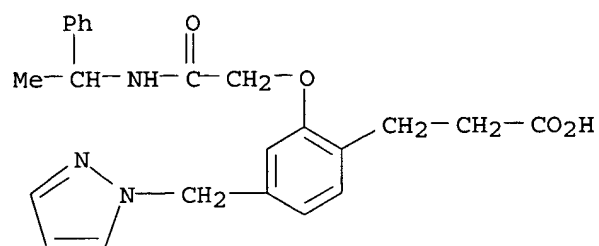
RN 499145-20-9 HCAPLUS

CN Benzenepropanoic acid, 2-[2-oxo-2-[(phenylmethyl)amino]ethoxy]-4-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



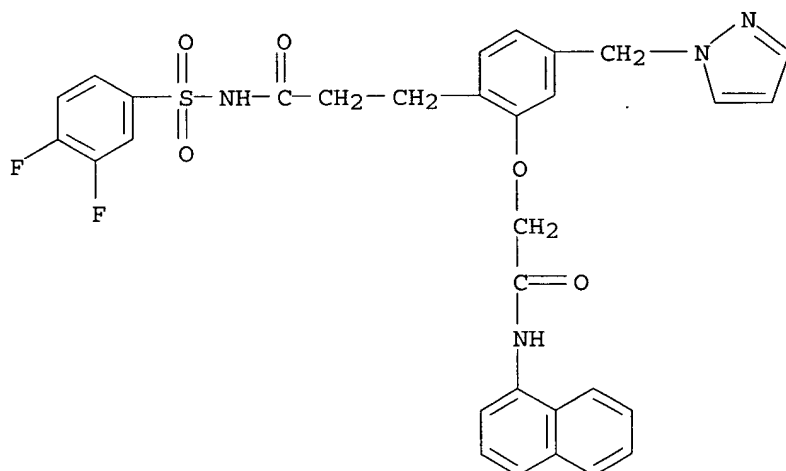
RN 499145-21-0 HCAPLUS

CN Benzenepropanoic acid, 2-[2-oxo-2-[(1-phenylethyl)amino]ethoxy]-4-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



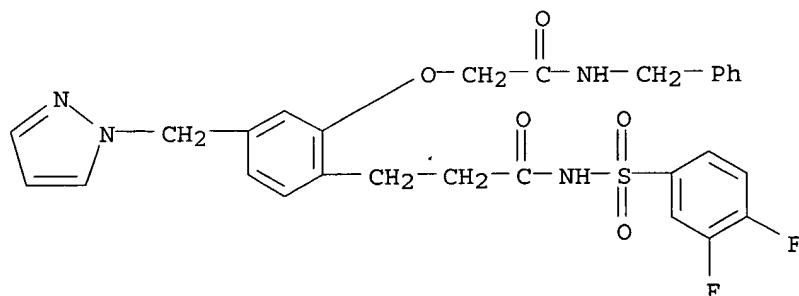
RN 499153-29-6 HCAPLUS

CN Benzenepropanamide, N-[(3,4-difluorophenyl)sulfonyl]-2-[2-(1-naphthalenylamino)-2-oxoethoxy]-4-(1H-pyrazol-1-ylmethyl)- (9CI)
(CA INDEX NAME)



RN 499153-30-9 HCAPLUS

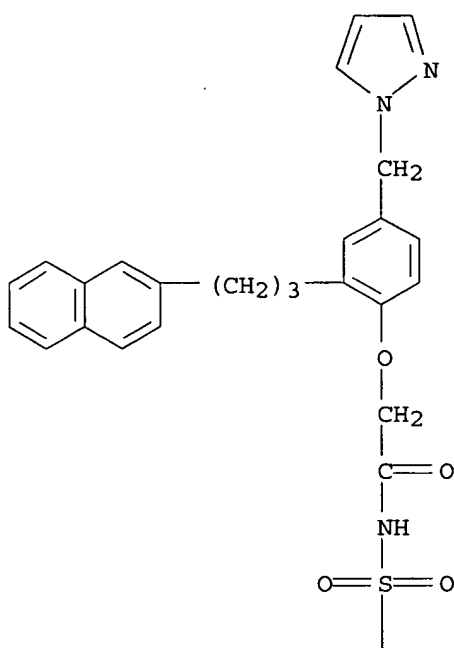
CN Benzenepropanamide, N-[(3,4-difluorophenyl)sulfonyl]-2-[2-oxo-2-[(phenylmethyl)amino]ethoxy]-4-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



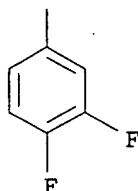
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CN Acetamide, N-[(3,4-difluorophenyl)sulfonyl]-2-[2-[3-(2-naphthalenyl)propyl]-4-(1H-pyrazol-1-ylmethyl)phenoxy]- (9CI) (CA INDEX NAME)

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PAGE 2-A



IC ICM C07C057-40
 ICS C07C057-44; C07C069-736; C07C229-34; C07C233-47; C07C233-55;
 C07C233-65; C07C233-81; C07C233-87; C07C235-38; C07C235-42;
 C07C235-46; C07C235-48; C07C235-54; C07C235-56; C07C237-30;
 C07C239-18; C07C255-37; C07C255-55; C07C255-57
 CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 25, 27, 63
 IT Alzheimer's disease
 Analgesics
 Anti-Alzheimer's agents
 Antiarteriosclerotics
 Asthmatics
 Anticoagulants
 Antidepressants
 Antihypertensives
 Antipyretics
 Antitumor agents
 Anxiety

Anxiolytics
 Arteriosclerosis
 Asthma
 Bone, disease
 Burn
 Calculi, biliary
 Diabetes insipidus
 Diarrhea
 Dysmenorrhea
 Edema
 Embolism
 Fever and Hyperthermia
 Hypertension
 Immune disease
 Immunomodulators
 Kidney, disease
 Learning disorders
 Leucoma
 Liver, disease
 Lung, disease
 Mental retardation
 Multiple organ failure
 Nerve, disease
 Pain
 Periodontium, disease
 Pruritus
 Psoriasis
 Reproduction disorders
 Stress, animal
 Thrombus
 Transplant and Transplantation
 Urticaria

(preparation of aryl or heterocycllyl-substituted benzoic acid and
 alkanolic acid derivs. as antagonists of prostaglandin E2 (PEG2)
 receptors as therapeutic agents)

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(preparation of aryl or heterocyclyl-substituted benzoic acid and
alkanoic acid derivs. as antagonists of prostaglandin E2 (PEG2)
receptors as therapeutic agents)

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499152-91-9P	499152-92-0P	499152-93-1P	499152-94-2P
499152-95-3P	499152-96-4P	499152-97-5P	499152-98-6P
499152-99-7P	499153-00-3P	499153-01-4P	499153-02-5P
499153-03-6P	499153-04-7P	499153-05-8P	499153-06-9P
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499153-27-4P	499153-28-5P	499153-29-6P	
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499153-38-7P	499153-39-8P	499153-40-1P	499153-41-2P
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499154-18-6P	499154-20-0P	499154-21-1P	499154-22-2P
499154-23-3P			

(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanolic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 14 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:942792 HCAPLUS

DOCUMENT NUMBER: 138:24953

TITLE: Preparation of N-sulfonylated phenylalanine dipeptide derivatives as inhibitors of leukocyte adhesion mediated by VLA-4

INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Lombardo, Louis John; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.; Baudy, Reinhardt Bernhard; Ashwell, Susan

PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home

SOURCE: Products Corp.
 U.S., 71 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6492421	B1	20021210	US 1998-126095	1998 0730

PRIORITY APPLN. INFO.: <--
 US 1997-104599P P
 1997
 0731

OTHER SOURCE(S): MARPAT 138:24953

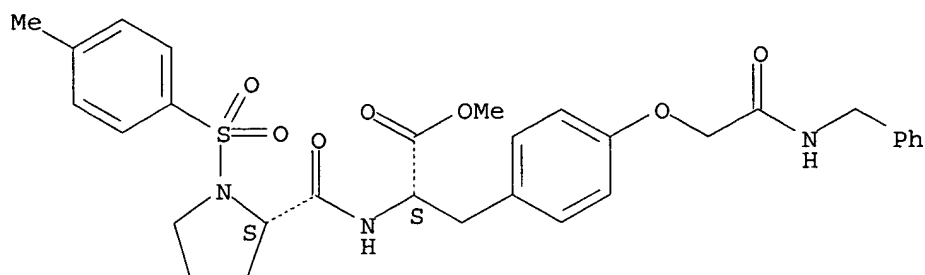
AB Disclosed are title dipeptides R1SO2NR2CHR3-Q-CHR5C02H [R1, R3 = (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 = H, (un)substituted cycloalkenyl, or any group given for R1; or R2 may form an (un)substituted heterocyclic ring with R1 or R3; R5 = (CH2)x-Ar-R5'; R5' = alkylcarbonylamino, alkoxyaryl, (hetero)aryl, alkylamino, alkenyl, alkoxyheterocyclyl, etc.; x = 1-4; Ar = (un)substituted (hetero)aryl; Q = C(X)NR7; R7 = H, alkyl; X = O, S (with provisos)] which bind VLA-4 (also referred to as $\alpha 4\beta 1$ integrin and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, **diabetes**, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with N-(tert-butoxycarbonyl)glycine afforded N-tosyl-L-prolyl-4-[(N-tert-butoxycarbonyl)glycyl]amino-L-phenylalanine.

IT 220397-47-7P 220397-52-4P
 (preparation of N-sulfonylated aminophenylalanine dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220397-47-7 HCAPLUS

CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-O-[2-oxo-2-[(phenylmethyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

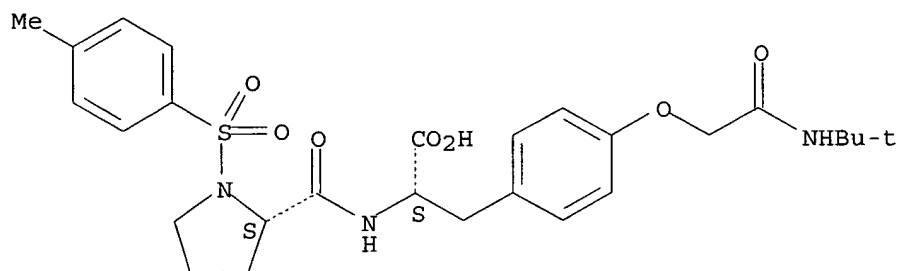
Absolute stereochemistry.



RN 220397-52-4 HCAPLUS

CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-O-[2-[(1,1-dimethylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-19

ICS C07C311-00

INCL 514562000; 514217080; 514227800; 514254010; 514307000; 514363000; 514365000; 514400000; 514424000; 514542000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

IT AIDS (disease)

Alzheimer's disease

Anti-inflammatory agents

Antiasthmatics

Antidiabetic agents

Antirheumatic agents

Asthma

Atherosclerosis

Diabetes mellitus

Encephalitis

Human

Meningitis

Multiple sclerosis

Psoriasis

Rheumatoid arthritis

Transplant and Transplantation

(preparation of N-sulfonylated aminophenylalanine dipeptide derivs.

as inhibitors of leukocyte adhesion mediated by VLA-4)

IT	220396-91-8P	220396-93-0P	220396-94-1P	220396-95-2P
	220396-96-3P	220396-97-4P	220396-98-5P	220396-99-6P
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220398-27-6P 220398-28-7P 220398-29-8P 220398-30-1P
220398-31-2P

(preparation of N-sulfonylated aminophenylalanine dipeptide derivs.
as inhibitors of leukocyte adhesion mediated by VLA-4)

REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 15 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:688154 HCAPLUS

DOCUMENT NUMBER: 137:232648

TITLE: Preparation of pyrrolo[2,1-a]isoindole,
oxazolo[2,3-a]isoindole, and
imidazolo[2,3-a]isoindole derivatives as
remedies for **diabetes** and obesity
and preventives for chronic **diabetes**
complications

INVENTOR(S): Iino, Tomoharu; Sato, Yoshiyuki; Nishimura,
Teruyuki; Banba, Makoto; Eiki, Junichi;
Nagase, Toshio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 124 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

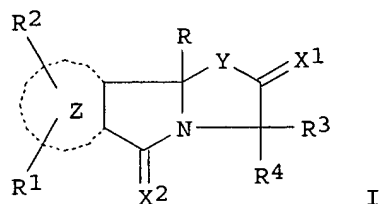
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2002255967	A2	20020911	JP 2001-52973	2001 0227

PRIORITY APPLN. INFO.: <-- JP 2001-52973 2001
0227

OTHER SOURCE(S): MARPAT 137:232648
GI

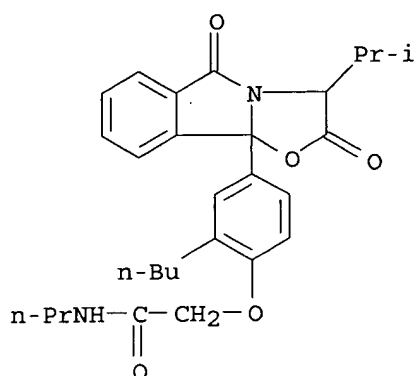


AB Remedies for **diabetes** and obesity or preventives for chronic **diabetes** complications containing the title compds. [I; R = (un)substituted mono to tricyclic C7-15 aromatic group or mono to tricyclic aromatic heterocyclyl containing 1-5 heteroatoms selected from N, O, and S in each ring; R1, R2 = H, N3, NH2, CONH2, carbamoylamino, carbamoyloxy, CO2H, cyano, SO2NH2, SO3H, NO2, halo, HO, CHO, formylamino, cyclic (un)saturated C3-9 aliphatic group, aralkyl, aralkylamino, aralkyloxy, aralkylcarbonyl, aryl, C1-6 alkoxy, linear or branched (un)saturated C1-9 aliphatic group, etc.; R3, R4 = H, N3, amidino, NH2, CONH2, carbamoylamino, carbamoyloxy, CO2H, guanidino, cyano, SO2NH2, SO3H, NO2, halo, HO, CHO, formylamino, cyclic (un)saturated C3-9 aliphatic group, C2-6 alkanoyl, N-C2-6 alkanoylamino, linear or branched (un)saturated C1-9 aliphatic group, etc.; or R3 and R4 together form a linear or branched C1-9 aliphatic group or 5- or 6-membered (un)saturated carbocyclic ring; X1 = O, S, (un)substituted NH; X2 = O, S; Y = O, S, (un)substituted NH or CH2] or pharmaceutically acceptable salts thereof as the active ingredients are claimed. The compds. I exhibits the activity for maintaining the high level of glucagon-like peptide-1 (GLP-1) in blood and improve hyperglycemic state. Thus, to a solution of 750 mg 2-(2-methoxybenzoyl)benzoic acid 550 mg D-valine Me ester hydrochloride in 40 mL CH2Cl2 were added 490 mg 1-hydroxybenzotriazole hydrate, 690 mg 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and 1.26 mL Et3N and stirred at room temperature for 3 h, concentrated under reduced pressure, dissolved in 15 MeOH, treated with 8 mL 4 N aqueous NaOH, stirred at room temperature for 12 h, and treated with 40 mL 1 N aqueous HCl and EtOAc. The organic layer was dried, concentrated under reduced pressure to give N-[2-(2-methoxybenzoyl)benzoyl]-D-valine which was stirred with 5 mL CF3CO2H at room temperature for 2 h to give 46% 9b-(2-methoxyphenyl)-3-(1-methylethyl)oxazolo[2,3-a]isoindole-2,5(3H,9bH)-dione. 9B-phenyl-3-(1-methylethyl)oxazolo[2,3-a]isoindole-2,5(3H,9bH)-dione (II) at 30 mg/kg p.o. increased the serum level of GLP-1 from 1.6 pM (control) to 3.8 PM in male Wister rats after 30 min. A capsule formulation containing II was described.

IT 327599-44-0P
(preparation of pyrroloisoindole, oxazoloisoindole, and imidazoloisoindole derivs. for increasing serum GLP-1 activity as remedies for **diabetes** and obesity and preventives for chronic **diabetes** complications)

RN 327599-44-0 HCAPLUS

CN Acetamide, 2-[2-butyl-4-[2,3-dihydro-3-(1-methylethyl)-2,5-dioxoxazolo[2,3-a]isoindol-9b(5H)-yl]phenoxy]-N-propyl- (9CI)
(CA INDEX NAME)



IC ICM C07D487-04
 ICS C07D487-04; A61K031-407; A61K031-4188; A61K031-4192;
 A61K031-437; A61K031-4436; A61K031-4985; A61K031-5025;
 A61K031-519; A61P003-04; A61P003-10; C07D487-14; C07D498-04;
 C07D498-14; C07D513-04; C07D513-14

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63

ST pyrroloisoindole oxazoloisoindole imidazoloisoindole prepn
 treatment **diabetes** obesity; chronic **diabetes**
 complication treatment oxazoloisoindole prepn

IT **Diabetes** mellitus
 (chronic complications; preparation of pyrroloisoindole,
 oxazoloisoindole, and imidazoloisoindole derivs. for increasing
 serum GLP-1 activity as remedies for **diabetes** and
 obesity and preventives for chronic **diabetes**
 complications)

IT **Antidiabetic** agents
 Antiobesity agents
Diabetes mellitus
 Obesity
 (preparation of pyrroloisoindole, oxazoloisoindole, and
 imidazoloisoindole derivs. for increasing serum GLP-1 activity
 as remedies for **diabetes** and obesity and preventives
 for chronic **diabetes** complications)

IT 89750-14-1, Glucagon-like peptide I
 (preparation of pyrroloisoindole, oxazoloisoindole, and
 imidazoloisoindole derivs. for increasing serum GLP-1 activity
 as remedies for **diabetes** and obesity and preventives
 for chronic **diabetes** complications)

IT 327597-08-0P 327597-09-1P 327597-09-1P 327597-10-4P
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 327597-15-9P 327597-16-0P 327597-17-1P 327597-18-2P
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 327597-27-3P 327597-28-4P 327597-29-5P 327597-30-8P
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 327597-35-3P 327597-36-4P 327597-37-5P 327597-38-6P
 327597-39-7P 327597-40-0P 327597-41-1P 327597-42-2P
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327597-87-5P	327597-88-6P	327597-89-7P	327597-90-0P
327597-91-1P	327597-92-2P	327597-93-3P	327597-94-4P
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327599-45-1P	327599-46-2P	327599-47-3P	327599-48-4P

(preparation of pyrroloisindole, oxazoloisindole, and imidazoloisindole derivs. for increasing serum GLP-1 activity as remedies for **diabetes** and obesity and preventives for chronic **diabetes** complications)

IT 327599-49-5P	327599-50-8P	327599-51-9P	327599-52-0P
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 327600-36-2P 327600-37-3P 327600-38-4P 327600-39-5P
 327600-40-8P 327600-41-9P 327600-42-0P 327600-43-1P
 327600-44-2P 327600-45-3P 327600-46-4P 457939-98-9P
 457939-99-0P 457940-00-0P 457940-01-1P 457940-03-3P
 457940-04-4P 457940-05-5P 457940-06-6P 457940-07-7P

(preparation of pyrroloisindole, oxazoloisindole, and imidazoloisindole derivs. for increasing serum GLP-1 activity as remedies for **diabetes** and obesity and preventives for chronic **diabetes** complications)

IT 75-16-1, Methylmagnesium bromide 85-44-9, Phthalic anhydride
 85-52-9, 2-Benzoylbenzoic acid 578-57-4, 2-Bromoanisole
 1151-15-1, 2-(4-Methoxybenzoyl)benzoic acid 6638-79-5,
 N,O-Dimethylhydroxylamine hydrochloride 7146-15-8, D-Valine
 methyl ester hydrochloride 13139-86-1, 4-Methoxyphenylmagnesium
 bromide 22838-58-0 70717-76-9

(preparation of pyrroloisindole, oxazoloisindole, and imidazoloisindole derivs. for increasing serum GLP-1 activity as remedies for **diabetes** and obesity and preventives for chronic **diabetes** complications)

IT 1151-04-8P, 2-(2-Methoxybenzoyl)benzoic acid 190260-92-5P
 327600-47-5P 327600-48-6P 457939-97-8P 457940-02-2P
 457940-08-8P

(preparation of pyrroloisindole, oxazoloisindole, and imidazoloisindole derivs. for increasing serum GLP-1 activity as remedies for **diabetes** and obesity and preventives for chronic **diabetes** complications)

L32 ANSWER 16 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:658125 HCAPLUS

DOCUMENT NUMBER: 137:201333

TITLE: Preparation of imidazoisindole derivatives, oxazoloisindole derivatives, etc., as remedies for **diabetes** and obesity

INVENTOR(S): Iino, Tomoharu; Bamba, Makoto; Eiki, Junichi; Nagase, Toshio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 229 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002066479	A1	20020829	WO 2002-JP1576	2002 0222

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
 GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
 KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
 MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,
 SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
 VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,
 BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC,
 NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
 ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2001-48394

A

2001

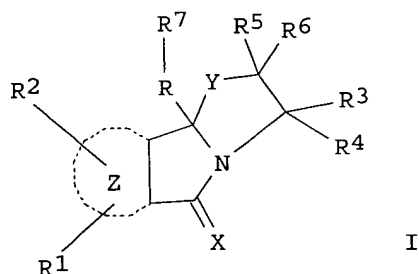
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OTHER SOURCE(S):

MARPAT 137:201333

GI



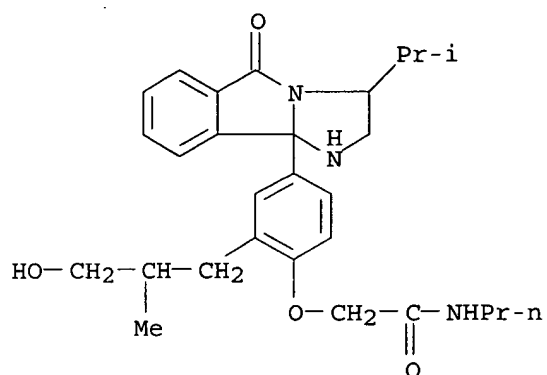
AB The title compds. I [R represents amino, etc.; R1 and R2 are the same or different and each represents hydrogen, etc.; R3, R4, R5 and R6 independently represent each hydrogen, etc.; R7 represents hydrogen, etc.; X represents oxygen, etc.; Y represents oxygen, etc.; and Z represents fused aryl, etc.] are prepared I increase the blood level of GLP-1 (glucagon-like peptide 1) and are useful as remedies for **diabetes**, preventives for chronic complications of **diabetes**, and antiobesity agents. A compound of this invention at 0.3 mg/kg orally caused a significant increase of GLP-1 concentration in blood in rats. Formulations are given.

IT 453555-70-9P 453555-72-1P 453555-74-3P

(preparation of imidazoisindole derivs. and oxazoloisindole derivs., as remedies for **diabetes** and obesity)

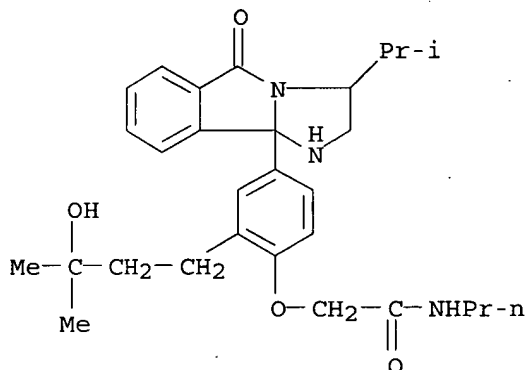
RN 453555-70-9 HCAPLUS

CN Acetamide, 2-[4-[2,3-dihydro-3-(1-methylethyl)-5-oxo-1H-imidazo[2,1-a]isindol-9b(5H)-yl]-2-(3-hydroxy-2-methylpropyl)phenoxy]-N-propyl- (9CI) (CA INDEX NAME)



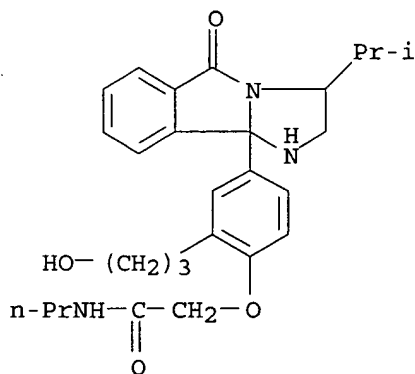
RN 453555-72-1 HCAPLUS

CN Acetamide, 2-[4-[2,3-dihydro-3-(1-methylethyl)-5-oxo-1H-imidazo[2,1-a]isoindol-9b(5H)-yl]-2-(3-hydroxy-3-methylbutyl)phenoxy]-N-propyl- (9CI) (CA INDEX NAME)



RN 453555-74-3 HCAPLUS

CN Acetamide, 2-[4-[2,3-dihydro-3-(1-methylethyl)-5-oxo-1H-imidazo[2,1-a]isoindol-9b(5H)-yl]-2-(3-hydroxypropyl)phenoxy]-N-propyl- (9CI) (CA INDEX NAME)



IC ICM C07D471-04

ICS C07D487-04; C07D498-04; C07D498-14; C07D498-20; C07D513-04;

C07D513-14; C07D513-20; A61K031-424; A61K031-429;
 A61K031-437; A61K031-4439; A61K031-497; A61K031-4985;
 A61K031-5025; A61K031-519; A61K031-5377; A61P003-04;
 A61P003-10; A61P043-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63

ST imidazoisindole oxazoloisindole prepn **diabetes** obesity
 remedy

IT **Antidiabetic** agents

Antiobesity agents

Obesity

(preparation of imidazoisindole derivs. and oxazoloisindole
 derivs., as remedies for **diabetes** and obesity)

IT **Diabetes** mellitus

(preparation of imidazoisindole derivs. and oxazoloisindole
 derivs., as remedies for **diabetes** and obesity, and
 preventives for complications of **diabetes**.)

IT 89750-14-1, Glucagon-like peptide I

(preparation and effect of imidazoisindole derivs. and
 oxazoloisindole derivs., as remedies for **diabetes**
 and obesity)

IT	144692-37-5P	453553-48-5P	453553-50-9P	453553-52-1P
	453553-54-3P	453553-56-5P	453553-58-7P	453553-60-1P
	453553-62-3P	453553-64-5P	453553-66-7P	453553-68-9P
	453553-70-3P	453553-72-5P	453553-74-7P	453553-76-9P
	453553-78-1P	453553-80-5P	453553-82-7P	453553-84-9P
	453553-86-1P	453553-88-3P	453553-90-7P	453553-92-9P
	453553-94-1P	453553-96-3P	453553-98-5P	453554-00-2P
	453554-02-4P	453554-04-6P	453554-06-8P	453554-08-0P
	453554-10-4P	453554-12-6P	453554-14-8P	453554-16-0P
	453554-18-2P	453554-20-6P	453554-22-8P	453554-24-0P
	453554-26-2P	453554-28-4P	453554-30-8P	453554-32-0P
	453554-34-2P	453554-36-4P	453554-38-6P	453554-40-0P
	453554-42-2P	453554-44-4P	453554-46-6P	453554-48-8P
	453554-50-2P	453554-52-4P	453554-54-6P	453554-56-8P
	453554-58-0P	453554-60-4P	453554-62-6P	453554-64-8P
	453554-66-0P	453554-68-2P	453554-70-6P	453554-72-8P
	453554-74-0P	453554-76-2P	453554-78-4P	453554-80-8P
	453554-82-0P	453554-84-2P	453554-85-3P	453554-87-5P
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	453555-85-6P	453555-87-8P	453555-89-0P	453555-91-4P
	453555-93-6P			

(preparation of imidazoisindole derivs. and oxazoloisindole
 derivs., as remedies for **diabetes** and obesity)

IT 67-56-1, Methanol, reactions 85-44-9, Phthalic anhydride
 5395-67-5, 2-Bromo-N-propylacetamide 7439-95-4, Magnesium,
 reactions 14804-31-0, 4-Bromo-2-methylanisole 453556-02-0
 453556-04-2 453556-06-4

(preparation of imidazoisindole derivs. and oxazoloisindole

derivs., as remedies for **diabetes** and obesity)

IT 51671-71-7P 93012-28-3P 97356-10-0P 453555-98-1P
453556-00-8P

(preparation of imidazoisindole derivs. and oxazoloisindole
derivs., as remedies for **diabetes** and obesity)

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 17 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:516372 HCAPLUS

DOCUMENT NUMBER: 137:78955

TITLE: Preparation of benzimidazole- α -
substituted carboxylic acid derivatives for
prevention and/or treatment of diseases such
as **diabetes**

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;
Honma, Hidehito; Fujiwara, Toshihiko;
Iwabuchi, Haruo

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 93 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

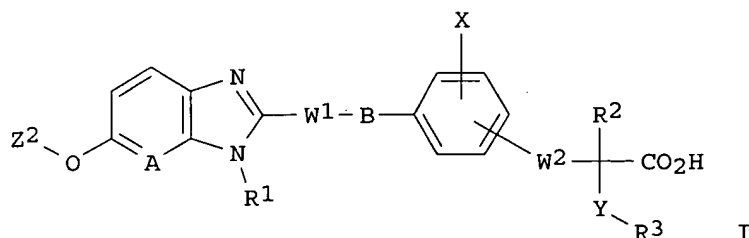
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. -----	KIND ----	DATE -----	APPLICATION NO. -----	DATE
JP 2002193948	A2	20020710	JP 2001-308762	2001 1004
			<--	
PRIORITY APPLN. INFO.:			JP 2000-307158	A 2000 1006
			<--	

OTHER SOURCE(S): MARPAT 137:78955

GI



AB Disclosed are insulin-resistance improving agents, blood
sugar-lowering agents, immune regulating agents, aldose
reductase-inhibitors, 5-lipoxygenase-inhibitors, lipid peroxide
formation-suppressing agents, **peroxisome**
proliferator-activated receptor (PPAR)-activating agents
leukotriene antagonists, fat cell-formation promoters, and calcium

antagonists containing the title compds. [I; R1, R2, R3 = H, C1-6 alkyl, (un)substituted C6-10 aryl, (un)substituted C7-16 , C1-6 alkylsulfonyl, C1-6 haloalkylsulfonyl, (un)substituted C6-10 arylsulfonyl, C7-16 aralkylsulfonyl; A = N, CH; B = O, S; W1 = C1-6 alkylene; W2 = single bond, C1-8 alkylene; X = H, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, halo, HO, cyano, NO2, C3-10 cycloalkyl, (un)substituted C6-10 aryl, (un)substituted C7-16 aralkyl, C1-7 aliphatic acyl, C4-11 cycloalkylcarbonyl, (un)substituted C7-11 arylcarbonyl, C8-17 aralkylcarbonyl, (un)substituted monocyclic heterocyclylcarbonyl, CONH2, (un)substituted C7-11 arylaminocarbonyl, (un)substituted NH2; Y = O, S(O)p (p = 0-2); Z2 = (un)substituted saturated heterocyclyl or C6-10 aryl] or pharmacol. acceptable salts as the active ingredients. They are useful for the prevention and/or treatment of **diabetes**, impaired glucose tolerance, neurosis, cataract, coronary artery disease, and gestational **diabetes**. Thus, a solution of 3-[4-[[[4-[4-(adamantan-1-yl)phenoxy]-2-(N-tert-butoxycarbonyl-N-methylamino)phenyl]amino]carbonyl]methoxy]phenyl]-2-(4-fluorobenzyloxy)propionic acid Me ester in 4 N HCl/dioxane was stirred at room temperature for 1 h to give 3-[4-[6-[4-(adamantan-1-yl)phenoxy]-1-methyl-1H-benzimidazol-2-yl]methoxy]phenyl]-2-(4-fluorobenzyloxy)propanoic acid Me ester which was stirred with a mixture of 2 n aqueous NaOH and methanol at room temperature for 2 h, treated with THF, stirred for 4 h, poured into water, and neutralized with HCl and aqueous NaHCO3 to give 3-[4-[6-[4-(adamantan-1-yl)phenoxy]-1-methyl-1H-benzimidazol-2-yl]methoxy]phenyl]-2-(4-fluorobenzyloxy)propanoic acid (II). When a feed containing 0.01% II was fed to **diabetic** KK mice for 3 days, blood sugar level was lowered by 58.5%. A capsule, a tablet, and a granule formulation containing II were prepared

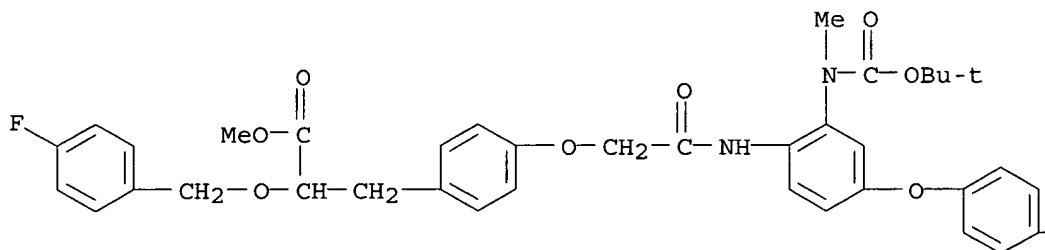
IT 299175-84-1P 299175-86-3P 299175-96-5P
299176-05-9P

(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

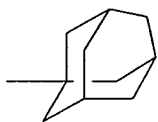
RN 299175-84-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-(4-*tricyclo*[3.3.1.1^{3,7}]dec-1-ylphenoxy)phenyl]amino]-2-oxoethoxy]- α -(4-fluorophenyl)methoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



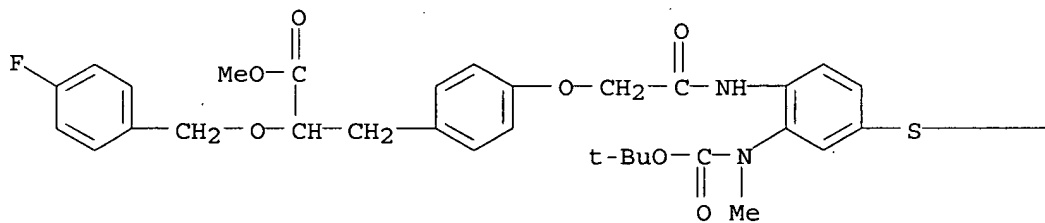
PAGE 1-B



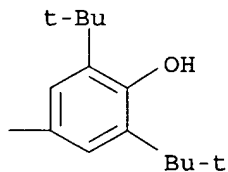
RN 299175-86-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]- α -[(4-fluorophenyl)methoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

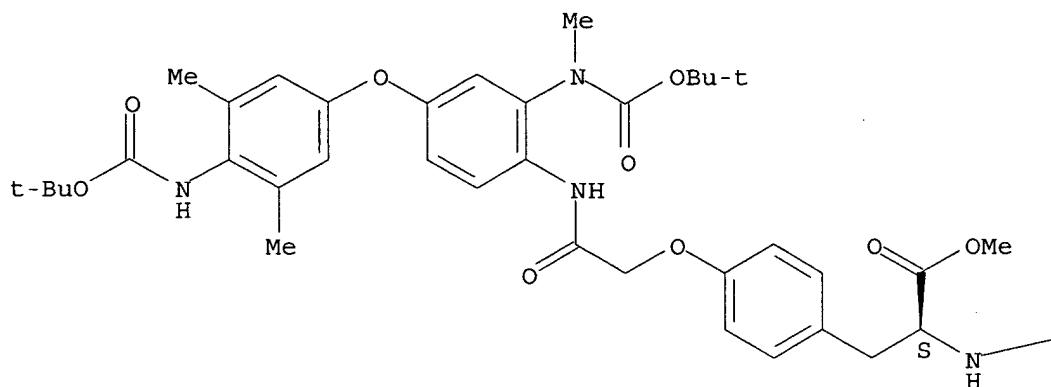


RN 299175-96-5 HCAPLUS

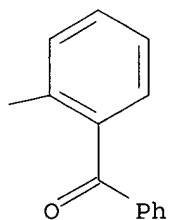
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[4-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]-3,5-dimethylphenoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

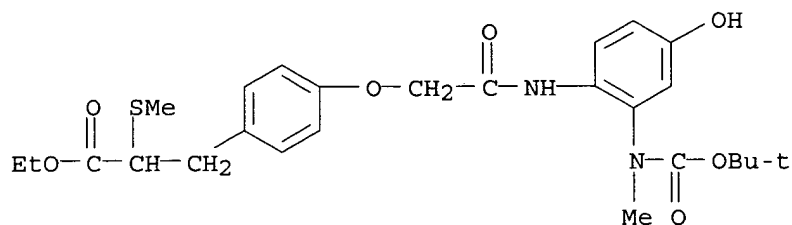


PAGE 1-B



RN 299176-05-9 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-hydroxyphenyl]amino]-2-oxoethoxy]- α -(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D235-12

ICS A61K031-4184; A61K031-7056; A61P001-00; A61P001-04;

- A61P001-16; A61P003-00; A61P003-04; A61P003-06; A61P003-10;
 A61P005-24; A61P009-00; A61P009-10; A61P009-12; A61P011-06;
 A61P013-12; A61P015-00; A61P017-00; A61P017-06; A61P019-02
- CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 7, 63
- ST benzimidazole substituted carboxylic acid prepn prevention
 treatment **diabetes**; impaired glucose tolerance
 prevention treatment phenylpropanoic acid prepn; neurosis cataract
 phenylpropanoic acid prepn; coronary artery disease
 phenylpropanoic acid prepn; gestational **diabetes**
 phenylpropanoic acid prepn; benzimidazolylmethoxyphenylfluorobenzy
 loxypropanoic acid prepn calcium antagonist;
 phenylfluorobenzyloxypropanoic acid benzimidazolylmethoxy prepn;
 insulin resistance improver phenylpropanoic acid prepn; blood
 sugar lowering agent phenylpropanoic acid prepn; immune regulating
 agent phenylpropanoic acid prepn; aldose reductase inhibitor
 phenylpropanoic acid prepn; lipoxxygenase inhibitor phenylpropanoic
 acid prepn; lipid peroxide formation suppressant phenylpropanoic
 acid prepn; **peroxisome** proliferator activated receptor
 activator phenylpropanoic acid prepn; leukotriene antagonist
 phenylpropanoic acid prepn; fat cell formation promoter
 phenylpropanoic acid prepn; calcium antagonist phenylpropanoic
 acid prepn
- IT **Peroxisome** proliferator-activated receptors
 (activators; preparation of benzimidazole- α -substituted
 carboxylic acid derivs. for prevention and/or treatment of
 diseases such as **diabetes** and impaired glucose
 tolerance)
- IT Adipose tissue
 (adipocyte, formation promoters; preparation of benzimidazole- α -
 substituted carboxylic acid derivs. for prevention
 and/or treatment of diseases such as **diabetes** and
 impaired glucose tolerance)
- IT Artery, disease
 (coronary; preparation of benzimidazole- α -substituted
 carboxylic acid derivs. for prevention and/or treatment of
 diseases such as **diabetes** and impaired glucose
 tolerance)
- IT Pregnancy disorders
 (gestational **diabetes**; preparation of benzimidazole- α -
 substituted carboxylic acid derivs. for prevention
 and/or treatment of diseases such as **diabetes** and
 impaired glucose tolerance)
- IT Peroxides, biological studies
 (lipid, formation inhibitors; preparation of benzimidazole- α -
 substituted carboxylic acid derivs. for prevention and/or
 treatment of diseases such as **diabetes** and impaired
 glucose tolerance)
- IT Mental and behavioral disorders
 (neurosis; preparation of benzimidazole- α -substituted
 carboxylic acid derivs. for prevention and/or treatment of
 diseases such as **diabetes** and impaired glucose
 tolerance)
- IT Lipids, biological studies
 (peroxides, formation inhibitors; preparation of
 benzimidazole- α -substituted carboxylic acid derivs. for
 prevention and/or treatment of diseases such as
diabetes and impaired glucose tolerance)
- IT Calcium channel blockers
 Cataract

Diabetes mellitus

Immunomodulators

Leukotriene antagonists

(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT Carboxylic acids, preparation

(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 1548-13-6, 4-(Trifluoromethyl)phenyl isocyanate

(N-carbamoylation; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 299176-22-0

(S-acetylation; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 440355-17-9

(S-methylation; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 306-23-0, 3-(4-Hydroxyphenyl)lactic acid

(acetonation of hydroxyphenyllactic acid; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 299176-28-6

(amidation with ammonia; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 179087-93-5

(amidation with aniline derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 314271-24-4

(amidation with phenoxyacetic acid derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 459-46-1, 4-Fluorobenzyl bromide

(benzylation of phenyllactic acid derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 299176-17-3

(etherification with adamantylphenol; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 3096-70-6, 4-Amino-3,5-dimethylphenol

(etherification with chloronitrobenzene derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

- IT 157439-51-5
(etherification with methoxymethyl chloride; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 5437-45-6, Benzyl bromoacetate
(etherification with phenol derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 51095-47-7
(etherification with tert-Bu bromoacetate or acetonation with acetone; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 7355-18-2
(glycosidation with hydroxybenzimidazole derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 50-99-7, D-Glucose, biological studies
(impaired glucose tolerance; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 9028-31-3, Aldose reductase 80619-02-9, 5-Lipoxygenase
(inhibitors; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 299175-55-6P 299175-56-7P 299175-57-8P 299175-68-1P
299175-74-9P 299175-75-0P 299175-77-2P 299175-78-3P
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440355-06-6P 440355-10-2P 440355-12-4P
(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 299175-39-6P 299175-40-9P 299175-41-0P 299175-44-3P
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(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 5292-43-3, tert-Butyl bromoacetate 29799-07-3,
4-(1-Adamantyl)phenol
(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)
- IT 196810-09-0P 197299-03-9P 223133-10-6P 223133-16-2P
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440355-16-8P

(preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 299176-23-1P

(rat's metabolite; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 3580-38-9, 2-Benzoylcyclohexanone

(reductive amination and aromatization; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 3417-91-2, L-Tyrosine methyl ester hydrochloride

(reductive amination of benzoylcyclohexanone; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 9004-10-8, Insulin, biological studies

(resistance improver; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 299176-11-7

(ring-cleavage and esterification with ethanol; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 150556-70-0

(ring-opening hydrolysis; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

IT 950-59-4

(thioetherification with chloronitroaniline derivative; preparation of benzimidazole- α -substituted carboxylic acid derivs. for prevention and/or treatment of diseases such as **diabetes** and impaired glucose tolerance)

L32 ANSWER 18 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:484863 HCAPLUS

DOCUMENT NUMBER: 137:47448

TITLE: Preparation of substituted phenylalaninol derivatives as protein tyrosine phosphatase inhibitors

INVENTOR(S): Larsen, Scott D.; May, Paul D.; Bleasdale, John E.; Liljebris, Charlotta; Schostarez, Heinrich Josef; Barf, Tjeerd; Nilsson, Marianne

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 144 pp., Cont.-in-part of U.S. Ser. No. 138,642.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6410585	B1	20020625	US 1999-265410	1999 0310
US 6353023	B1	20020305	US 1998-138642	1998 0824
CA 2366308	AA	20000914	CA 2000-2366308	2000 0309
WO 2000053583	A1	20000914	WO 2000-US6022	2000 0309

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN,
 CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM,
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
 TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
 MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
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 TD, TG

EP 1161421	A1	20011212	EP 2000-917793	2000 0309
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 MC, PT, IE, SI, LT, LV, FI, RO

JP 2002539115	T2	20021119	JP 2000-604023	2000 0309
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AU 769511	B2	20040129	AU 2000-38711	2000 0309
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PRIORITY APPLN. INFO.:

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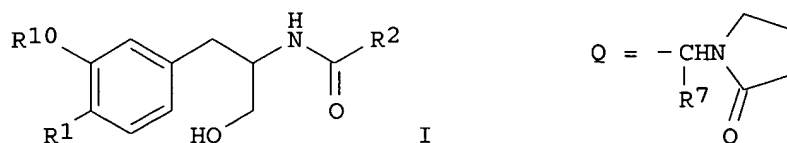
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US 1999-265410	A	1999 0310
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WO 2000-US6022	W
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2000
0309

OTHER SOURCE(S) : MARPAT 137:47448
GI



AB The invention comprises phenylalaninol derivs., e.g., I [R1 = OSO₃H, OCH(CO₂R₅)₂, OCH₂CO₂R₅, OCH(CO₂R₅)CH₂CO₂R₅, OC(CO₂R₅):CHCO₂R₅, CH₂CH(CO₂R₅)₂, CH:C(CO₂R₅)₂, OCH₂CONHOH, N(CH₂CO₂R₅)₂, OCHFCO₂R₅ (R₅ = H, alkyl, alkylphenyl); R₂ = CHR₇NHXR₆, group Q (R₆ = alkyl, alkyl-CONH₂, alkyl-NHCO₂R₅, etc.; R₇ = H, any group given for R₆); R₁₀ = H, CO₂R₅, CONHOH, 5-tetrazolyl, F, OCH₂CO₂R₅], or their pharmaceutically acceptable salts, as small mol. weight, non-peptidic inhibitors of protein tyrosine phosphatase 1 (PTP1) which are useful for the treatment and/or prevention of non-insulin dependent **diabetes mellitus**. Thus, 5-[(2S)-2-[[[(2S)-2-[(tert-butoxycarbonyl)amino]-3-phenylpropanoyl]amino]-3-hydroxypropyl]-2-(carboxymethoxy)benzoic acid (claimed compound) was prepared and showed 80% inhibition of protein tyrosine phosphatase 1B at a concentration of 10 μM.

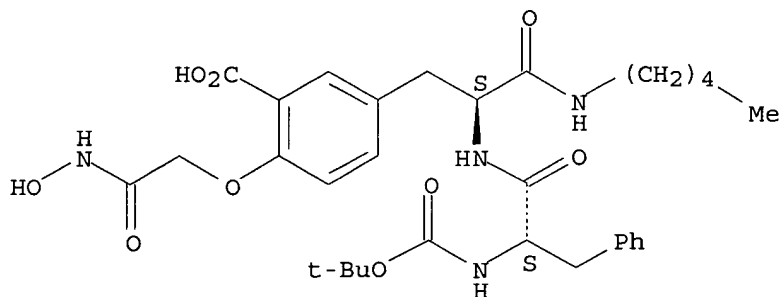
IT 221076-84-2P

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(preparation of substituted phenylalanine derivs. as protein  
tyrosine phosphatase inhibitors)
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RN 221076-84-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-3-carboxy-O-[2-(hydroxyamino)-2-oxoethyl]-N-pentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



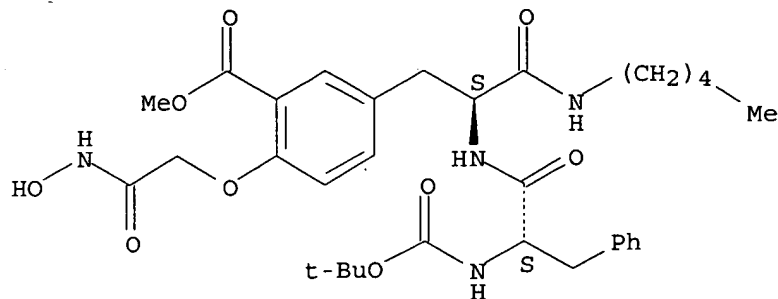
IT 221077-60-7P

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(preparation of substituted phenylalanine derivs. as protein  
tyrosine phosphatase inhibitors)
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RN 221077-60-7 HCAPLUS

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(CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C235-00
ICS C07C237-22; A61K031-165
INCL 514424000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
ST phenylalaninol deriv prepn protein tyrosine phosphatase inhibitor;
noninsulin dependent **diabetes** mellitus treatment
phenylalaninol deriv prepn
IT **Diabetes** mellitus
(non-insulin-dependent; preparation of substituted phenylalanine
derivs. as protein tyrosine phosphatase inhibitors)
IT 221075-08-7P 221075-11-2P 221075-12-3P 221075-13-4P
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(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

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438588-24-0P

(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 19 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:368462 HCAPLUS
DOCUMENT NUMBER: 136:386118
TITLE: Preparation of (phenylalkyl)-1H-
[1,2,4]triazolones as PPAR α agonists for
treatment of cardiovascular disease associated

INVENTOR(S): with Syndrome X and related conditions
 Mantlo, Nathan Bryan; Collado Cano, Ivan;
 Dominianni, Samuel James; Etgen, Garret Jay,
 Jr.; Garcia-Paredes, Cristina; Johnston,
 Richard Duane; Letourneau, Michael Edward;
 Martinelli, Michael John; Mayhugh, Daniel Ray;
 Saeed, Ashraf; Thompson, Richard Craig; Wang,
 Xiadong; Coffey, David Scott; Schmid,
 Christopher Randall; Vicenzi, Jeffrey Thomas;
 Xu, Yanping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 388 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038553	A2	20020516	WO 2001-US42928	2001 1109
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WO 2002038553	A3	20030501		
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PRIORITY APPLN. INFO.:

US 2000-247317P

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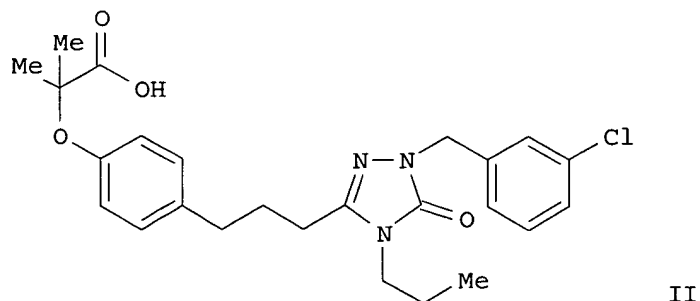
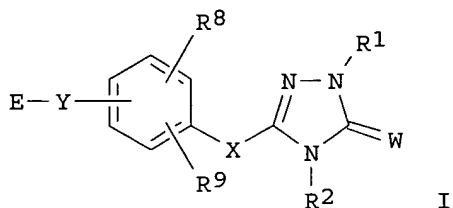
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1109OTHER SOURCE(S):
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MARPAT 136:386118



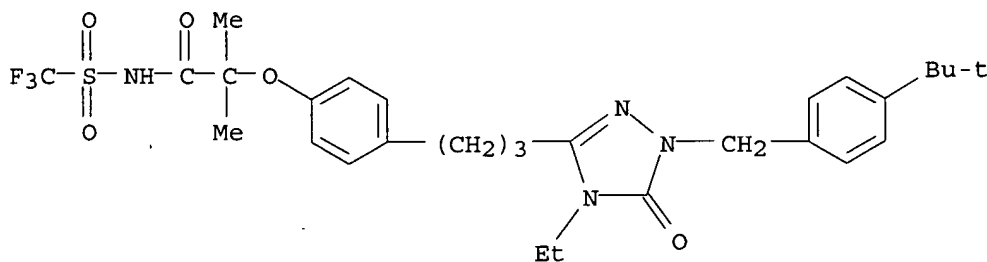
AB Title compds. I [wherein R1 = H or (un)substituted alkyl, (hetero)arylalkyl, cycloalkylarylalkyl, CH₂COR17R18; R17 = O or NH; R18 = (un)substituted benzyl; W = O or S; R2 = H or (un)substituted (cyclo)alkyl, allyl, (hetero)arylalkyl, sulfonamido, amido, or OR10; R10 = H or alkyl; X = (un)substituted alkylene linker wherein 1 C may be replaced with O, NH, or S; Y = C, O, S, NH, or a single bond; E = H, CR₃R₄A; A, (un)substituted (CH₂)_nCO₂C19, (aryl)alkyl, allyl, thioalkyl, thioaryl, alkoxyaryl, alkoxyalkyl, aminoaryl, or aminoalkyl; n = 0-3; A = carboxy, alkyl nitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R3 = H, alkyl, or alkoxy; R4 = H, halo, or (un)substituted (cyclo)alkyl, alkoxy, arylalkyl, or Ph; or CR₃R₄ = cycloalkyl; R19 = H or (un)substituted arylmethyl or alkyl; R8 = independently H, alkyl, alkenyl, or halo; R9 = independently H, alkenyl, halo, allyl, OR10, or (un)substituted alkyl or (hetero)aryl; R10 = independently H or alkyl] were prepared as peroxisome proliferator activated receptor alpha (PPAR α) agonists. For example, condensation of 3-chlorobenzaldehyde with 4-(4-hydroxyphenyl)butyrylhydrazide (p-TsOH, i-PrOH), followed by reduction (NaBH₃CN, THF, AcOH, i-PrOH), treatment with n-PrNCO (THF), and cyclization (KOH, MeOH), afforded 2-(3-chlorobenzyl)-5-[3-(4-hydroxyphenyl)propyl]-4-propyl-3H-triazolin-3-one. Addition of tert-Bu 2-bromoisobutyrate (K₂CO₃, DMF) and deesterification (TFA, CH₂Cl₂) gave II. I bound to PPAR α receptors with IC₅₀ values of \leq 100 nM and demonstrated PPAR α cotransfection efficacy in CV-1 cells of \geq 50%. Significant reduction in RQ in female Ay mice [0.864 \pm 0.013 (control) vs. 0.803 \pm 0.007 (treated); p < 0.001] was observed at doses of 50 mg/kg of I. Addnl., treated animals displayed significantly higher rates of energy expenditure than control animals (17.40 \pm 0.49 vs. 13.62 \pm 0.26 kcal/kg/h, resp.). Thus, I are useful for the prevention and/or treatment of cardiovascular disease associated with Syndrome X, hyperinsulemia, hypertension, elevated body weight, elevate triglycerides, and elevated LDL.

IT 425671-55-2P 425671-56-3P 425671-57-4P
425671-58-5P 425671-59-6P 425671-60-9P
425671-61-0P 425671-62-1P 425671-63-2P
425671-77-8P 425671-78-9P 425671-79-0P

(cardiovascular agent; preparation of (phenylalkyl)triazolones as PPAR α agonists for treatment of cardiovascular disease associated with Syndrome X and related conditions)

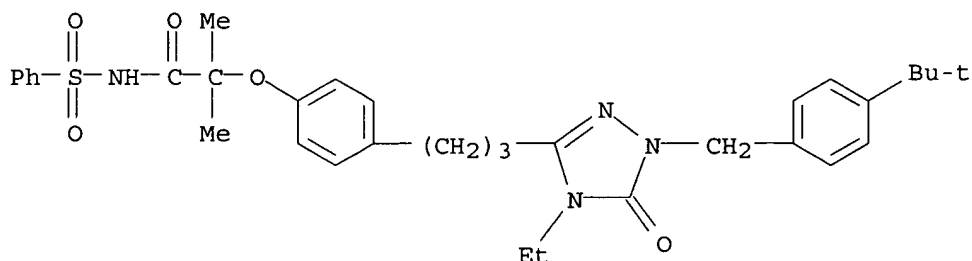
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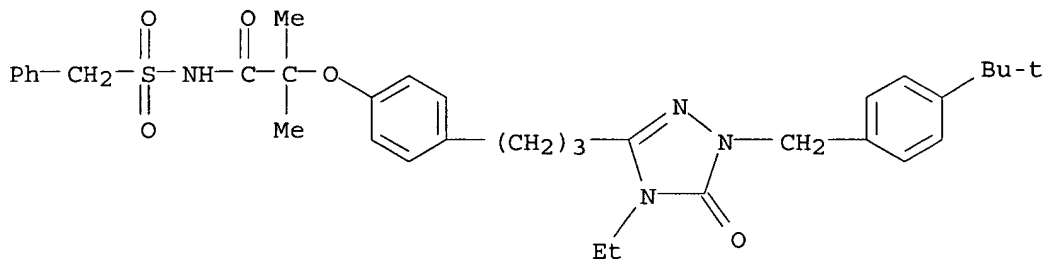
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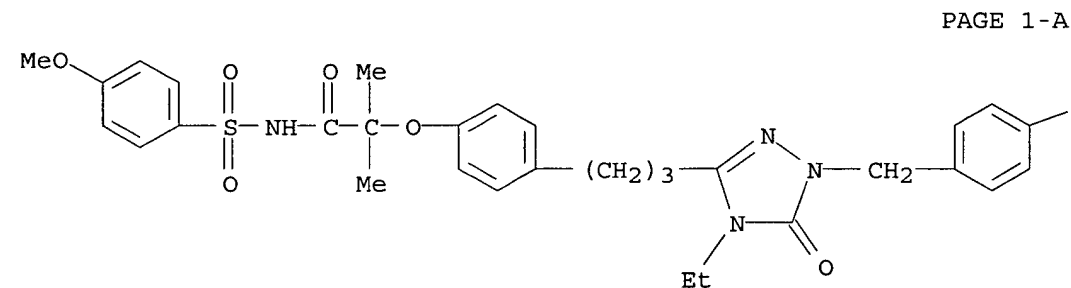
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RN 425671-58-5 HCAPLUS

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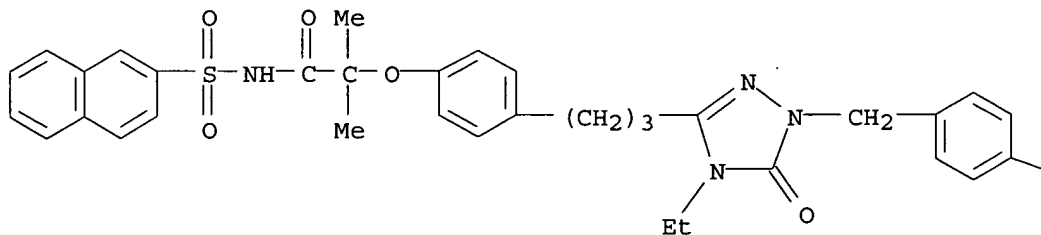
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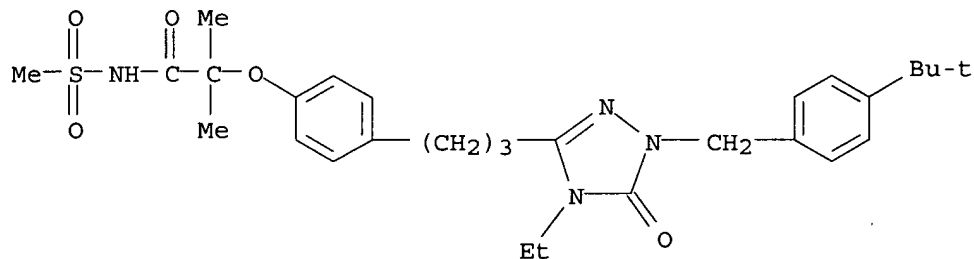
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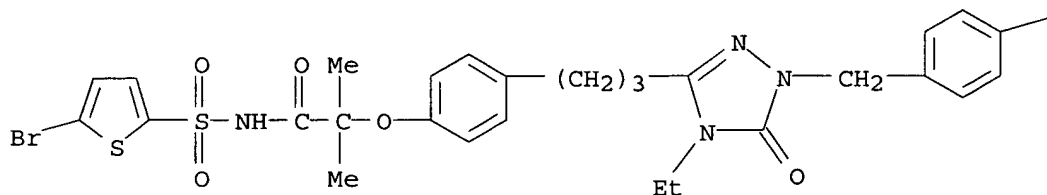
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RN 425671-61-0 HCAPLUS
 CN Propanamide, N-[(5-bromo-2-thienyl)sulfonyl]-2-[4-[3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-4-ethyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl]propyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

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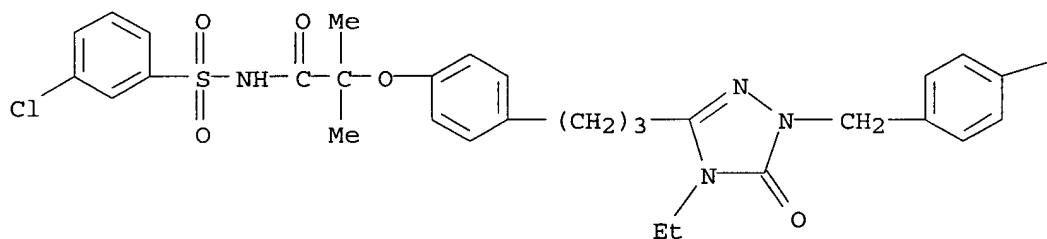
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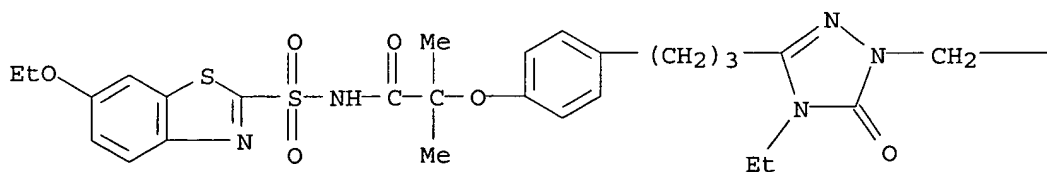
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— Bu-t

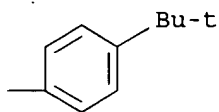
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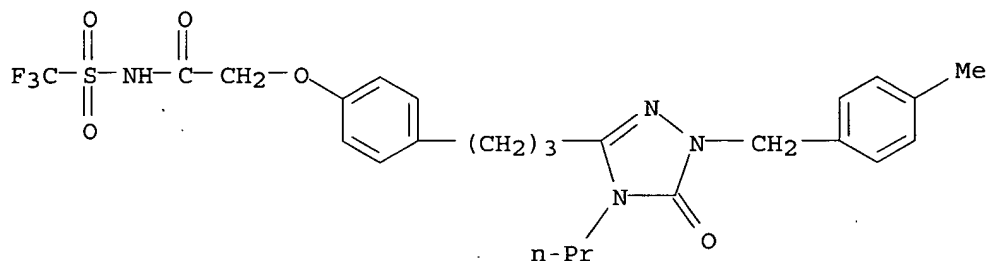


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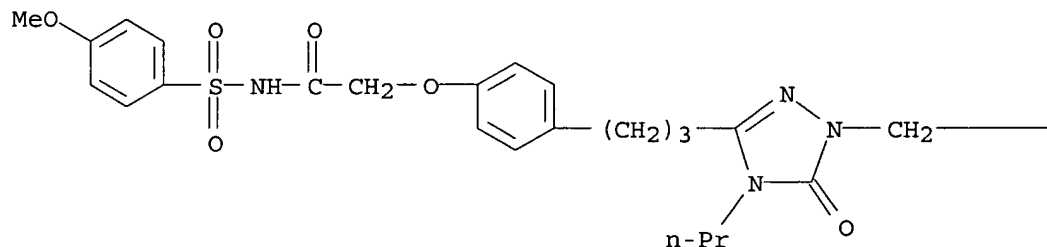
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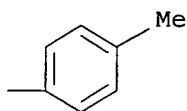
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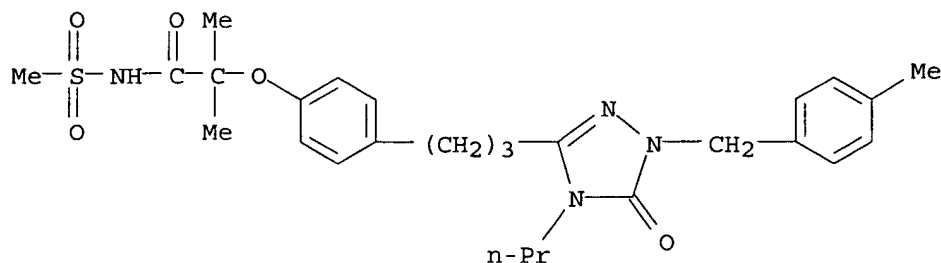
PAGE 1-A

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RN 425671-79-0 HCAPLUS

CN Propanamide, 2-[4-[3-[4,5-dihydro-1-[(4-methylphenyl)methyl]-5-oxo-4-propyl-1H-1,2,4-triazol-3-yl]propyl]phenoxy]-2-methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



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CC	28-10	(Heterocyclic Compounds (More Than One Hetero Atom))		
		Section cross-reference(s): 1		
ST	phenylalkyl triazolone prepn	peroxisome proliferator		
		activated receptor alpha agonist; triazolone phenylalkyl prepn		
		Syndrome X treatment; triazolylalkylphenoxy propionate prepn		
		cardiovascular agents		
IT	Peroxisome proliferator-activated receptors			
		(α; preparation of (phenylalkyl)triazolones as PPARα		
		agonists for treatment of cardiovascular disease associated with		
		Syndrome X and related conditions)		
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 425672-04-4P 425672-05-5P 425672-06-6P 425672-07-7P, 2-Methyl-2-[4-[2-[5-oxo-4-propyl-1-(4-trifluoromethylphenyl)-4,5-dihydro-1H-1,2,4-triazol-3-yl]ethyl]phenoxy]propionic acid
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(cardiovascular agent; preparation of (phenylalkyl)triazolones as PPAR α agonists for treatment of cardiovascular disease associated with Syndrome X and related conditions)

L32 ANSWER 20 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

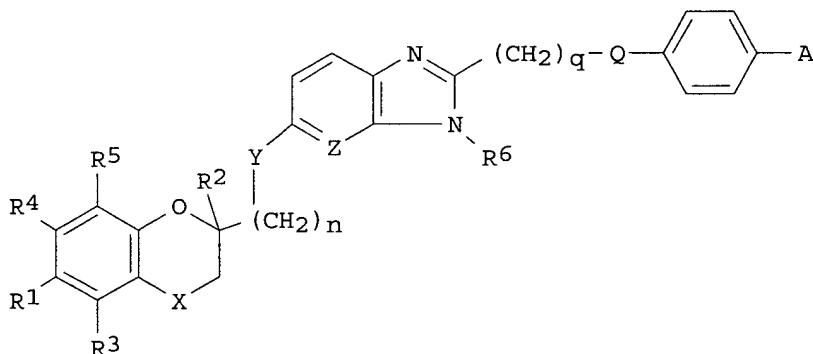
ACCESSION NUMBER: 2002:286703 HCAPLUS

DOCUMENT NUMBER: 136:309930

USHA SHRESTHA EIC 1600 REM 1A64

TITLE: Preparation of benzimidazole derivatives for treatment and prevention of **diabetes**
 INVENTOR(S): Fujita, Takashi; Wada, Kunio; Koguchi, Minoru; Honma, Eiji; Fujiwara, Toshihiko
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 135 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114781	A2	20020416	JP 2000-307157	2000 1006
			<--	
PRIORITY APPLN. INFO.:			JP 2000-307157	2000 1006
			<--	
OTHER SOURCE(S):		MARPAT 136:309930		
GI				



AB The title compds. I [R1 - R6 = H, alkyl, etc.; n, q = 1 - 5; Q, Y = O, S; X = CH2, etc.; Z = CH, N; A = (CH2)mCH(CO2H)BR7, etc.; B = O, etc.; R7 = H, alkyl, etc.; m = 0 - 8] are prepared. Compds. of this invention at 0.01% in feed (given for 3 days) gave 34.9% to 66.7% decrease of blood sugar in **diabetic** KK mice.

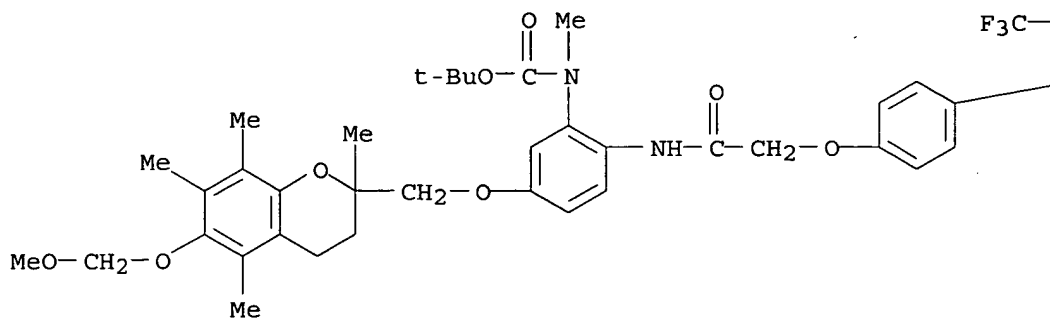
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 (preparation of benzimidazole derivs. for treatment and prevention of **diabetes**)

RN 300666-05-1 HCAPLUS

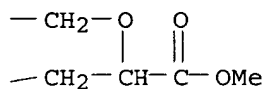
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NAME)

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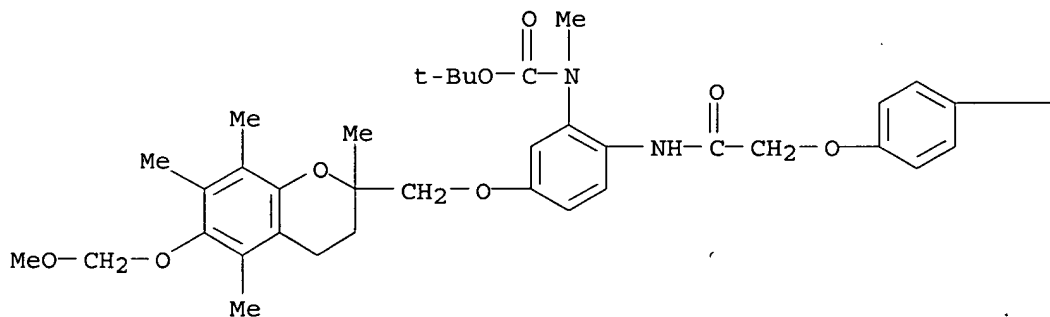
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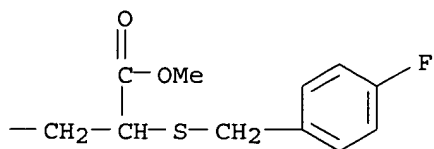
RN 300666-10-8 HCAPLUS

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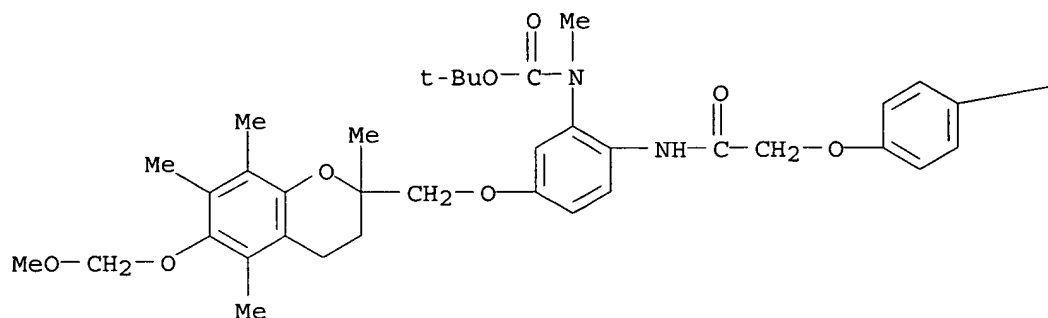
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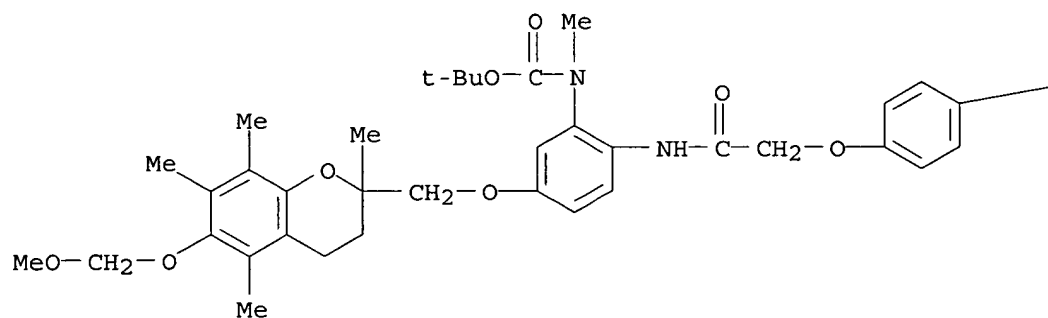
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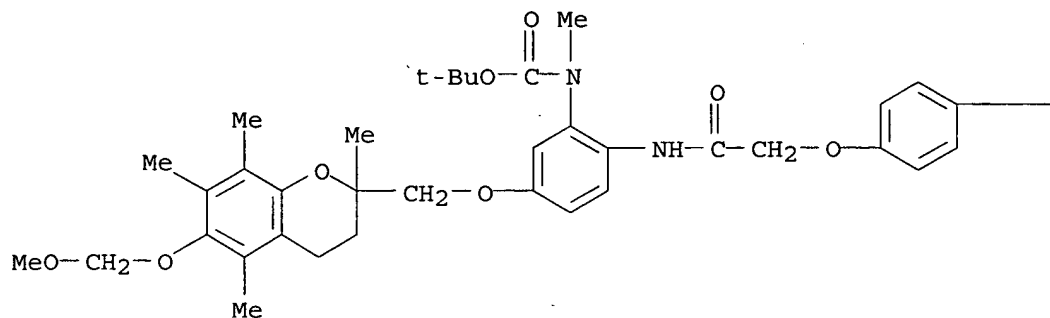

$$\text{—CH}_2\text{—}\overset{\text{OH}}{\underset{|}{\text{CH}}}\text{—}\overset{\text{O}}{\underset{||}{\text{C}}}\text{—OEt}$$

PAGE 1-A

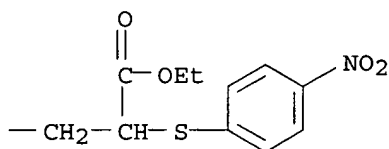

$$\text{—CH}_2\text{—}\overset{\text{PhS}}{\underset{|}{\text{CH}}}\text{—}\overset{\text{O}}{\underset{||}{\text{C}}}\text{—OEt}$$

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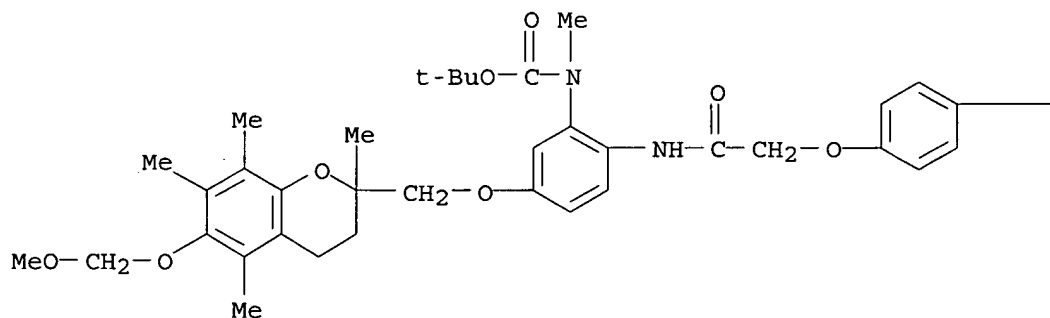


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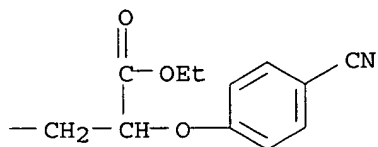


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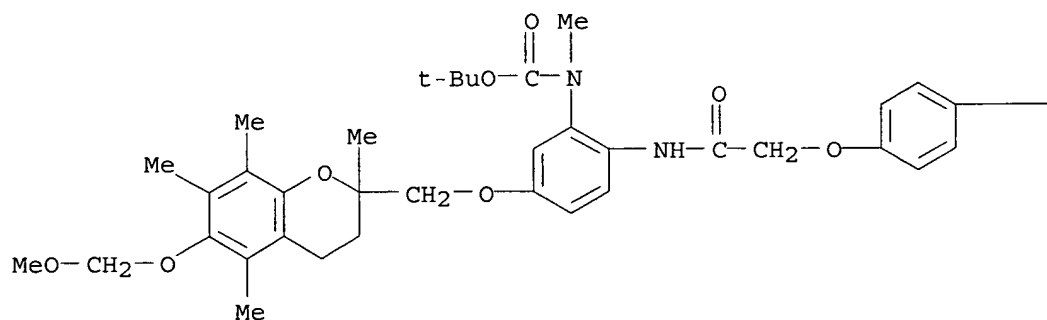
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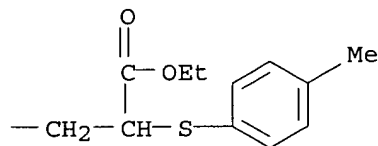
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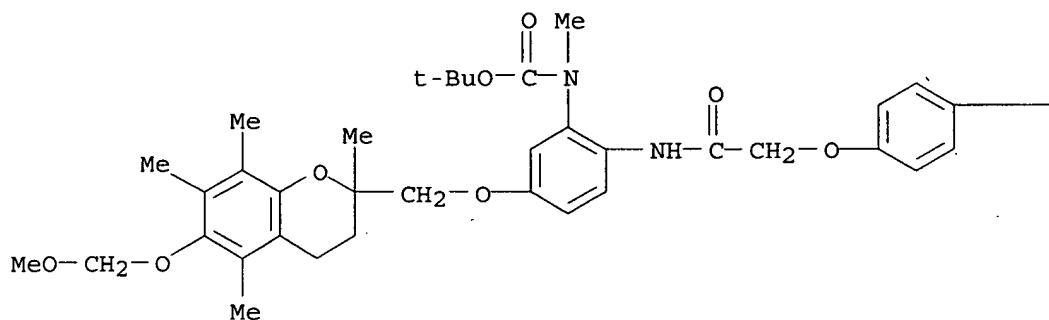
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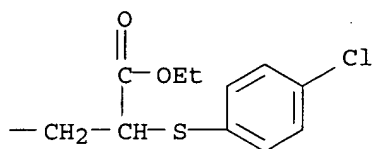
RN 300666-18-6 HCAPLUS

CN Benzenepropanoic acid, α -(4-chlorophenyl)thio]-4-[2-[4-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

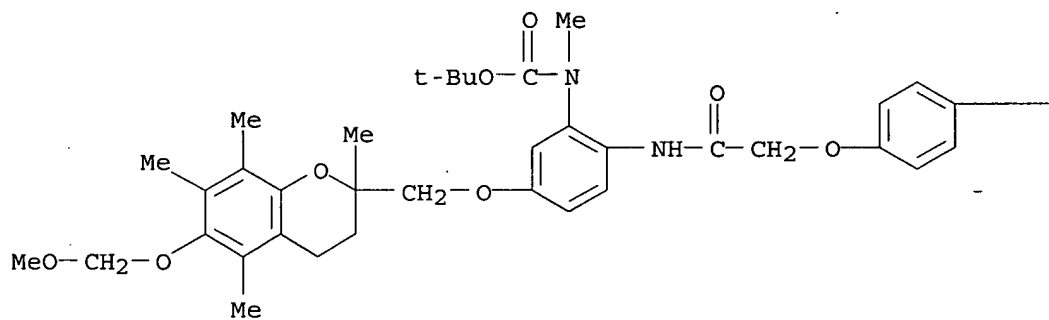


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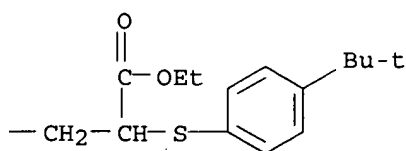


RN 300666-19-7 HCAPLUS
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 (CA INDEX NAME)

PAGE 1-A

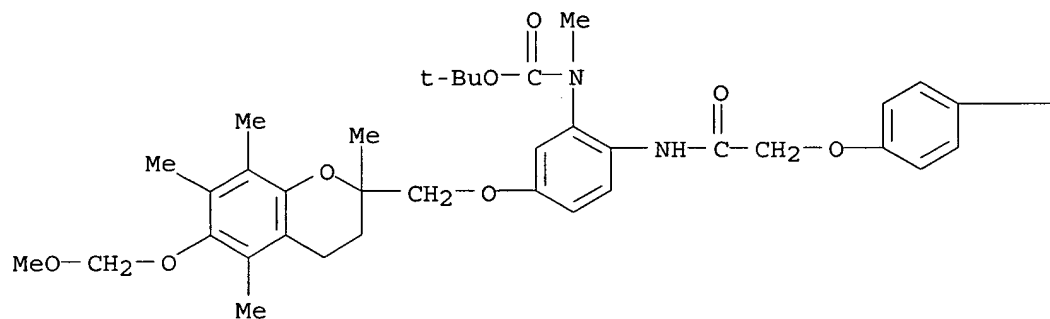


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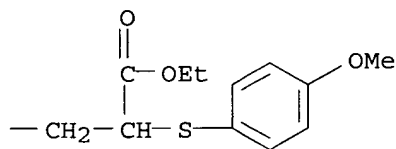


RN 300666-20-0 HCAPLUS
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PAGE 1-A

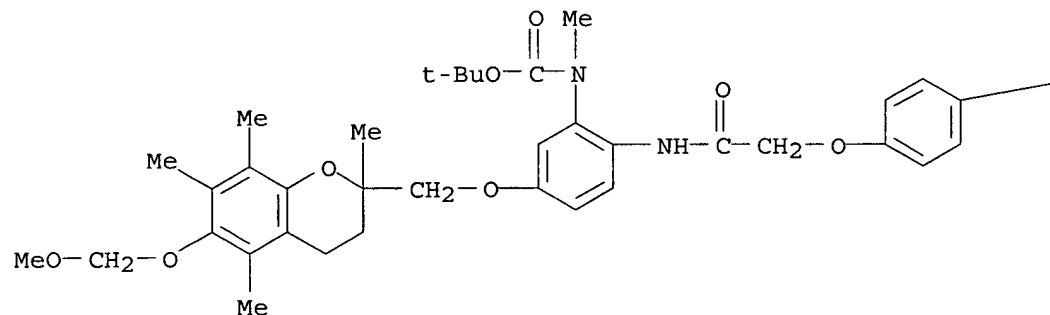


PAGE 1-B



RN 300666-21-1 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]- α -ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

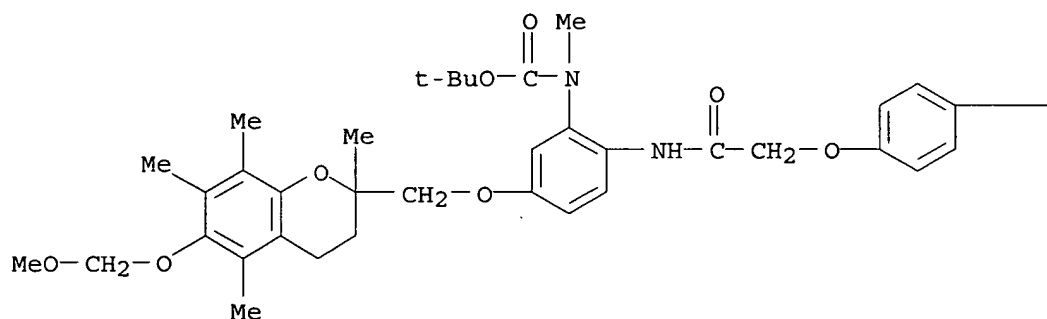
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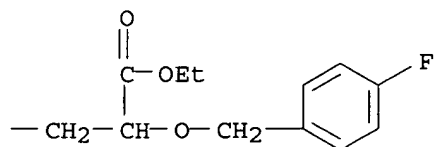
$$\begin{array}{c} \text{EtO} \quad \text{O} \\ | \quad || \\ -\text{CH}_2-\text{CH}-\text{C}-\text{OEt} \end{array}$$

RN	300666-22-2	HCAPLUS
CN	Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]- α -[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)	

PAGE 1-A

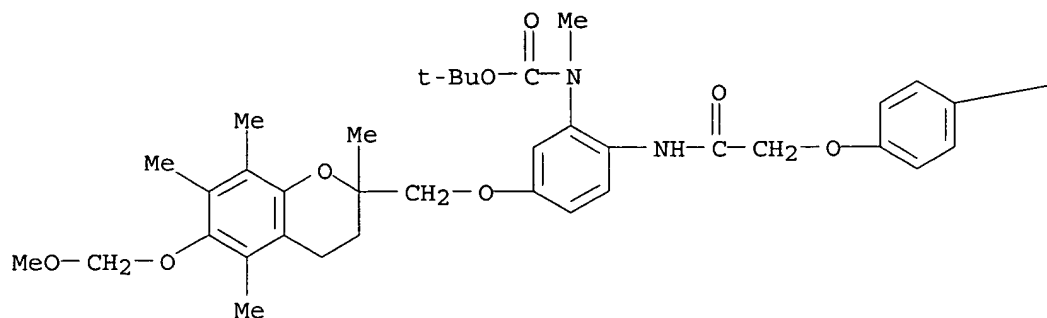


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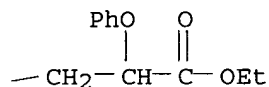


RN	300666-27-7	HCAPLUS
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PAGE 1-A



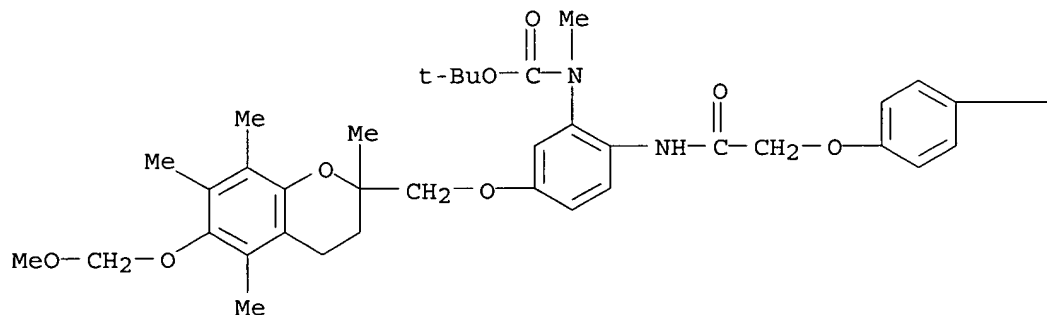
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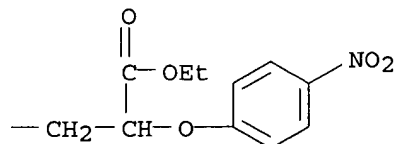
RN 300666-28-8 HCAPLUS

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PAGE 1-A



PAGE 1-B

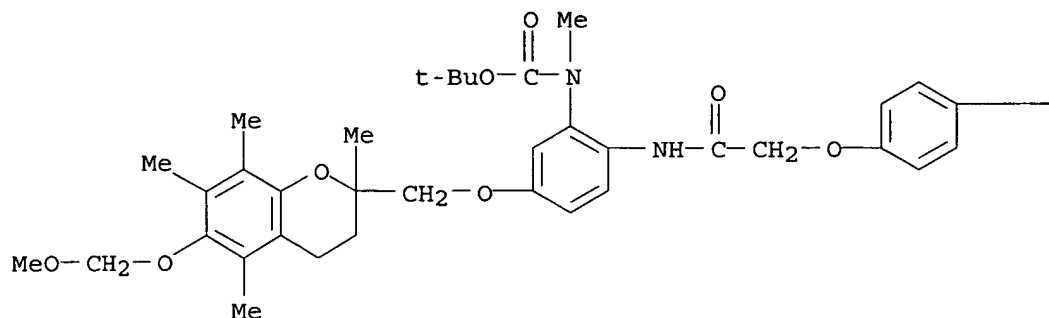


RN 300666-31-3 HCAPLUS

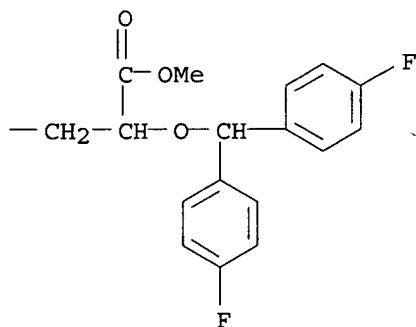
CN Benzenepropanoic acid, α -[bis(4-fluorophenyl)methoxy]-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-

benzopyran-2-yl]methoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



- IC ICM C07D405-12
 ICS A61K031-4184; A61K031-427; A61K031-437; A61P003-06;
 A61P003-10; A61P003-14; A61P019-10; A61P035-00; A61P043-00;
 C07D417-14; C07D471-04
 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 ST benzimidazole deriv prepn **diabetes** treatment
 IT Allergy inhibitors
 Anti-inflammatory agents
 Antiasthmatics
Antidiabetic agents
 Antiobesity agents
 Antitumor agents
 Calcium channel blockers
 Immunomodulators
 Leukotriene antagonists
 (benzimidazole derivs.)
 IT **Diabetes** mellitus
 (pregnancy; preparation and effect of benzimidazole derivs.)
 IT **Peroxisome** proliferator-activated receptors
 (preparation and effect of benzimidazole derivs.)

IT 300665-58-1P 300665-60-5P 300665-62-7P 300665-64-9P
 300665-72-9P 300665-74-1P 300665-76-3P 300665-78-5P
 300665-80-9P 300665-82-1P 300665-84-3P 300665-86-5P
 410082-23-4P 410082-26-7P

(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

IT 300665-57-0P 300665-59-2P 300665-61-6P 300665-63-8P
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 410082-35-8P

(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

IT 75-03-6, Ethyl iodide 93-03-8 100-02-7, 4-Nitrophenol, reactions 106-45-6 106-54-7, Benzenethiol, 4-chloro-108-95-2, Phenol, reactions 108-98-5, Thiophenol, reactions 306-23-0 459-46-1, 4-Fluorobenzyl bromide 593-56-6, O-Methylhydroxylamine hydrochloride 598-31-2, Bromoacetone 696-63-9, Benzenethiol, 4-methoxy- 767-00-0, 4-Cyanophenol 1849-36-1, 4-Nitrothiophenol 2396-68-1 2687-43-6, O-Benzylhydroxylamine hydrochloride 5292-43-3, tert-Butyl bromoacetate 5470-11-1, Hydroxylamine hydrochloride 27064-94-4, 4,4'-Difluorobenzhydryl chloride 66901-79-9 107188-55-6 107255-73-2 150556-71-1 156335-18-1 179087-93-5 299175-81-8 299176-17-3

(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

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 300666-38-0P 300666-39-1P

(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

L32 ANSWER 21 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:237769 HCAPLUS

DOCUMENT NUMBER: 137:2321

TITLE: Investigation of Potential Bioisosteric Replacements for the Carboxyl Groups of Peptidomimetic Inhibitors of Protein Tyrosine Phosphatase 1B: Identification of a Tetrazole-Containing Inhibitor with Cellular Activity

AUTHOR(S): Liljebris, Charlotta; Larsen, Scott D.; Ogg, Derek; Palazuk, Barbara J.; Bleasdale, John E.

CORPORATE SOURCE: Departments of Medicinal Chemistry and

Structural Chemistry, Biovitrum AB, Uppsala,
SE-751 82, Swed.
SOURCE: Journal of Medicinal Chemistry (2002
) , 45(9) , 1785-1798
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:2321

AB Protein tyrosine phosphatases (PTPs) constitute a diverse family of enzymes that, together with protein tyrosine kinases, control the level of intracellular tyrosine phosphorylation, thus regulating many cellular functions. PTP1B neg. regulates insulin signaling, in part, by dephosphorylating key tyrosine residues within the regulatory domain of the β -subunit of the insulin receptor, thereby attenuating receptor kinase activity. Inhibitors of PTP1B would therefore have the potential of prolonging the phosphorylated (activated) state of the insulin receptor and are anticipated to be a novel treatment of the insulin resistance characteristic of type 2 diabetes. We previously reported a series of small mol. weight peptidomimetics as competitive inhibitors of PTP1B, with the most active analogs having K_i values in the low nanomolar range. Furthermore, we confirmed that the O-carboxymethyl salicylic acid moiety is a remarkably effective novel phosphotyrosine mimetic. Because of the low cell permeability of this compound class, it was important to investigate the possibility of replacing one or both of the remaining carboxyl groups while maintaining PTP1B inhibitory activity. The analogs described herein further support the importance of an acidic functionality at both positions of the tyrosine head moiety. An important discovery was the ortho tetrazole analog 29 ($K_i = 2.0 \mu\text{M}$), which was equipotent to the dicarboxylic acid analog 2 ($K_i = 2.0 \mu\text{M}$). Solution of the x-ray cocrystal structure of the ortho tetrazole analog 29 bound to PTP1B revealed that the tetrazole moiety is well-accommodated in the active site and binds in a fashion similar to the ortho carboxylate analog 2 reported previously. This novel monocarboxylic acid analog revealed significantly higher Caco-2 cell permeability as compared to all previous compds. Furthermore, compound 29 exhibited modest enhancement of insulin-stimulated 2-deoxyglucose uptake by L6 myocytes.

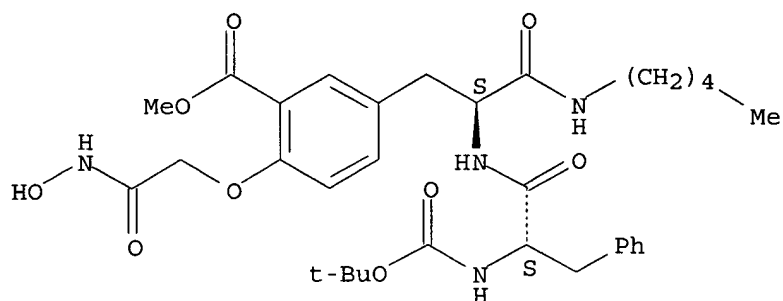
IT 221077-60-7P

(ortho tetrazole moiety replacements for carboxyl groups of peptidomimetic inhibitors of protein tyrosine phosphatase 1B can inhibit cellular activity)

RN 221077-60-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-O-[2-(hydroxyamino)-2-oxoethyl]-3-(methoxycarbonyl)-N-pentyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



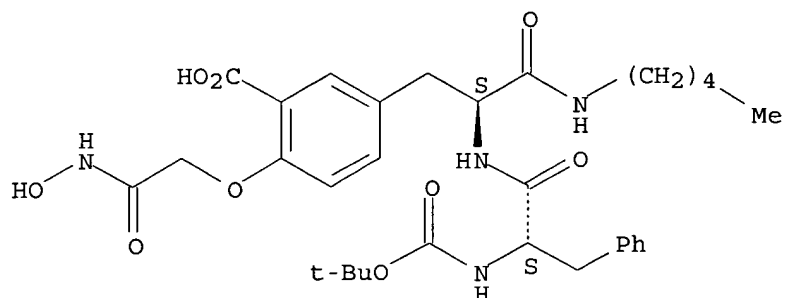
IT 221076-84-2P

(ortho tetrazole moiety replacements for carboxyl groups of peptidomimetic inhibitors of protein tyrosine phosphatase 1B can inhibit cellular activity)

RN 221076-84-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-3-carboxy-O-[2-(hydroxyamino)-2-oxoethyl]-N-pentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 7-3 (Enzymes)

Section cross-reference(s): 1, 2, 75

IT **Diabetes** mellitus

(non-insulin-dependent; ortho tetrazole moiety replacements for carboxyl groups of peptidomimetic inhibitors of protein tyrosine phosphatase 1B can inhibit cellular activity)

IT **Antidiabetic** agents

Enzyme functional sites

Structure-activity relationship

(ortho tetrazole moiety replacements for carboxyl groups of peptidomimetic inhibitors of protein tyrosine phosphatase 1B can inhibit cellular activity)

IT 221077-52-7P 221077-59-4P **221077-60-7P** 432551-05-8P

(ortho tetrazole moiety replacements for carboxyl groups of peptidomimetic inhibitors of protein tyrosine phosphatase 1B can inhibit cellular activity)

IT	221076-84-2P	221077-43-6P	221077-49-2P	221077-50-5P
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432551-15-0P

(ortho tetrazole moiety replacements for carboxyl groups of
peptidomimetic inhibitors of protein tyrosine phosphatase 1B
can inhibit cellular activity)

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 22 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:185126 HCAPLUS

DOCUMENT NUMBER: 136:247485

TITLE: Preparation of bicyclic pyrrolyl amides as
glycogen phosphorylase inhibitorsINVENTOR(S): Bartlett, Julie B.; Freeman, Sue; Kenny,
Peter; Morley, Andrew; Whittamore, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020530	A1	20020314	WO 2001-SE1880	2001 0831

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GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG,
SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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CA 2417594	AA	20020314	CA 2001-2417594	2001 0831
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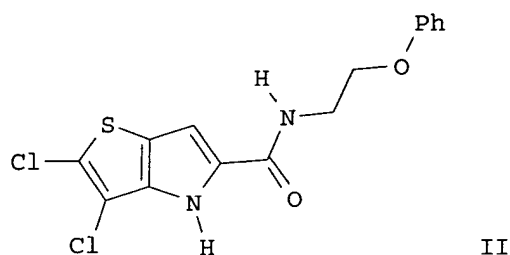
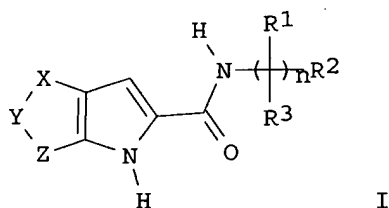
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OTHER SOURCE(S):	MARPAT 136:247485			
GI				



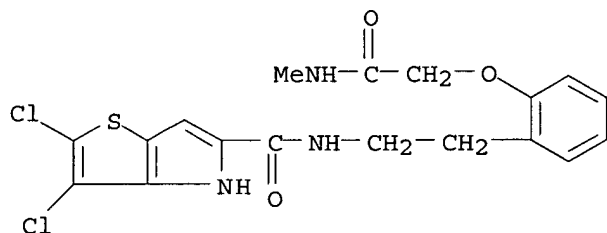
AB Title compds. I [R1 = H, halo, NO2, CN, OH, (un)substituted alkyl, alkenyl, etc.; R2 = H, halo, NO2, CH2F, CHF2, CF3, amino, alkyl, alkenyl, alkoxy, etc.; R3 = H, alkyl; -X-Y-Z- is selected from -S-CR4=CR5-, -CR4=CR5-S-, -O-CR4=CR5-, -CR4=CR5-O-, -N=CR4-S-, -S-CR4=N-, -NR3-CR4=CR5- and -CR4=CR5-NR3- wherein R4 and R5 = independently H, halo, CN, alkyl, ureido, NO2, etc.; n = 0-4] or a pharmaceutically acceptable salt or an in vivo hydrolyzable ester thereof were prepared possessing glycogen phosphorylase inhibitory activity (no data). Thus, II was prepared by amidation of 5-carboxy-2,3-dichloro-4H-thieno[3,2-b]pyrrole with 2-phenoxyethylamine. As glycogen phosphorylase inhibitors, I have value in the treatment of disease states associated with increased glycogen phosphorylase activity, e.g., type 2 **diabetes**. Pharmaceutical compns. containing I are described.

IT 403859-73-4P 403859-74-5P 403859-76-7P

(target compound; preparation of thienopyrrolyl amides as glycogen phosphorylase inhibitors)

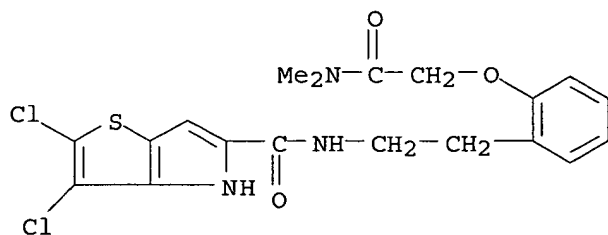
RN 403859-73-4 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[2-[2-(2-(methylamino)-2-oxoethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)



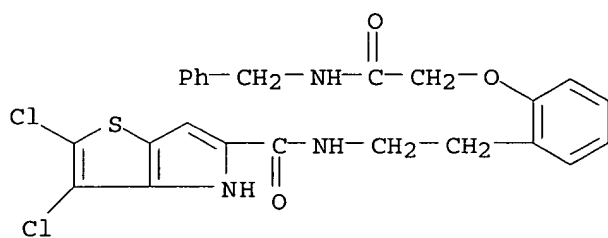
RN 403859-74-5 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[2-[2-(2-(dimethylamino)-2-oxoethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 403859-76-7 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[2-[2-[2-oxo-
2-[(phenylmethyl)amino]ethoxy]phenyl]ethyl]- (9CI) (CA INDEX
NAME)



IC ICM C07D495-04

ICS C07D491-04; C07D513-04; C07D487-04; A61K031-407; A61P003-10;
A61P009-10

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 34, 63

IT	403858-51-5P	403858-52-6P	403858-53-7P	403858-54-8P
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	403859-55-2P	403859-56-3P	403859-57-4P	403859-58-5P
	403859-59-6P	403859-60-9P	403859-61-0P	403859-62-1P

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 403860-78-6P 403860-79-7P 403860-80-0P

(target compound; preparation of thienopyrrolyl amides as glycogen phosphorylase inhibitors)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 23 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:185062 HCAPLUS

DOCUMENT NUMBER: 136:232548

TITLE: Preparation of γ -keto acid dipeptides as
 inhibitors of caspase-3

INVENTOR(S): Han, Yongxin; Giroux, Andre; Grimm, Erich L.;
 Aspiotis, Renee; Black, Cameron

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020465	A2	20020314	WO 2001-CA1272	2001 0906

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 GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
 KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
 MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI,
 SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
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 PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

CA 2421172	AA	20020314	CA 2001-2421172	2001 0906
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AU 2001093533	A5	20020322	AU 2001-93533	2001 0906
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EP 1317414 A2 20030611 EP 2001-973867
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MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004521080 T2 20040715 JP 2002-525088
2001
0906

US 2002165230 A1 20021107 US 2001-948244
2001
0907

US 6525025 B2 20030225
PRIORITY APPLN. INFO.: US 2000-231019P P
2000
0908

WO 2001-CA1272 W
2001
0906

OTHER SOURCE(S): MARPAT 136:232548

AB γ -Keto acid dipeptides RCR12CONHCR2R3CONHCH(CH₂CO₂H)COCH₂-O-W-Z [W = a bond, CH₂, CO or COCH₂; Z = H, (un)substituted alkyl, cycloalkyl or a benzofused analog, Ph, naphthyl or a 5- to 10-membered mono- or bicyclic, aromatic or non-aromatic ring, or a benzofused analog, containing 1-3 heteroatoms selected from O, S and N; R = (un)substituted alkoxyphenyl; R₁ = H, halo, OH, alkyl or alkoxy optionally substituted by oxo or 1-3 halo groups; R₂ = H, Ph, naphthyl, (un)substituted (cyclo)alkyl; R₃ = H or R₂R₃ represent a 4-7 membered ring optionally containing one heteroatom selected from O, S and N] were prepared as inhibitors of caspase-3. Thus, (3S)-5-[(2-chloro-6-fluorobenzyl)oxy]-3-[[[(2S)-2-[[2-(2,5-dimethoxyphenyl)acetyl]amino]-3-methylbutanoyl]amino]-4-oxopentanoic acid was prepared by the solid phase method by loading (S)-FmocNHCH(CH₂CO₂Bu-t)COCH₂Br (Fmoc = fluorenylmethoxycarbonyl) (preparation described) onto a solid support using the technol. described by Webb et al. (1992).

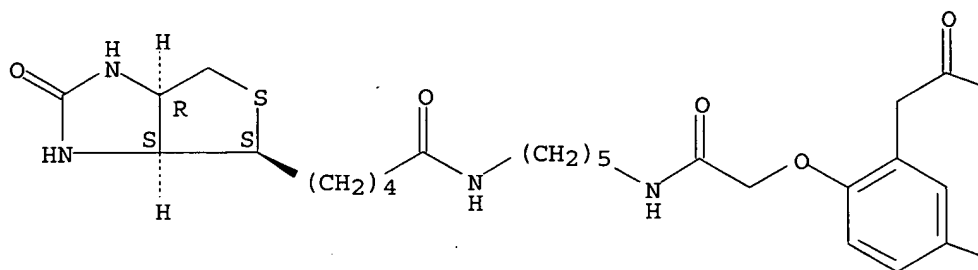
IT 403499-31-0P
(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

RN 403499-31-0 HCAPLUS

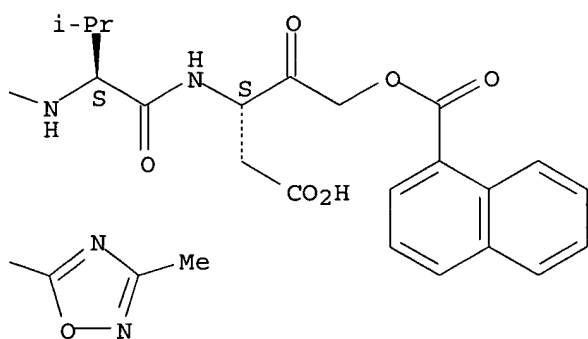
CN 1-Naphthalenecarboxylic acid, (3S)-4-carboxy-3-[[[(2S)-2-[[[2-[2-[[5-[5-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-2-oxoethoxy]-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-2-oxobutyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 403499-96-7P 403499-97-8P

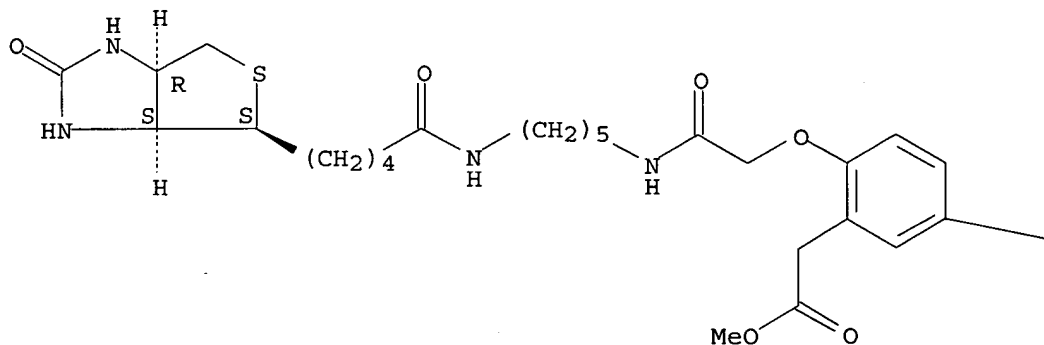
(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

RN 403499-96-7 HCAPLUS

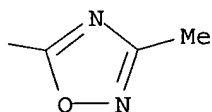
CN Benzeneacetic acid, 2-[2-[[5-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-2-oxoethoxy]-5-(3-methyl-1,2,4-oxadiazol-5-yl)-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

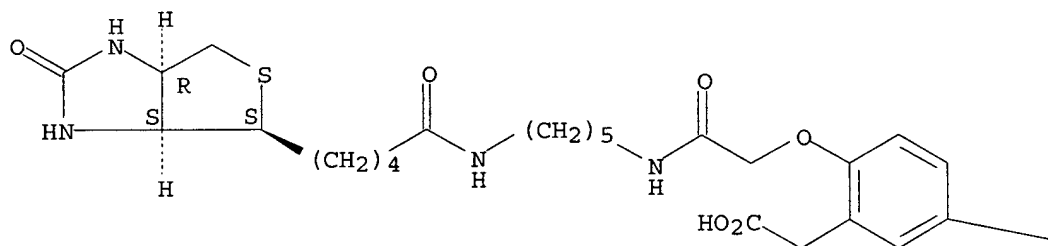


RN 403499-97-8 HCAPLUS

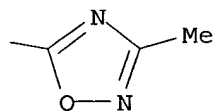
CN Benzeneacetic acid, 2-[2-[[5-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-2-oxoethoxy]-5-(3-methyl-1,2,4-oxadiazol-5-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IC ICM C07C237-22

ICS A61K031-16; A61P031-18; C07D413-12; C07D241-44; C07D239-34;
C07D307-86

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

IT Autoimmune disease

(insulin-dependent **diabetes** mellitus; preparation of
γ-keto acid dipeptides as inhibitors of caspase-3)

IT **Diabetes** mellitus

(insulin-dependent; preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

IT	403499-16-1P	403499-17-2P	403499-18-3P	403499-19-4P
	403499-20-7P	403499-21-8P	403499-22-9P	403499-23-0P
	403499-24-1P	403499-25-2P	403499-26-3P	403499-27-4P
	403499-28-5P	403499-29-6P	403499-30-9P	403499-31-0P
	403499-32-1P	403499-33-2P	403499-34-3P	403499-35-4P
	403499-36-5P	403499-37-6P	403499-38-7P	403499-39-8P
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	403499-44-5P	403499-45-6P	403499-46-7P	403499-47-8P
	403499-48-9P	403499-49-0P	403499-50-3P	403499-51-4P
	403499-52-5P	403499-53-6P	403499-54-7P	403499-55-8P
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	403499-60-5P	403499-61-6P	403499-62-7P	403499-63-8P
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	403499-76-3P	403499-77-4P	403499-78-5P	403499-79-6P
	403499-80-9P	403499-81-0P	403499-82-1P	403499-83-2P

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

IT	116296-30-1P	294860-44-9P	294860-95-0P	294860-96-1P
	403499-86-5P	403499-87-6P	403499-88-7P	403499-89-8P
	403499-90-1P	403499-91-2P	403499-94-5P	403499-95-6P
	403499-96-7P	403499-97-8P		

(preparation of γ -keto acid dipeptides as inhibitors of caspase-3)

L32 ANSWER 24 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:704703 HCAPLUS

DOCUMENT NUMBER: 135:257231

TITLE: Preparation of catechol propionic acid derivatives as **peroxisome** proliferator-activated receptor (PPAR) α and γ agonists

INVENTOR(S): Kadota, Hidetoshi; Fukazawa, Nobuyuki; Maruyama, Kyoko; Nakao, Toshifumi; Asada, Noriaki; Takebayashi, Nozomi; Kibayashi, Kenji; Uda, Hideyuki; Morikawa, Maki

PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

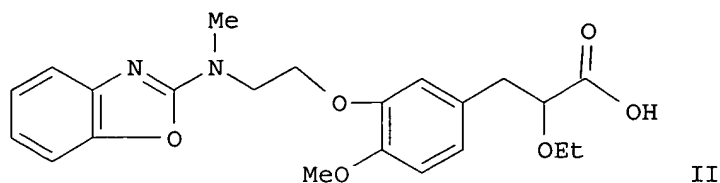
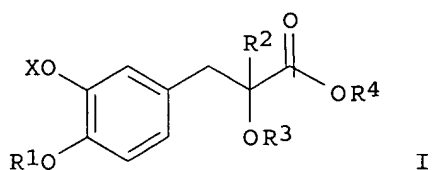
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2001261612	A2	20010926	JP 2000-79220	2000 0322

PRIORITY APPLN. INFO.:	<--	
	JP 2000-79220	2000 0322

OTHER SOURCE(S): MARPAT 135:257231

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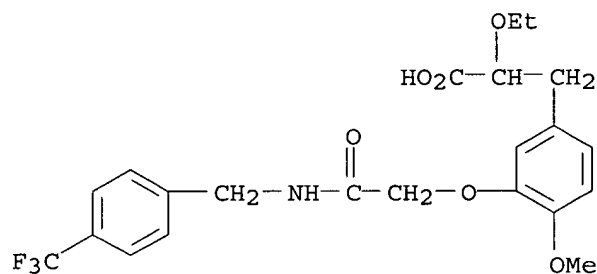


AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkoxy, etc.; R3 = H, alkyl, etc.; R4 = H, alkyl, etc.; X = (un)substituted Ph, etc.] are prepared The PPAR α and γ agonist activities of the title compound II were demonstrated; II at 100 mg/kg gave 16% blood sugar decrease in STZ mice.

IT **362012-80-4P**
(preparation of catechol propionic acid derivs. as
peroxisome proliferator-activated receptor α and
 γ agonists)

RN 362012-80-4 HCAPLUS

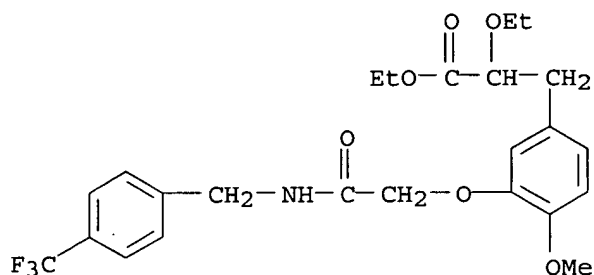
CN Benzenepropanoic acid, α -ethoxy-4-methoxy-3-[2-oxo-2-[[[4-(trifluoromethyl)phenyl]methyl]amino]ethoxy]- (9CI) (CA INDEX NAME)



IT **362012-94-0P**
(preparation of catechol propionic acid derivs. as
peroxisome proliferator-activated receptor α and
 γ agonists)

RN 362012-94-0 HCAPLUS

CN Benzenepropanoic acid, α -ethoxy-4-methoxy-3-[2-oxo-2-[[[4-(trifluoromethyl)phenyl]methyl]amino]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



- IC ICM C07C059-13
 ICS A61K031-192; A61K031-195; A61K031-216; A61K031-275;
 A61K031-381; A61K031-423; A61P001-00; A61P001-04; A61P001-16;
 A61P003-06; A61P003-10; A61P007-00; A61P009-10; A61P011-00;
 A61P011-06; A61P029-00; A61P031-06; A61P031-18; A61P035-00
- CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 25
- ST PPAR agonist **antidiabetic** catechol propionate prepn;
 benzoxazolylaminoethoxyphenylpropanoic acid prepn PPAR agonist
antidiabetic
- IT Intestine, disease
 (Crohn's; preparation and effect of catechol propionic acid derivs.
 with **peroxisome** proliferator-activated receptor
 agonist activity)
- IT Bronchi
 (bronchitis; preparation and effect of catechol propionic acid
 derivs. with **peroxisome** proliferator-activated
 receptor agonist activity)
- IT Allergy inhibitors
 Antiasthmatics
Antidiabetic agents
 Hypolipemic agents
 (catechol propionic acid derivs. with **peroxisome**
 proliferator-activated receptor agonist activity)
- IT Malaria
 (cerebral; preparation and effect of catechol propionic acid derivs.
 with **peroxisome** proliferator-activated receptor
 agonist activity)
- IT Brain, disease
 (malaria; preparation and effect of catechol propionic acid derivs.
 with **peroxisome** proliferator-activated receptor
 agonist activity)
- IT Arteriosclerosis
 Arthritis
 Autoimmune disease
 Hepatitis
 Multiple sclerosis
 Osteoarthritis
 (preparation and effect of catechol propionic acid derivs. with
peroxisome proliferator-activated receptor agonist
 activity)
- IT **Peroxisome** proliferator-activated receptors
 (preparation of catechol propionic acid derivs. as
peroxisome proliferator-activated receptor α and
 γ agonists)
- IT Shock (circulatory collapse)
 (septic; preparation and effect of catechol propionic acid derivs.)

- with **peroxisome** proliferator-activated receptor agonist activity)
- IT Intestine, disease
(ulcerative colitis; preparation and effect of catechol propionic acid derivs. with **peroxisome** proliferator-activated receptor agonist activity)
- IT Infection
(viral; preparation and effect of catechol propionic acid derivs. with **peroxisome** proliferator-activated receptor agonist activity)
- IT 362012-74-6P 362012-75-7P 362012-76-8P 362012-77-9P
362012-78-0P 362012-79-1P **362012-80-4P** 362012-81-5P
362012-82-6P 362012-83-7P
(preparation of catechol propionic acid derivs. as **peroxisome** proliferator-activated receptor α and γ agonists)
- IT 402-49-3, 4-Trifluoromethylbenzyl bromide 455-24-3,
4-Trifluoromethylbenzoic acid 817-95-8, Ethyl ethoxyacetate
3300-51-4, 4-Trifluoromethylbenzylamine 5292-43-3, tert-Butyl
bromoacetate 6346-05-0, 3-Benzyloxy-4-methoxybenzaldehyde
23046-03-9 26690-80-2, N-tert-Butoxycarbonyl-2-aminoethanol
40786-20-7 67387-76-2, 3-Cyclopentyloxy-4-methoxybenzaldehyde
122320-77-8 184879-05-8 227029-27-8 343870-73-5
362013-01-2 362013-02-3
(preparation of catechol propionic acid derivs. as **peroxisome** proliferator-activated receptor α and γ agonists)
- IT 362012-84-8P 362012-85-9P 362012-86-0P 362012-87-1P
362012-88-2P 362012-89-3P 362012-90-6P 362012-91-7P
362012-92-8P 362012-93-9P **362012-94-0P** 362012-95-1P
362012-96-2P 362012-97-3P 362012-98-4P 362012-99-5P
362013-00-1P
(preparation of catechol propionic acid derivs. as **peroxisome** proliferator-activated receptor α and γ agonists)

L32 ANSWER 25 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:152692 HCAPLUS

DOCUMENT NUMBER: 134:193424

TITLE: Preparation of oxazoloisindole derivatives and analogs as remedies for **diabetes** (or complications thereof) and obesity

INVENTOR(S): Nagase, Toshio; Iino, Tomoharu; Sato, Yoshiyuki; Nishimura, Teruyuki; Eiki, Jun-ichi

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 322 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001014386	A1	20010301	WO 2000-JP5723	2000 0825

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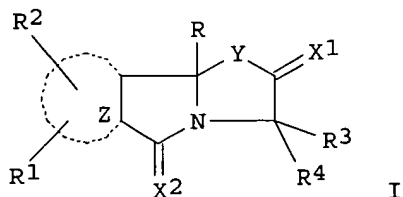
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 MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA,
 US, UZ, VN, YU, ZA
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
 CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE,
 SN, TD, TG

CA 2382528	AA	20010301	CA 2000-2382528	2000 0825
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JP 2001131175	A2	20010515	JP 2000-254967	2000 0825
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EP 1207161	A1	20020522	EP 2000-955004	2000 0825
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AT 316089	E	20060215	AT 2000-955004	2000 0825
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US 6608098	B1	20030819	US 2002-69376	2002 0225
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US 6777410	B2	20040817		
PRIORITY APPLN. INFO.:			JP 1999-239004	A 1999 0825
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			WO 2000-JP5723	W 2000 0825
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OTHER SOURCE(S):
 GI

MARPAT 134:193424



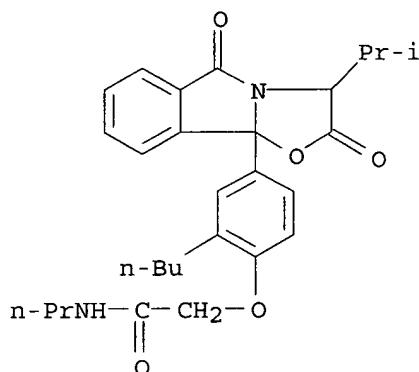
AB The title compds. I [R represents azido, etc.; R1 and R2 are the same or different and each represents hydrogen, etc.; R3 and R4 are the same or different and each represents hydrogen, etc.; X1 represents oxygen, etc.; X2 represents oxygen, etc.; Y represents oxygen, etc.; and Z represents fused aryl, etc.] are prepared The title compound I [R1 = R2 = R4 = H; R3 = isopropyl; Z = phenyl; R = phenyl] at 30 mg/kg significantly increased the concentration of GLP-1 in plasma in rats. Formulations are given.

IT 327599-44-0P

(preparation of oxazoloisoindole derivs. and analogs as remedies for **diabetes** (or complications thereof) and obesity)

RN 327599-44-0 HCAPLUS

CN Acetamide, 2-[2-butyl-4-[2,3-dihydro-3-(1-methylethyl)-2,5-dioxooxazolo[2,3-a]isoindol-9b(5H)-yl]phenoxy]-N-propyl- (9CI)
(CA INDEX NAME)



IC ICM C07D491-048

ICS C07D491-147; C07D487-04; C07D471-14; C07D487-14; C07D513-04;
C07D513-14; C07D498-14; C07D498-04; A61K031-424; A61K031-437;
A61K031-4188; A61K031-4985; A61K031-407; A61K031-519;
A61K031-5377; A61K031-4439; A61K031-429; A61K031-5025;
A61P003-10

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 34, 63

ST oxazoloisoindole prepn **diabetes** obesity remedy

IT **Diabetes** mellitus

Obesity

(preparation of oxazoloisoindole derivs. and analogs as remedies for **diabetes** (or complications thereof) and obesity)

IT	327597-08-0P	327597-09-1P	327597-09-1P	327597-10-4P
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(preparation of oxazoloisoindole derivs. and analogs as remedies for
diabetes (or complications thereof) and obesity)

IT

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(preparation of oxazoloisindole derivs. and analogs as remedies for
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(preparation of oxazoloisindole derivs. and analogs as remedies for
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(preparation of oxazoloisindole derivs. and analogs as remedies for
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(preparation of oxazoloisindole derivs. and analogs as remedies for
diabetes (or complications thereof) and obesity)

REFERENCE COUNT:

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THERE ARE 28 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 26 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:742095 HCAPLUS

DOCUMENT NUMBER: 133:296438

TITLE: Preparation of substituted fused imidazole
 derivatives as hypoglycemics

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;
 Honma, Hidehito; Fujiwara, Toshihiko

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000061582	A1	20001019	WO 2000-JP2217	2000 0406

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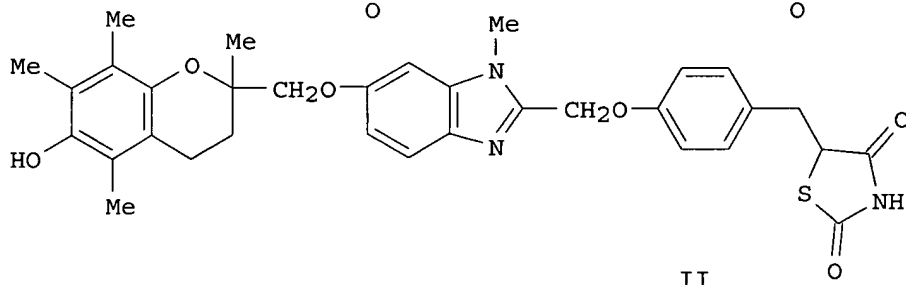
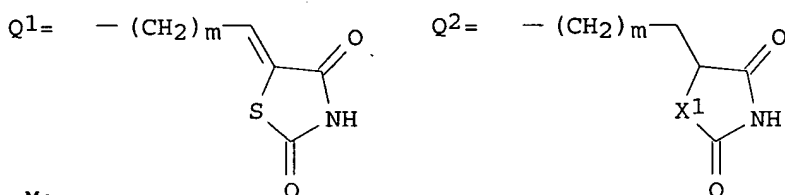
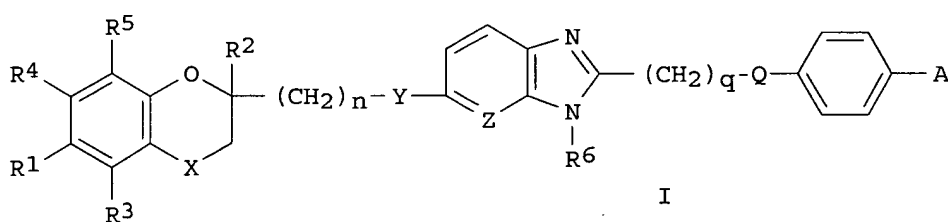
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OTHER SOURCE(S): MARPAT 133:296438
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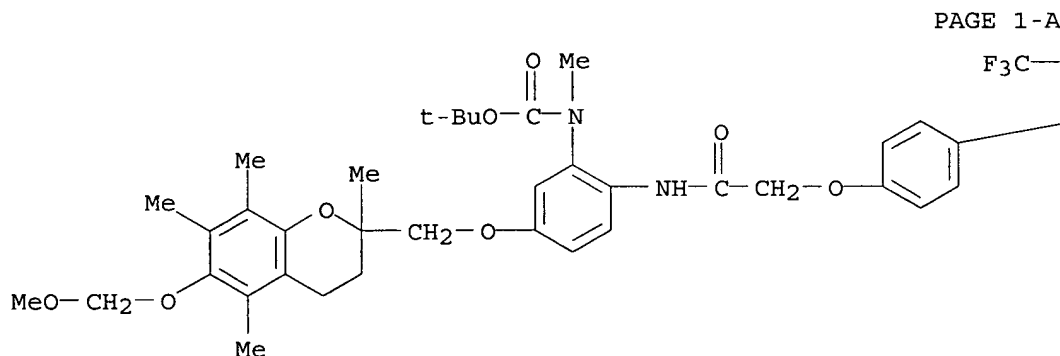


AB Compds. represented by general formula (I) and salts and esters thereof [wherein R1 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl, HO, (un)substituted acyloxy, C1-6 alkoxy, (un)substituted NH2, etc.; R2 is hydrogen, C1-6 alkyl, or (un)substituted C7-16 aralkyl; R4, R4, or R5 is each hydrogen, C1-6 alkyl, or C1-6 alkoxy; R6 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl; Q and Y are each oxygen or sulfur; X is CH2, CO, CH(OR9), or C(:NOR10); wherein R9 or R10 is hydrogen, (un)substituted C1-6 alkyl, C7-16 aralkyl, or acyl; Z is CH or nitrogen; n and q are each 1 to 5; and A is a group represented by general formula Q1, Q2, Q3, or (CH2)m CH(CO2H)-BR7; wherein m is 0 to 8; X1 is oxygen or sulfur; B is oxygen, sulfur, or (un)substituted NH; and R7 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl, or haloalkyl]

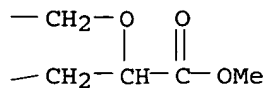
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CN      Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-
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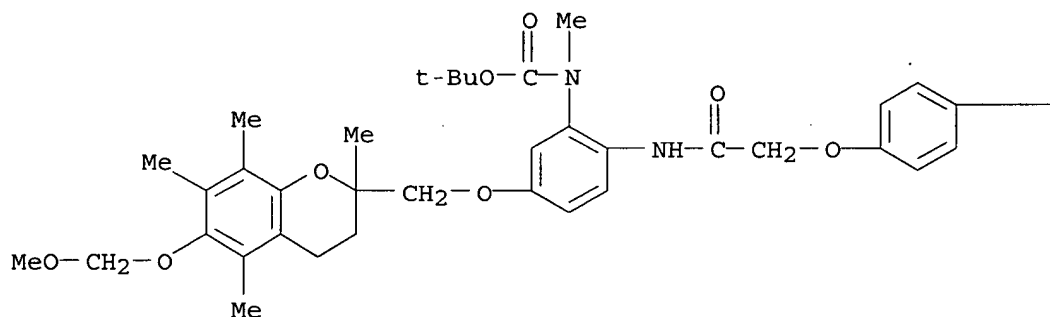
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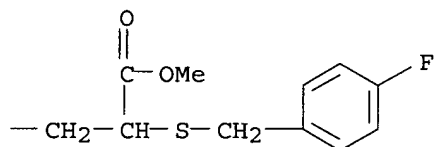
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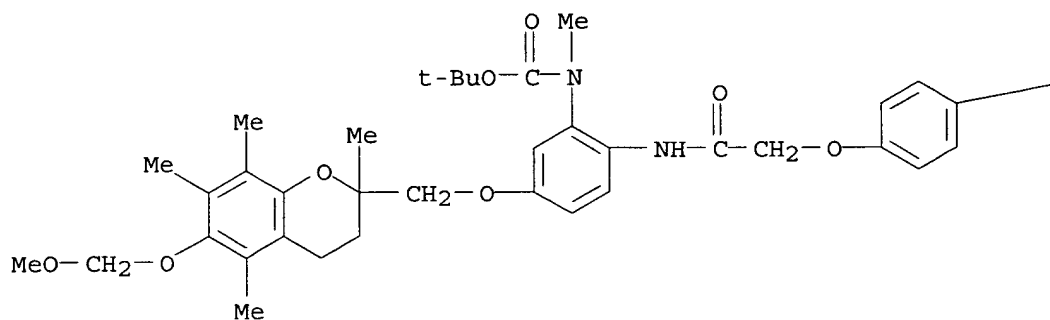
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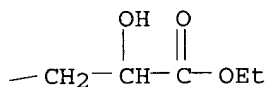
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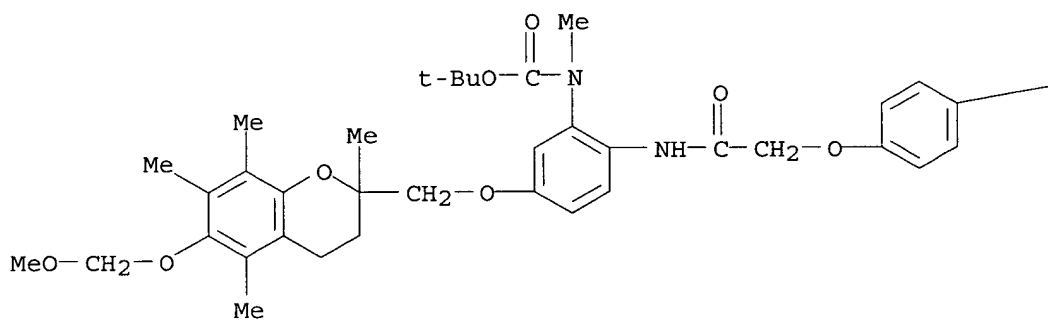
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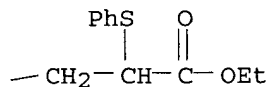
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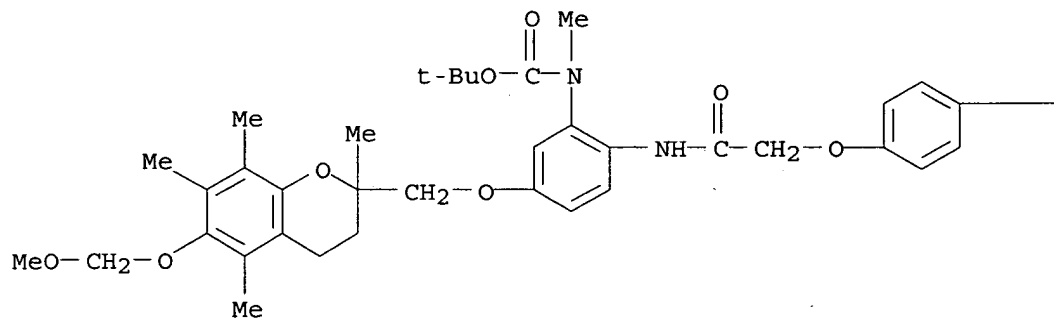
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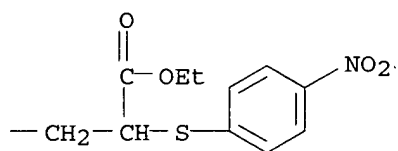
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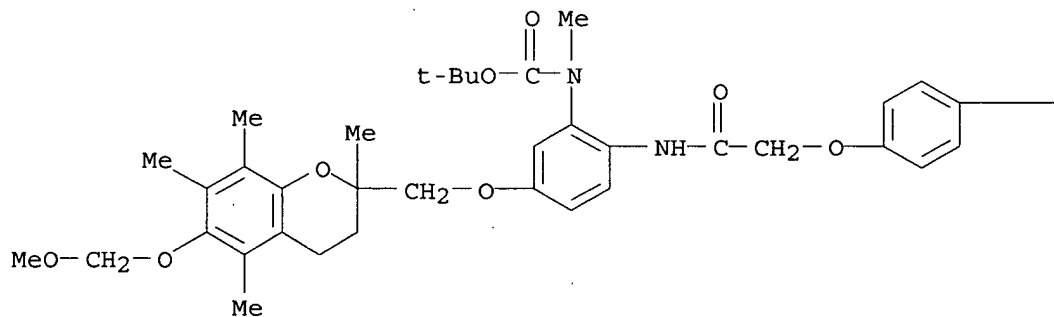
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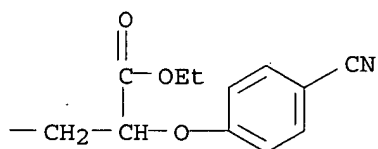
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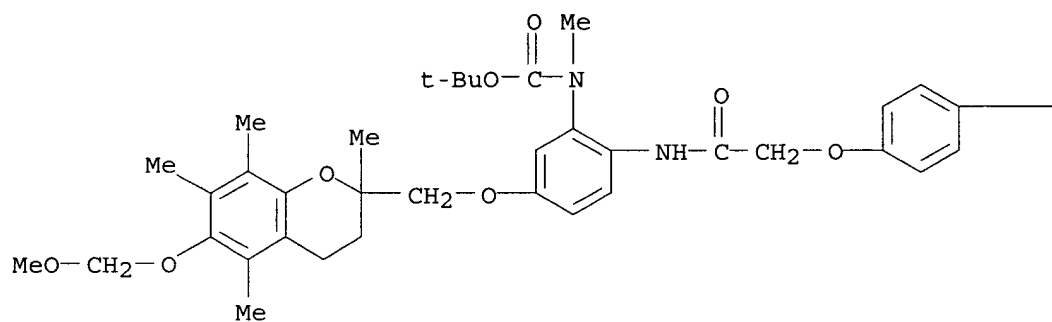


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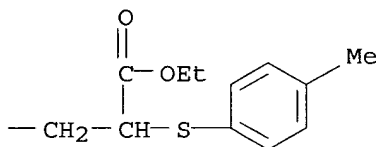


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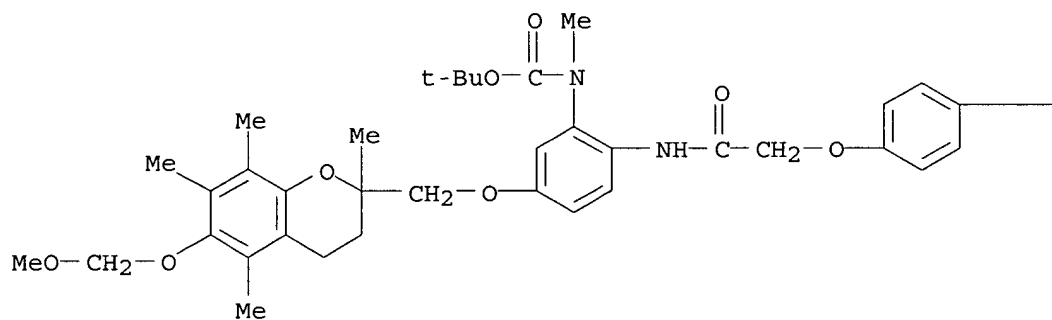


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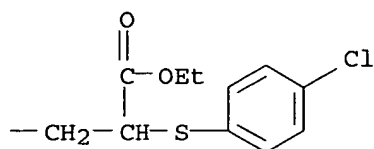


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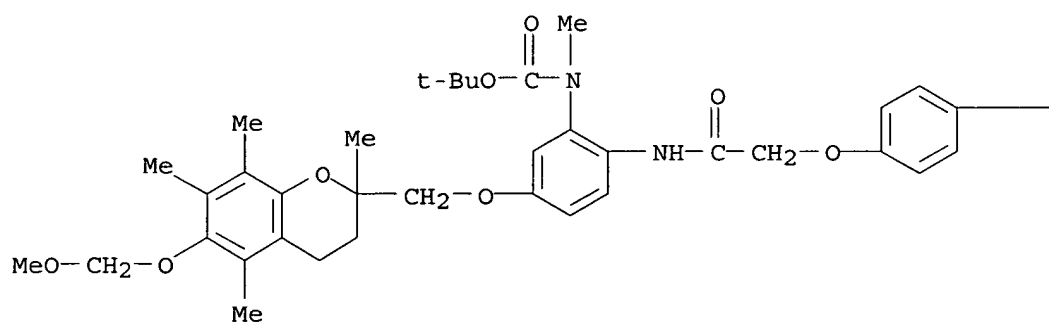
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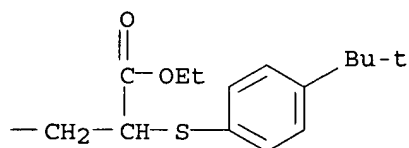
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(CA INDEX NAME)

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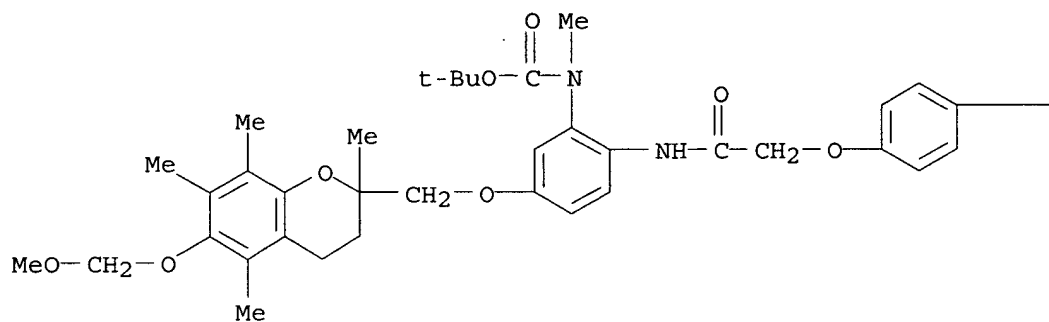
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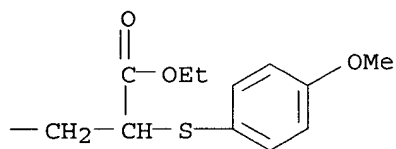
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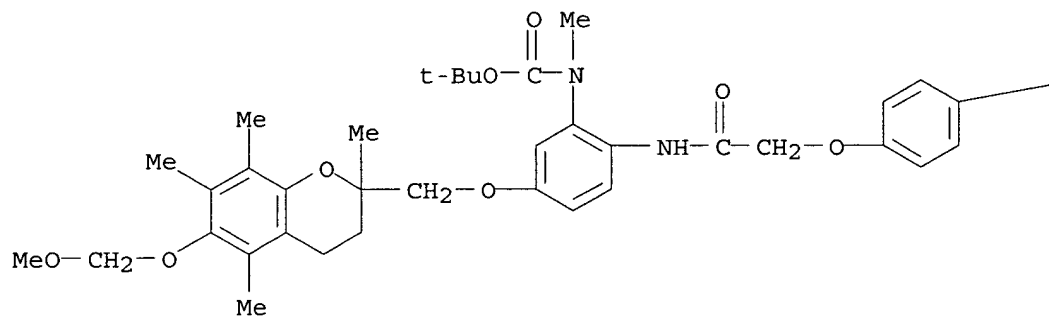
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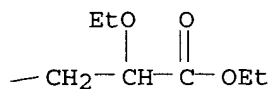
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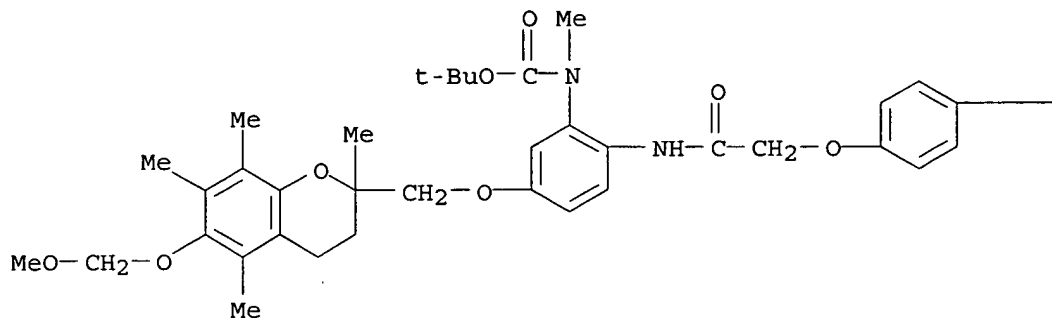


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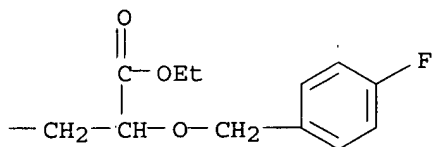
CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[1,1-

dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-
 α -[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX
 NAME)

PAGE 1-A

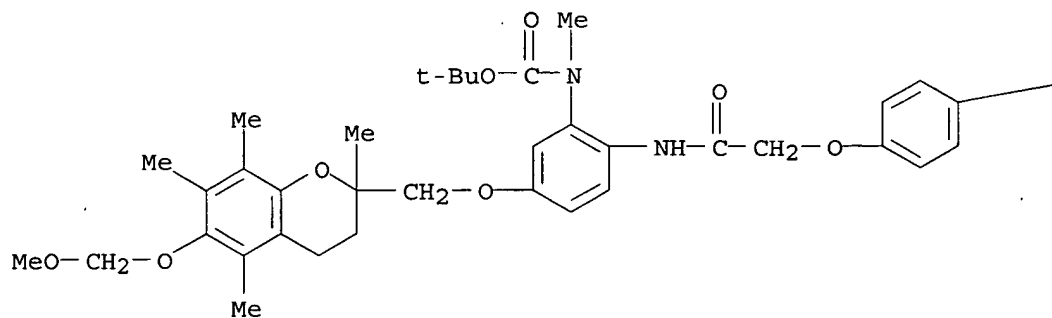


PAGE 1-B

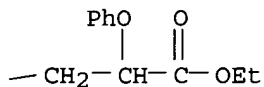


RN 300666-27-7 HCAPLUS
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 2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[1,1-
 dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-
 α -phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

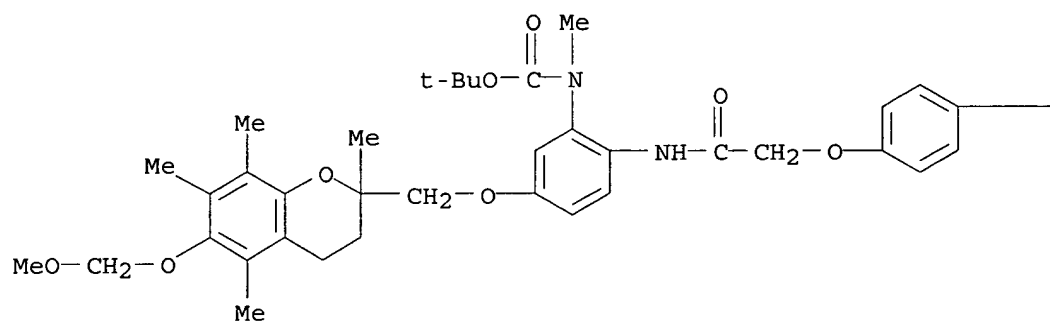
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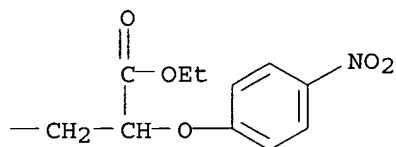
PAGE 1-B



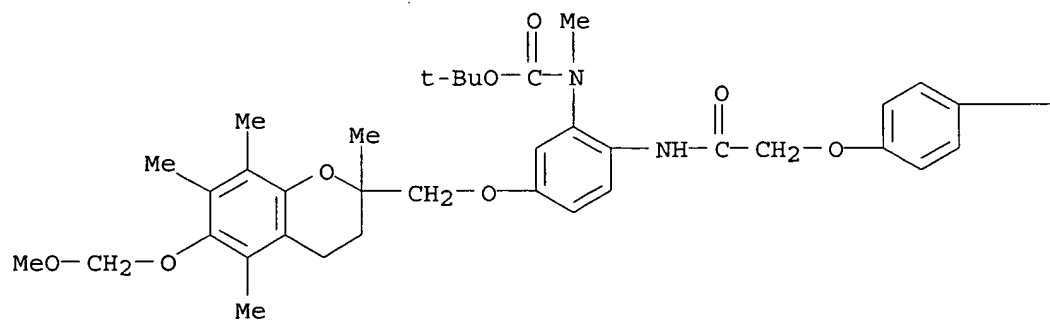
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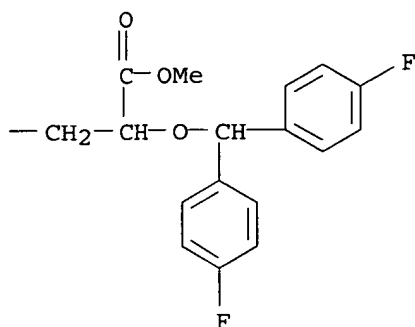
PAGE 1-B



PAGE 1-A



PAGE 1-B



- IC ICM C07D417-14
ICS C07D413-14; C07D405-12; C07D471-04; A61K031-427; A61K031-422;
A61K031-4184; A61K031-437; A61P003-10; A61P029-00;
A61P037-02; A61P043-00; A61P003-06; A61P003-04; A61P009-12;
A61P009-10; A61P015-00; A61P009-00
- CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7
- IT **Peroxisome** proliferator-activated receptors
(activators; preparation of substituted fused imidazole derivs. as
therapeutics)
- IT **Diabetes** mellitus
(complications; preparation of substituted fused imidazole derivs.
as therapeutics)
- IT Pregnancy
Pregnancy
(gestational **diabetes** mellitus; preparation of substituted
fused imidazole derivs. as therapeutics)
- IT **Diabetes** mellitus
Diabetes mellitus
(gestational; preparation of substituted fused imidazole derivs. as
therapeutics)
- IT Allergy inhibitors
Anti-inflammatory agents
Antiartherosclerotics
Antiarthritics
Antiasthmatics
Antidiabetic agents
Antihypertensives
Antiobesity agents
Antitumor agents
Antiulcer agents
Autoimmune disease
Cachexia
Cataract
Gout
Hypolipemic agents
Immunomodulators
Osteoarthritis
Osteoporosis
(preparation of substituted fused imidazole derivs. as therapeutics)
- IT 62517-34-4P 300666-00-6P 300666-01-7P 300666-02-8P
300666-03-9P 300666-04-0P **300666-05-1P** 300666-06-2P
300666-07-3P 300666-08-4P 300666-09-5P **300666-10-8P**
300666-11-9P 300666-12-0P **300666-13-1P**

300666-14-2P 300666-15-3P 300666-16-4P
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 300666-34-6P 300666-35-7P 300666-36-8P 300666-37-9P
 300666-38-0P 300666-39-1P

(preparation of substituted fused imidazole derivs. as therapeutics)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 27 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:725618 HCAPLUS

DOCUMENT NUMBER: 133:281783

TITLE: Preparation of benzimidazolylalkoxyphenylalkan
 oic acid derivatives for the treatment of
diabetes and other diseases

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;
 Honma, Hidehito; Fujiwara, Toshihiko;
 Iwabuchi, Haruo

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059889	A1	20001012	WO 2000-JP2215	2000 0406

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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2369871	AA	20001012	CA 2000-2369871	2000 0406

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JP 2001097955	A2	20010410	JP 2000-104701	2000 0406
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EP 1167357	A1	20020102	EP 2000-915361	2000 0406
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TR 200102908	T2	20020422	TR 2001-2908	2000 0406

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BR 2000009593	A	20020618	BR 2000-9593	
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2000
0406

AU 760163 B2 20030508 AU 2000-36707

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0406

NZ 514661 A 20030630 NZ 2000-514661

2000
0406

RU 2219172 C2 20031220 RU 2001-127083

2000
0406

ZA 2001008168 A 20030218 ZA 2001-8168

2001
1004

NO 2001004849 A 20011127 NO 2001-4849

2001
1005

US 2003069294 A1 20030410 US 2001-972206

2001
1005

US 6596751 B2 20030722
US 2004002512 A1 20040101 US 2003-376942

2003
0228

PRIORITY APPLN. INFO.:

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1999
0406

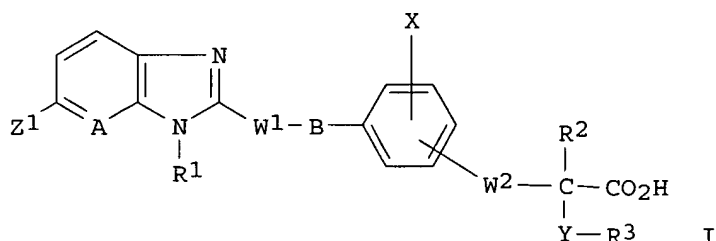
JP 1999-215141 A
1999
0729

WO 2000-JP2215 W
2000
0406

US 2001-972206 A3
2001
1005

OTHER SOURCE(S):
GI

MARPAT 133:281783



AB The title compds. I [R1 is alkyl or the like; R2 is hydrogen or the like; R3 is hydrogen or the like; A is CH or the like; B is oxygen or the like; W1 is C1-C8 alkylene; W2 is a single bond or C1-C8 alkylene; X is hydrogen or the like; Y is oxygen or the like; and Z1 is alkoxy or the like] are prepared. Feed containing 0.01% 3-[4-[6-(3,5-di-tert-butyl-4-hydroxyphenylthio)-1-methyl-1H-benzimidazol-2-ylmethoxy]phenyl]-2-(4-fluorobenzoyloxy)propionic acid decreased blood sugar in **diabetic** mice by 40.8%. Formulations are given.

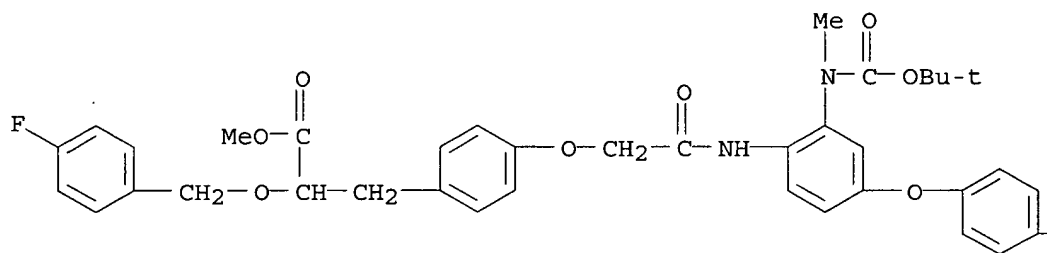
IT 299175-84-1P 299175-86-3P 299175-96-5P
299176-05-9P

(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. for treatment of **diabetes** and other diseases)

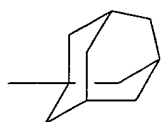
RN 299175-84-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-(4-tricyclo[3.3.1.1^{3,7}]dec-1-ylphenoxy)phenyl]amino]-2-oxoethoxy]- α -(4-fluorophenyl)methoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



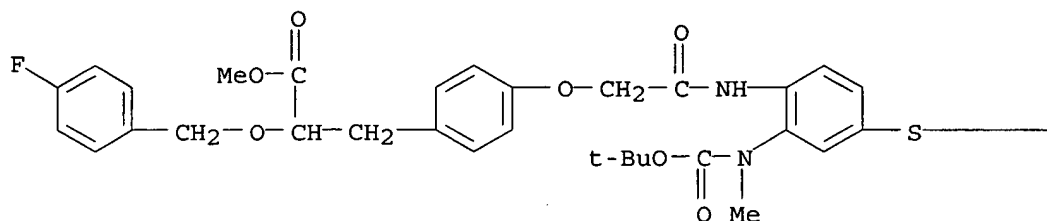
PAGE 1-B



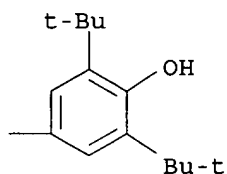
RN 299175-86-3 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]thio]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]- α -[(4-fluorophenyl)methoxy]-, methyl ester (9CI) (CA INDEX NAME)

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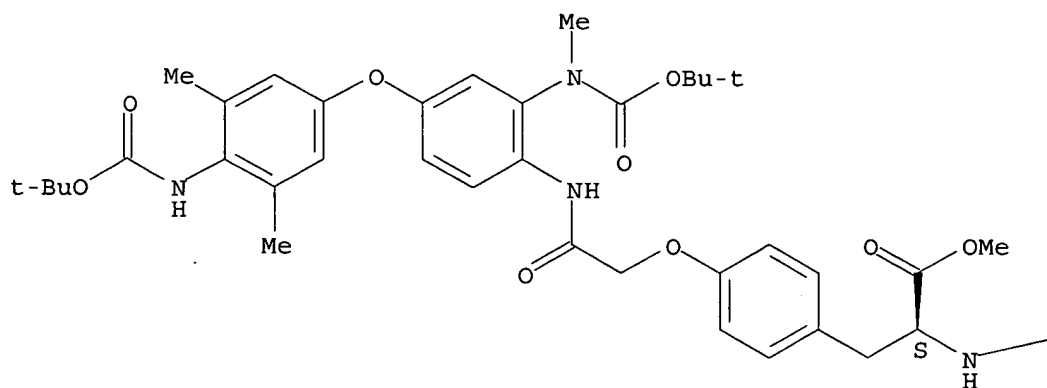


RN 299175-96-5 HCAPLUS

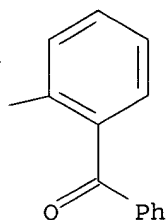
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[[4-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]-3,5-dimethylphenoxy]-2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

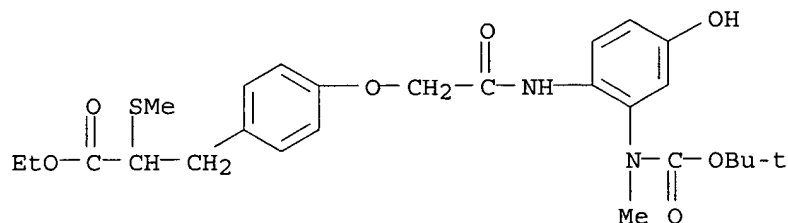
PAGE 1-A



PAGE 1-B



RN 299176-05-9 HCAPLUS
 CN Benzenepropanoic acid, 4-[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-hydroxyphenyl]amino]-2-oxoethoxy]- α -(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D235-16
 ICS C07H017-02; C07D471-04; C07D401-12; A61K031-4184;
 A61K031-7056; A61K031-437; A61K031-4439; A61P043-00;
 A61P003-10; A61P025-00; A61P027-12; A61P009-10; A61P003-06;
 A61P009-12; A61P029-00; A61P011-06; A61P035-00
 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 ST benzimidazolylalkoxyphenylalkanoate prepn **antidiabetic**;
antidiabetic benzimidazolylalkoxyphenylalkanoate prepn;
 disease treatment benzimidazolylalkoxyphenylalkanoate prepn
 IT Allergy inhibitors
 Anti-inflammatory agents
 Antiasthmatics
Antidiabetic agents
 Antihypertensives
 Antitumor agents
 Antiulcer agents
 Hypolipemic agents
 Immunomodulators
 (benzimidazolylalkoxyphenylalkanoic acid derivs.)
 IT **Diabetes** mellitus
 (complications; preparation and effect of

- benzimidazolylalkoxyphenylalkanoic acid derivs.)
- IT Pregnancy
(**diabetes**; preparation and effect of
benzimidazolylalkoxyphenylalkanoic acid derivs.)
- IT Kidney, disease
(**diabetic** nephropathy; preparation and effect of
benzimidazolylalkoxyphenylalkanoic acid derivs.)
- IT Cardiovascular system
(disease, **diabetic**; preparation and effect of
benzimidazolylalkoxyphenylalkanoic acid derivs.)
- IT Nerve, disease
(neuropathy, **diabetes** related; preparation and effect of
benzimidazolylalkoxyphenylalkanoic acid derivs.)
- IT **Peroxisome** proliferator-activated receptors
(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. with
effect on **peroxisome** proliferator-activated
receptors)
- IT 299176-23-1P
(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. for
treatment of **diabetes** and other diseases)
- IT 299175-35-2P
(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. for
treatment of **diabetes** and other diseases)
- IT 299175-36-3P 299175-37-4P 299175-39-6P 299175-40-9P
299175-41-0P 299175-42-1P 299175-43-2P 299175-44-3P
299175-45-4P 299175-46-5P 299175-47-6P 299175-48-7P
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299176-26-4P 299176-27-5P 299176-28-6P 299176-29-7P
299176-30-0P
(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. for
treatment of **diabetes** and other diseases)
- IT 67-64-1, Acetone, reactions 74-88-4, Methyl iodide, reactions
100-51-6, Benzenemethanol, reactions 107-30-2, Methoxymethyl
chloride 108-24-7, Acetic anhydride 306-23-0 459-46-1,
4-Fluorobenzyl bromide 950-59-4 1548-13-6,
4-Trifluoromethylphenylisocyanate 3096-70-6,
4-Amino-3,5-dimethylphenol 3580-38-9, 2-Benzoylcyclohexanone
5188-07-8, Sodium thiomethoxide 5292-43-3, tert-Butyl
bromoacetate 5437-45-6, Benzyl bromoacetate 7143-01-3,
Methanesulfonic anhydride 24424-99-5, Di-tert-butyl dicarbonate
26386-88-9, Diphenylazidophosphate 29799-07-3,
4-(1-Adamantyl)phenol 51095-47-7, Methyl 4-hydroxyphenyllactate
68697-61-0, Tyrosine methyl ester hydrochloride 112109-69-0
150556-70-0, 5-(4-Acetoxybenzyl)thiazolidine-2,4-dione
179087-93-5 299176-08-2 299176-09-3 299176-10-6
299176-11-7 299176-13-9 299176-14-0 299176-17-3
299176-20-8 299176-22-0
(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. for
treatment of **diabetes** and other diseases)
- IT 196810-09-0P 197299-03-9P 223133-10-6P 223133-16-2P
223133-17-3P 223133-29-7P 223133-30-0P 223133-31-1P
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(preparation of benzimidazolylalkoxyphenylalkanoic acid derivs. for treatment of **diabetes** and other diseases)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 28 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:53564 HCAPLUS

DOCUMENT NUMBER: 132:107781

TITLE: Preparation of phenoxyacetic acid derivatives
 as selective stimulants of β 3-adrenergic
 receptor and medicinal compositions containing
 the same

INVENTOR(S): Tanaka, Nobuyuki; Tamai, Tetsuro; Mukaiyama,
 Harunobu; Hirabayashi, Akihito; Muranaka,
 Hideyuki; Sato, Masaaki; Akahanae, Masuo

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000002846	A1	20000120	WO 1999-JP3611	1999 0705

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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN,
 CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
 ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ,
 VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2336853	AA	20000120	CA 1999-2336853	1999 0705
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AU 771200	B2	20040318		
BR 9911871	A	20010327	BR 1999-11871	1999 0705

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EP 1095932	A1	20010502	EP 1999-926928	1999
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0705

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EP 1095932 B1 20040519
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
 MC, PT, IE, SI, LT, LV, FI, RO
 NZ 509203 A 20030328 NZ 1999-509203

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AT 267161 E 20040615 AT 1999-926928

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US 6538152 B1 20030325 US 2001-720976

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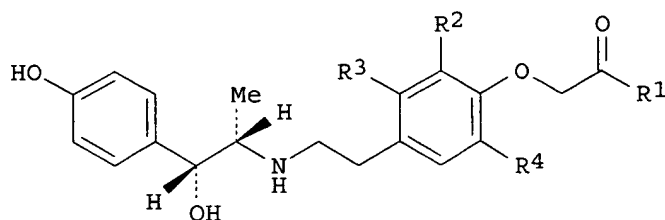
WO 1999-JP3611

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1999
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OTHER SOURCE(S): MARPAT 132:107781
 GI



I

AB Novel 4-[2-(((1S,2R)-2-(4-hydroxyphenyl)-2-hydroxy-2-methylethyl)amino)ethyl]phenoxyacetic acid derivs. represented by general formula [I; wherein R1 is hydroxyl, lower alkoxy, aralkoxy, NH₂, mono- or di(lower alkyl)amino; one of R2 and R3 is hydrogen, halogeno, lower alkyl, or lower alkoxy, and the other thereof is hydrogen; and R4 is halogeno, lower alkyl, lower haloalkyl, OH, lower alkoxy, aralkoxy, cyano, NO₂, NH₂, mono- or di(lower alkyl) amino, CONH₂, mono- or di(lower alkyl)carbamoyl, NH₂, or alkanoylamino] and pharmacol. acceptable salts thereof are prepared These compds. exhibit more potent stimulating effect for β_3 -adrenergic receptor than that for β_1 and/or β_2 -adrenergic receptor and are reduced in side effects due to the stimulating effect for β_1 and/or β_2 -adrenergic receptor. They are useful as preventive or therapeutic agents for obesity, hyperglycemia, diseases due to hyperkinesia of intestine, pollakiuria, urinary incontinence, depression, cholelithiasis or diseases due to hyperkinesia of biliary tract. Thus, a suspension of 475 mg (1R,2R)-2-amino-1-(4-hydroxyphenyl)propan-1-ol, 520 mg Et 2-[2-bromo-4-(2-bromoethyl)phenoxy]acetate, and 1.42 g mol. sieve 4A in 4.7 mL DMF was stirred at room temperature for 2 days to give, after purification by medium pressure liquid chromatog. using aminopropylated silica gel, 356 mg I (R2 = Br, R3 = R4 = H, R1 = OEt). I.HCl (R1 = OEt, R2 = H, R3 = R4 = Cl) in vitro showed ED50 of 7.2 ± 10^{-10} , 6.8 ± 10^{-5} , and 6.1 ± 10^{-6} M for stimulating β_3 -, β_1 -, and β_2 -adrenergic receptors in male ferret bladder, atrium of rat heart, and rat uterus, resp.

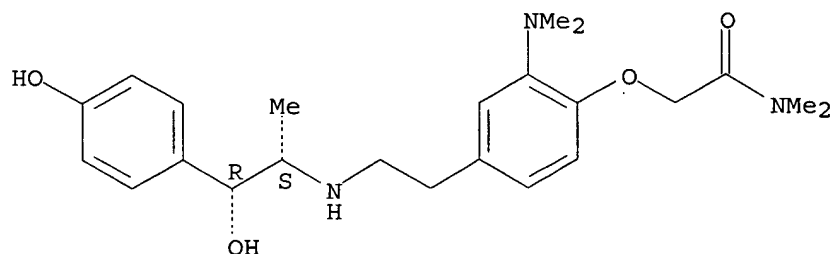
IT 255733-87-0P

(preparation of phenoxyacetic acid derivs. as selective stimulants of β_3 -adrenergic receptor for treatment of diseases)

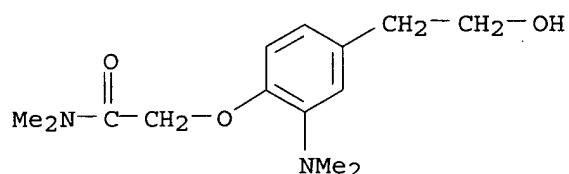
RN 255733-87-0 HCAPLUS

CN Acetamide, 2-[2-(dimethylamino)-4-[2-[[1S,2R)-2-hydroxy-2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

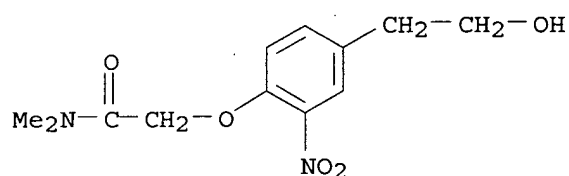
Absolute stereochemistry.



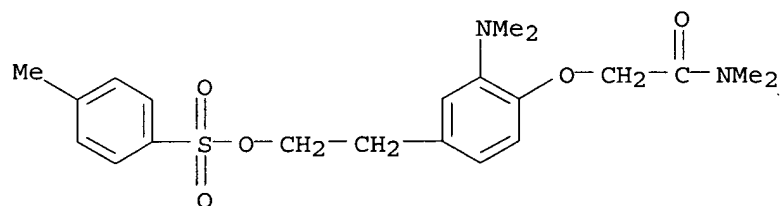
IT 255734-82-8P, 2-[2-(Dimethylamino)-4-(2-hydroxyethyl)phenoxy]-N,N-dimethylacetamide 255734-83-9P
 , 2-[4-(2-Hydroxyethyl)-2-nitrophenoxy]-N,N-dimethylacetamide 255734-85-1P
 (preparation of phenoxyacetic acid derivs. as selective stimulants of β 3-adrenergic receptor for treatment of diseases)
 RN 255734-82-8 HCAPLUS
 CN Acetamide, 2-[2-(dimethylamino)-4-(2-hydroxyethyl)phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 255734-83-9 HCAPLUS
 CN Acetamide, 2-[4-(2-hydroxyethyl)-2-nitrophenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 255734-85-1 HCAPLUS
 CN Acetamide, 2-[2-(dimethylamino)-4-[2-[(4-methylphenyl)sulfonyl]oxy]ethyl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



IC ICM C07C217-60
 ICS C07C255-59; C07C235-60; C07C233-43; C07C235-06; A61K031-215; A61K031-195
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1
 IT Antidepressants
 Antidiabetic agents
 Antiobesity agents
 Calculi, biliary
 (preparation of phenoxyacetic acid derivs. as selective stimulants of β 3-adrenergic receptor for treatment of diseases)
 IT 255733-69-8P 255733-70-1P 255733-72-3P 255733-73-4P

255733-74-5P 255733-75-6P 255733-76-7P 255733-77-8P
 255733-78-9P 255733-79-0P 255733-80-3P 255733-81-4P
 255733-82-5P 255733-83-6P 255733-84-7P 255733-85-8P
 255733-86-9P 255733-87-0P 255733-88-1P 255733-89-2P
 255733-91-6P 255733-92-7P 255733-93-8P 255733-94-9P
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 255734-07-7P 255734-08-8P 255734-09-9P 255734-10-2P
 255734-11-3P 255734-12-4P 255734-13-5P 255734-14-6P
 255734-15-7P 255734-16-8P 255734-17-9P 255734-18-0P
 255734-19-1P

(preparation of phenoxyacetic acid derivs. as selective stimulants
 of β_3 -adrenergic receptor for treatment of diseases)

IT 21092-94-4P, 4'-(Benzyloxy)-3'-hydroxyacetophenone 29121-25-3P,
 2-(3-Bromo-4-hydroxyphenyl)acetic acid ethyl ester 39624-10-7P
 50824-04-9P, 4-Bromo-2-(trifluoromethyl)phenol 110925-45-6P
 118172-64-8P 169247-46-5P, Benzyl 4-bromo-2-
 (trifluoromethyl)phenyl ether 191165-12-5P 201662-73-9P,
 2-(Benzyloxy)-5-vinylbenzoic acid 222843-69-8P 234757-51-8P,
 2-(4-(Benzyloxy)-3-bromophenyl)acetic acid ethyl ester
 252563-24-9P 255734-20-4P, 2-[2-Bromo-4-(2-
 bromoacetyl)phenoxy]acetic acid ethyl ester 255734-21-5P,
 2-[4-(2-Bromoacetyl)-2,5-dichlorophenoxy]acetic acid ethyl ester
 255734-22-6P, 2-[4-(2-Bromoacetyl)-2,5-dimethylphenoxy]acetic acid
 ethyl ester 255734-23-7P, 2-[4-(2-Bromoacetyl)-2-
 ethylphenoxy]acetic acid ethyl ester 255734-24-8P,
 2-[4-(2-Bromoacetyl)-2-chloro-5-methylphenoxy]acetic acid ethyl
 ester 255734-25-9P, 2-[4-(2-Bromoacetyl)-2,5-
 difluorophenoxy]acetic acid ethyl ester 255734-26-0P,
 2-[4-(2-Bromoacetyl)-2-hydroxyphenoxy]acetic acid ethyl ester
 255734-27-1P, 4'-(Benzyloxy)-3'-(methoxymethoxy)acetophenone
 255734-28-2P, 2-[4-Acetyl-2-(methoxymethoxy)phenoxy]acetic acid
 ethyl ester 255734-29-3P, 2-[4-(2-Bromoacetyl)-2-
 ethoxyphenoxy]acetic acid ethyl ester 255734-30-6P,
 2-[4-(2-Bromoethyl)-2-methylphenoxy]acetic acid ethyl ester
 255734-31-7P, 2-[4-(2-Bromoethyl)-2-ethylphenoxy]acetic acid ethyl
 ester 255734-32-8P, 2-[4-(2-Bromoethyl)-2-ethoxyphenoxy]acetic
 acid ethyl ester 255734-33-9P, 2-[4-(2-Bromoethyl)-2-
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 ethyl ester 255734-39-5P 255734-40-8P, 4-(2-Bromoethyl)-2,6-
 dichlorophenol 255734-41-9P, 4-(2-Bromoethyl)-2-fluorophenol
 255734-42-0P 255734-43-1P 255734-44-2P 255734-45-3P,
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 2-[4-(2-Hydroxyethyl)-2-nitrophenoxy]acetic acid ethyl ester
 255734-53-3P, 2-[4-(2-Hydroxyethyl)-3-methoxyphenoxy]acetic acid
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2-[2-Cyano-4-(2-hydroxyethyl)phenoxy]acetic acid ethyl ester
255734-56-6P 255734-57-7P 255734-58-8P, 2-Hydroxy-5-(2-
(methoxymethoxy)ethyl)benzonitrile 255734-59-9P,
2-[2-Cyano-4-(2-(methoxymethoxy)ethyl)phenoxy]acetic acid ethyl
ester 255734-60-2P, 2-[5-Chloro-4-(2-hydroxyethyl)-2-
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2-[5-Chloro-2-methoxyphenoxy]acetic acid ethyl ester
255734-62-4P 255734-63-5P 255734-64-6P, 2-[5-Chloro-2-ethoxy-4-
(2-hydroxyethyl)phenoxy]acetic acid ethyl ester 255734-65-7P,
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acid ethyl ester 255734-67-9P, 2-[4-(2-Bromoethyl)-2-
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acid ethyl ester 255734-70-4P, 2-[4-(2-Bromoethyl)-5-chloro-2-
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2-[4-(2-Bromoethyl)-2-chloro-5-methoxyphenoxy]acetic acid ethyl
ester 255734-72-6P, 2-[4-(2-Bromoethyl)-2-
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2-(Benzyloxy)-5-formylbenzoic acid methyl ester 255734-74-8P,
2-(Benzyloxy)-5-vinylbenzoic acid methyl ester 255734-75-9P,
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255734-76-0P, 2-(Benzyloxy)-5-(2-(methoxymethoxy)ethyl)benzoic
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(methoxymethoxy)ethyl)benzoic acid 255734-78-2P,
2-(Benzyloxy)-5-(2-(methoxymethoxy)ethyl)benzamide 255734-79-3P,
2-(Benzyloxy)-5-(2-hydroxyethyl)benzamide 255734-80-6P
255734-81-7P 255734-82-8P, 2-[2-(Dimethylamino)-4-(2-
hydroxyethyl)phenoxy]-N,N-dimethylacetamide 255734-83-9P
, 2-[4-(2-Hydroxyethyl)-2-nitrophenoxy]-N,N-dimethylacetamide
255734-84-0P 255734-85-1P 255734-86-2P,
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ester 255734-87-3P, N,N-Dimethyl-2-(benzyloxy)-5-vinylbenzamide
255734-88-4P, N,N-Dimethyl-2-(benzyloxy)-5-(2-
hydroxyethyl)benzamide 255734-89-5P, N,N-Dimethyl-2-(benzyloxy)-
5-(2-bromoethyl)benzamide 255734-90-8P, 2-[4-(2-Bromoethyl)-3-
methoxyphenoxy]acetic acid ethyl ester 255734-91-9P,
2-[4-(2-Hydroxyethyl)-2-methoxyphenoxy]acetic acid ethyl ester
255734-93-1P, 2-[2-Bromo-4-(2-bromoethyl)phenoxy]acetic acid ethyl
ester

(preparation of phenoxyacetic acid derivs. as selective stimulants
of β_3 -adrenergic receptor for treatment of diseases)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 29 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:184222 HCAPLUS

DOCUMENT NUMBER: 130:223585

TITLE: Preparation of substituted phenylalanine
derivatives as protein tyrosine phosphatase
inhibitors

INVENTOR(S): Larsen, Scott D.; May, Paul D.; Bleasdale,
John; Liljebris, Charlotta; Schostarez,
Heinrich Josef; Barf, Tjeerd

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

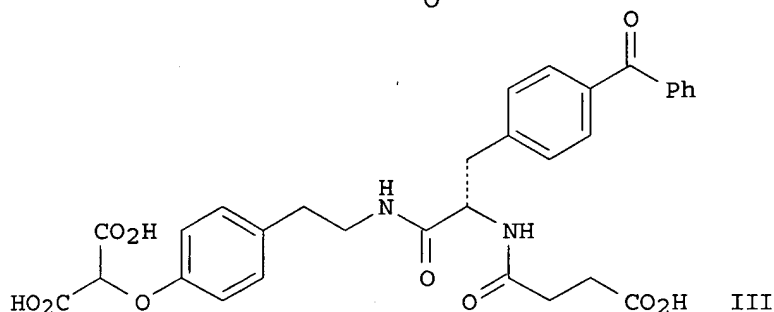
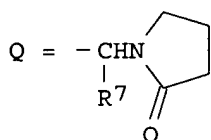
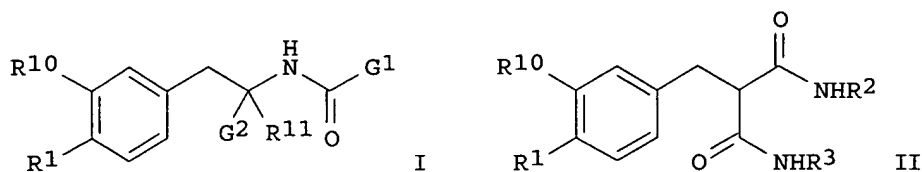
SOURCE: PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911606	A2	19990311	WO 1998-US17327	1998 0824
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WO 9911606	A3	19990708		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2298601	AA	19990311	CA 1998-2298601	1998 0824
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AU 9892010	A1	19990322	AU 1998-92010	1998 0824
<--				
AU 749132	B2	20020620		
EP 1019364	A2	20000719	EP 1998-944476	1998 0824
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EP 1019364	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001514245	T2	20010911	JP 2000-508647	1998 0824
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AT 268750	E	20040615	AT 1998-944476	1998 0824
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PRIORITY APPLN. INFO.:			US 1997-57730P	P 1997 0828
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			WO 1998-US17327	W 1998 0824
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OTHER SOURCE(S):	MARPAT 130:223585			
GI				



AB The present invention comprises title compds. I and II [G1 = R2, NR8R4; G2 = H, CONHR3, CH2OH, CH:CHR3; R1 = OSO3H, OCH(CO2R5)2, OCH2CO2R5, OCH(CO2R5)CH2CO2R5, O(CO2R5):CHCO2R5, CH2CH(CO2R5)2, CH:C(CO2R5)2, OCH2CONHOH, N(CH2CO2R5)2, OCHFCO2R5; R2 = C1-10 alkyl, C3-8 cycloalkyl, C0-6 alkylphenyl each substituted with 0-2 CO2R5 groups or 0-1 CONH2 groups, CHR7NHXR6, group Q; R3 = (un)substituted C1-12 alkyl, C1-4 alkyl-C3-6 cycloalkyl, C2-12 alkenyl, C3-12 alkynyl, (un)substituted C0-10 alkyl(G3)n, CH(CONH2)-C1-12 alkyl; R4 = H, C1-18 alkyl, alkenyl, C0-6 alkyl-G3; R5 = H, C1-10 alkyl, C1-5 alkylphenyl; R6 = C1-10 alkyl, substituted C1-6 alkyl; R7 = H, substituted C1-6 alkyl; R8 = C0-6 alkyl-G3, CHR7CO2R5, CHR7CH2CO2R5, CHR7CONHCH2COR5; G3 = (un)substituted Ph, naphthyl, heterocyclyl; R10 = H, CO2R5, CONHOH, 5-tetrazolyl, F, OCH2CO2R5; R11 = H, Me; X = CO, SO2, CO2; n = 0-3; with provisos] and pharmaceutically acceptable salts thereof, as small mol. weight, non-peptidic inhibitors of protein tyrosine phosphatase 1 (PTP1) which are useful for the treatment and/or prevention of non-insulin dependent **diabetes mellitus** (NIDDM). Thus, O-alkylation of N-tert-butoxycarbonyltyramine with di-Et chloromalonate, followed by acidic deprotection, amidation with 4-benzoyl-N-tert-butoxycarbonyl-L-phenylalanine, acidic deprotection, and amidation with succinic anhydride, gave desired title compound III (PNU 176073). III showed 60% inhibition of protein tyrosine phosphatase 1B at a concentration of 10 μ M.

IT 221076-84-2P

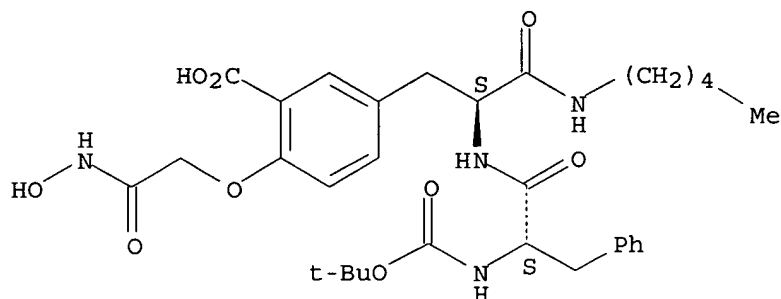
(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

RN 221076-84-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-3-carboxy-O-[2-(hydroxyamino)-2-oxoethyl]-N-pentyl- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.



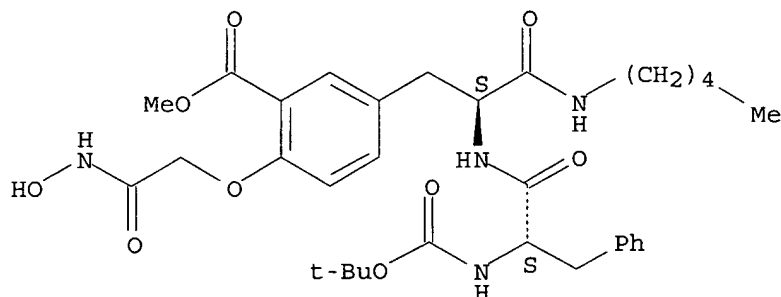
IT 221077-60-7P

(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

RN 221077-60-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-O-[2-(hydroxyamino)-2-oxoethyl]-3-(methoxycarbonyl)-N-pentyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C235-00

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7, 63

ST phenylalanine deriv prepn protein tyrosine phosphatase inhibitor;
noninsulin dependent **diabetes** mellitus treatment
phenylalanine deriv prepn

IT **Diabetes** mellitus

(non-insulin-dependent; preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

IT	221075-08-7P	221075-11-2P	221075-12-3P	221075-13-4P
	221075-14-5P	221075-15-6P	221075-17-8P	221075-18-9P
	221075-19-0P	221075-20-3P	221075-22-5P	221075-23-6P
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	221075-34-9P	221075-36-1P	221075-37-2P	221075-38-3P
	221075-39-4P	221075-40-7P	221075-42-9P	221075-43-0P
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	221075-49-6P	221075-50-9P	221075-51-0P	221075-52-1P
	221075-53-2P	221075-54-3P	221075-55-4P	221075-56-5P
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(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

IT 40829-20-7P	40904-59-4P	108376-28-9P	134081-15-5P
141360-76-1P	159560-93-7P	221076-98-8P	221077-00-5P
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221077-90-3P	221077-95-8P	221077-97-0P	221077-98-1P
221077-99-2P	221078-02-0P	221078-04-2P	221078-06-4P
221078-08-6P	221078-09-7P	221078-10-0P	

(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

L32 ANSWER 30 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:113706 HCAPLUS

DOCUMENT NUMBER: 130:168661

TITLE: Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by

VLA-4
 INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.;
 Sarantakis, Dimitrios; Pleiss, Michael A.;
 Lombardo, Louis John; Kreft, Anthony; Konradi,
 Andrei W.; Grant, Francine S.; Dressen, Darren
 B.; Dappen, Michael S.; Baudy, Reinhardt
 Bernhard; Ashwell, Susan
 PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home
 Products Corporation
 SOURCE: PCT Int. Appl., 254 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906431	A1	19990211	WO 1998-US15313	1998 0730
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2290747	AA	19990211	CA 1998-2290747	1998 0730
AU 9886611	A1	19990222	AU 1998-86611	1998 0730
AU 756696	B2	20030123		
ZA 9806827	A	20000502	ZA 1998-6827	1998 0730
EP 1001972	A1	20000524	EP 1998-937990	1998 0730
BR 9812114	A	20000718	BR 1998-12114	1998 0730
JP 2001512134	T2	20010821	JP 2000-505186	1998 0730
NZ 502582	A	20020726	NZ 1998-502582	

				1998 0730
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CN 1133648	B	20040107	CN 1998-807753	1998 0730
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TW 534910	B	20030601	TW 1998-87112638	1998 0731
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NO 2000000450	A	20000328	NO 2000-450	2000 0128
			<--	
PRIORITY APPLN. INFO.:			US 1997-920394	A1 1997 0731
			<--	
			WO 1998-US15313	W 1998 0730
			<--	

OTHER SOURCE(S): MARPAT 130:168661

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = substituted alkylcarbonylamino, alkoxyaryl, aryl, heteroaryl, NR2, alkoxy-NR2, alkenyl, alkynyl, aryloxy, heteroaryloxy, tetrazolyl, etc.; each R = H, any group R1; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, β -cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin $\alpha 4 \beta 1$ and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4.

Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, **diabetes**, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-Phe(4-NH2)-OMe (Ts = tosyl) with Boc-Gly-OH, followed by saponification, gave desired title compound Ts-Pro-Phe(4-Boc-Gly-NH)-OH. All prepared compds. have $IC_{50} \leq 15 \mu M$ in a VLA-4 binding assay.

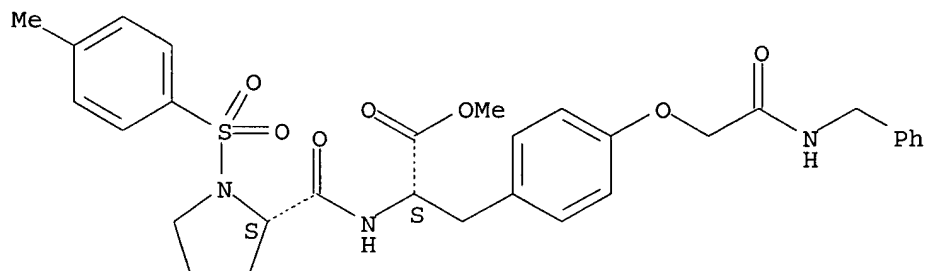
IT 220397-47-7P

(preparation of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220397-47-7 HCAPLUS

CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-O-[2-oxo-2-[(phenylmethyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



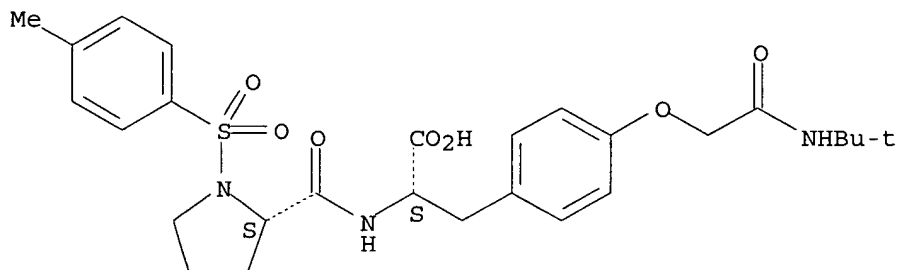
IT 220397-52-4P 220398-16-3P 220398-17-4P

(preparation of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220397-52-4 HCAPLUS

CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-O-[2-[(1,1-dimethylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

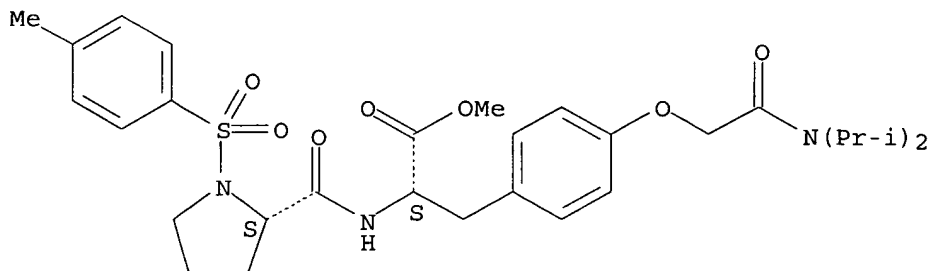
Absolute stereochemistry.



RN 220398-16-3 HCAPLUS

CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-O-[2-[bis(1-methylethyl)amino]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

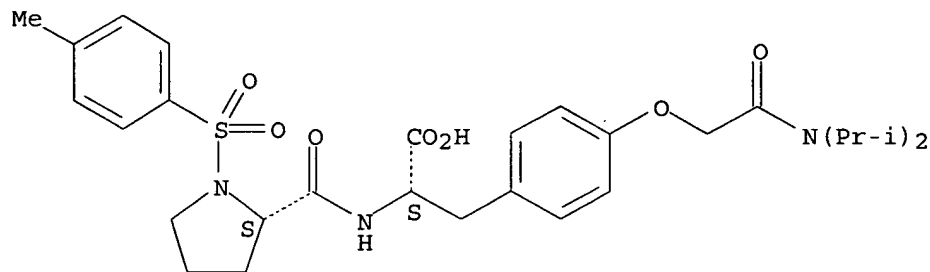
Absolute stereochemistry.



RN 220398-17-4 HCAPLUS

CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-O-[2-[bis(1-methylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07K005-062
ICS C07K005-065; C07K005-078; A61K038-05
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 15, 63
IT Anti-Alzheimer's agents
Antiasthmatics
Antidiabetic agents
Antirheumatic agents
Encephalitis
Meningitis
Psoriasis
Transplant and Transplantation
(preparation of N-sulfonyl phenylalanine dipeptide derivs. and
analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
IT 220396-90-7P 220397-05-7P 220397-07-9P 220397-21-7P
220397-25-1P 220397-42-2P 220397-43-3P 220397-45-5P
220397-47-7P 220398-14-1P 220398-29-8P
(preparation of N-sulfonyl phenylalanine dipeptide derivs. and
analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
IT 220396-92-9P 220396-93-0P 220396-94-1P 220396-95-2P
220396-96-3P 220396-97-4P 220396-98-5P 220396-99-6P
220397-01-3P 220397-03-5P 220397-04-6P 220397-06-8P
220397-08-0P 220397-09-1P 220397-10-4P 220397-11-5P
220397-12-6P 220397-13-7P 220397-14-8P 220397-15-9P
220397-16-0P 220397-17-1P 220397-18-2P 220397-19-3P
220397-20-6P 220397-22-8P 220397-23-9P 220397-24-0P
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 220398-12-9P 220398-13-0P 220398-15-2P **220398-16-3P**
220398-17-4P 220398-18-5P 220398-19-6P 220398-20-9P
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 220398-25-4P 220398-27-6P 220398-28-7P 220398-30-1P
 220398-31-2P 220398-32-3P 220398-33-4P

(preparation of N-sulfonyl phenylalanine dipeptide derivs. and
 analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L32 ANSWER 31 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:693417 HCAPLUS

DOCUMENT NUMBER: 129:343326

TITLE: Preparation of benzenes as protein kinase C
 inhibitors

INVENTOR(S): Mori, Toyoki; Tominaga, Michiaki; Tabusa,
 Fujio; Ei, Kazuyoshi; Nakaya, Kenji; Takemura,
 Isao; Shinohara, Tomokazu; Tanada, Yoshihisa;
 Yamauchi, Takahito; Kitano, Kazuyoshi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 359 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

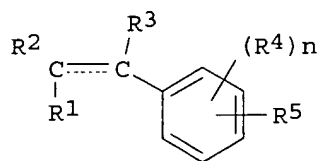
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 10287634	A2	19981027	JP 1997-110527	1997 0411

PRIORITY APPLN. INFO.: <--
 JP 1997-110527
 1997
 0411

OTHER SOURCE(S): MARPAT 129:343326
 GI



I

AB Benzenes I [R1 = 5- to 6-membered (un)substituted unsatd.
 heterocyclyl having 1-4 N, O, or S; cyano, carboxylalkyl,
 alkoxycarbonyl, H, Bz, (un)substituted amido, etc.; R2 =
 (un)substituted Bz, (un)substituted 1,2,3,4-
 tetrahydroquinolinylcarbonyl, pyridylcarbonyl, (un)substituted

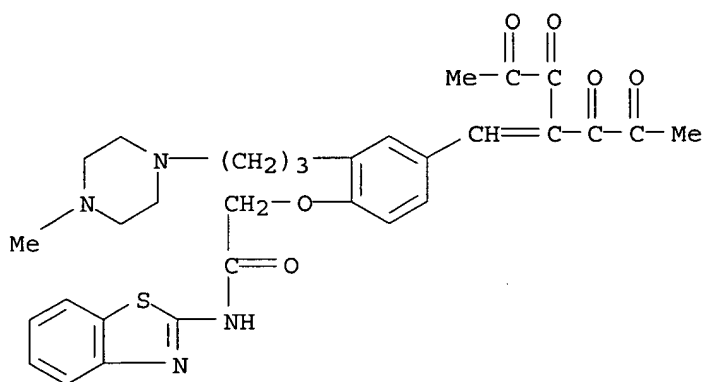
phenoxy carbonyl, etc.; R3 = H, lower alkyl, PhS, (un)substituted lower alkylthio, cycloalkylthio, cyano, etc.; R4 = H, (un)substituted lower alkyl, lower alkoxy, (un)substituted aminoalkylene, (un)substituted aminoalkylenyloxy; R5 = substituted alkenyl, phenylthioureidocarbonyl, pyrimidylaminocarbonylalkoxy, etc.; n = 1-3; the dot line may be double bond] or their salts are prepared. I are useful for prevention and treatment of chronic rheumatoid arthritis, systemic lupus erythematosus, atopic dermatitis, heart failure, allergy, multiple sclerosis, tumor, Alzheimer-type dementia, etc. Condensation of 250 mg 2-(benzoylmethyl)pyridine with 300 mg 4-[(2-benzothiazolyl)aminocarbonyl]benzaldehyde in C6H6 for 10 h gave 0.3 g 2-[4-[2-benzoyl-2-(2-pyridyl)vinyl]benzoylamino]benzothiazole.

IT 215507-40-7P

(preparation of benzenes as protein kinase C inhibitors for treatment of diseases)

RN 215507-40-7 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-[2-(1,2-dioxopropyl)-3,4-dioxo-1-pentenyl]-2-[3-(4-methyl-1-piperazinyl)propyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



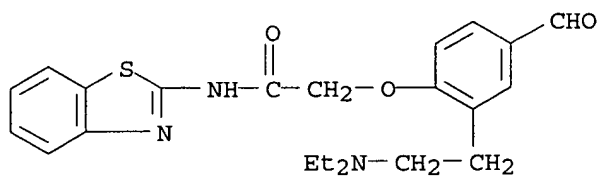
●2 HCl

IT 202991-38-6P 202991-39-7P 202991-40-0P
 202991-41-1P 202991-44-4P 202991-45-5P
 202991-46-6P 202991-51-3P 202991-58-0P
 202991-60-4P 202991-63-7P 202991-66-0P
 202991-67-1P 202991-68-2P 202994-44-3P
 215503-91-6P 215504-01-1P 215504-08-8P
 215504-09-9P

(preparation of benzenes as protein kinase C inhibitors for treatment of diseases)

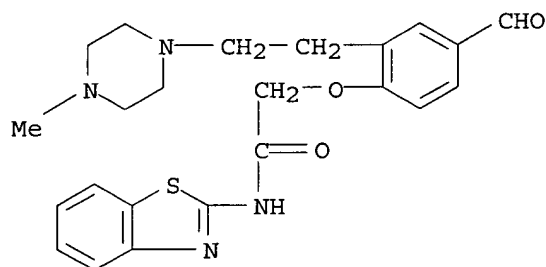
RN 202991-38-6 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[2-[2-(diethylamino)ethyl]-4-formylphenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



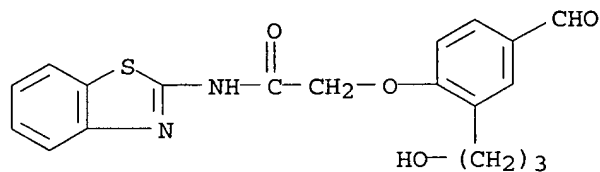
● HCl

RN 202991-39-7 HCAPLUS
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[2-(4-methyl-1-piperazinyl)ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

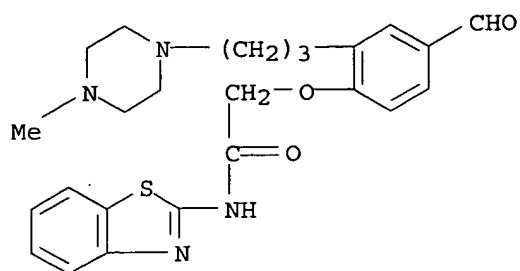


● 2 HCl

RN 202991-40-0 HCAPLUS
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-(3-hydroxypropyl)phenoxy]- (9CI) (CA INDEX NAME)

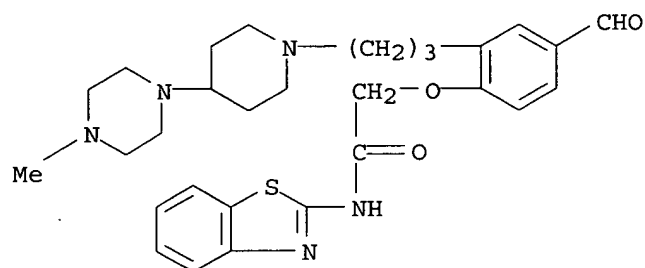


RN 202991-41-1 HCAPLUS
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-(4-methyl-1-piperazinyl)propyl]phenoxy]- (9CI) (CA INDEX NAME)



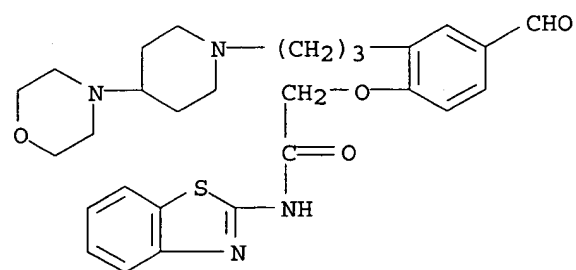
RN 202991-44-4 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[4-(4-methyl-1-piperazinyl)-1-piperidiny]propyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 202991-45-5 HCAPLUS

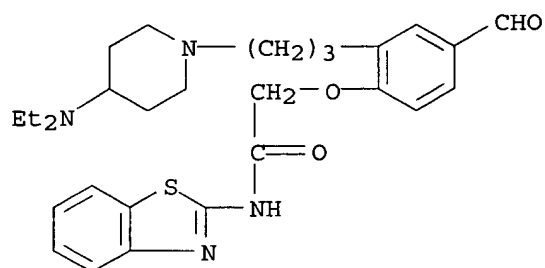
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[4-(4-morpholinyl)-1-piperidiny]propyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 202991-46-6 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[2-[3-[4-(diethylamino)-1-piperidiny]propyl]-4-formylphenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

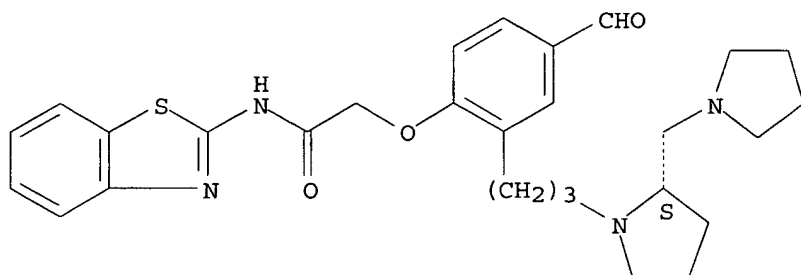


● 2 HCl

RN 202991-51-3 HCAPLUS

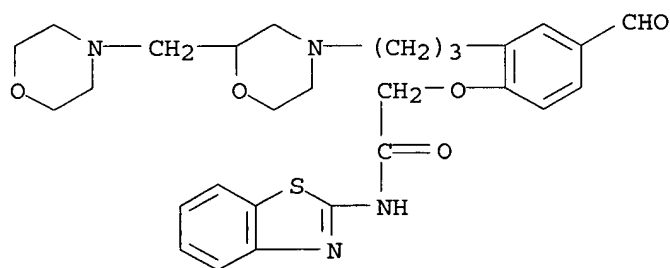
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]propyl]phenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



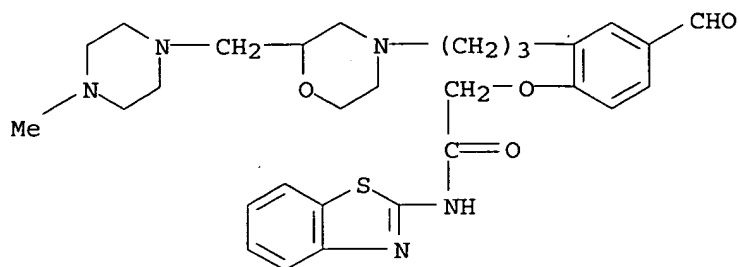
RN 202991-58-0 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[2-(4-morpholinylmethyl)-4-morpholinyl]propyl]phenoxy] - (9CI) (CA INDEX NAME)



RN 202991-60-4 HCAPLUS

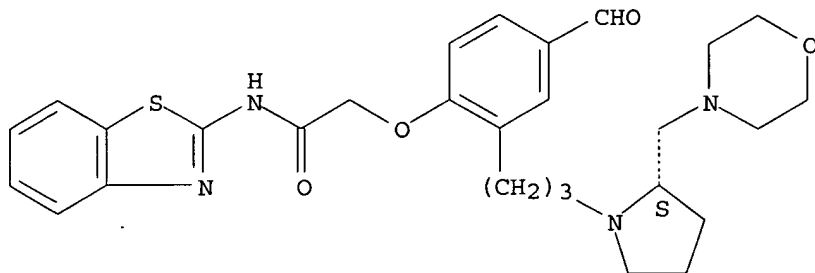
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[2-[(4-methyl-1-piperazinyl)methyl]-4-morpholinyl]propyl]phenoxy] - (9CI) (CA INDEX NAME)



RN 202991-63-7 HCAPLUS

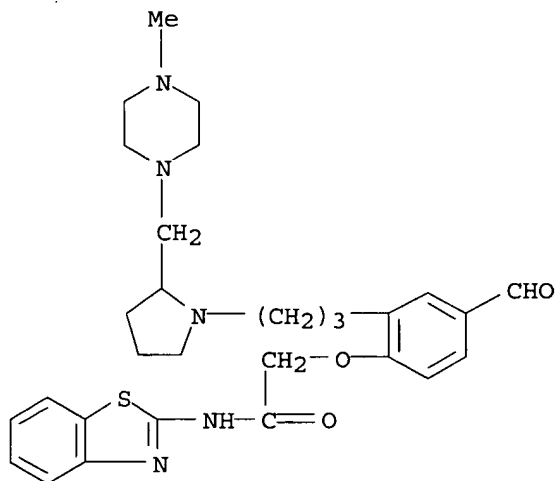
CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[(2S)-2-(4-morpholinylmethyl)-1-pyrrolidinyl]propyl]phenoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



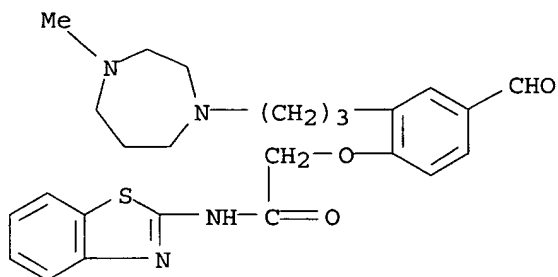
RN 202991-66-0 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[2-[(4-methyl-1-piperazinyl)methyl]-1-pyrrolidinyl]propyl]phenoxy]-(9CI) (CA INDEX NAME)



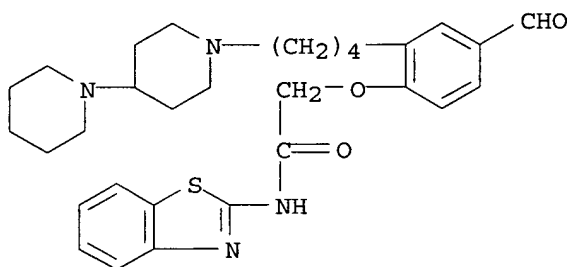
RN 202991-67-1 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)propyl]phenoxy]-(9CI) (CA INDEX NAME)



RN 202991-68-2 HCAPLUS

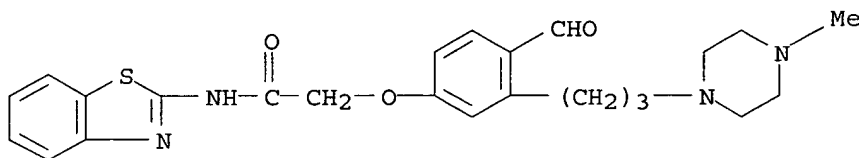
CN Acetamide, N-2-benzothiazolyl-2-[2-(4-[1,4'-bipiperidin]-1'-ylbutyl)-4-formylphenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

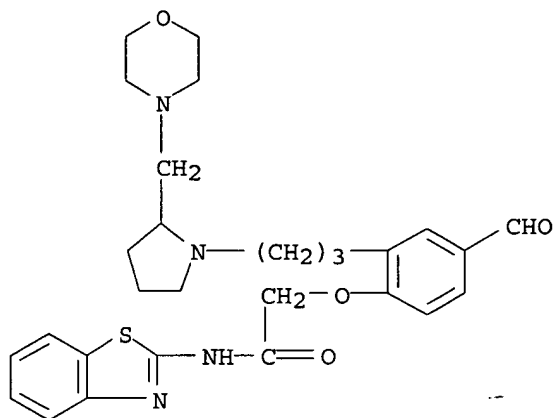
RN 202994-44-3 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-3-[3-(4-methyl-1-piperazinyl)propyl]phenoxy]- (9CI) (CA INDEX NAME)



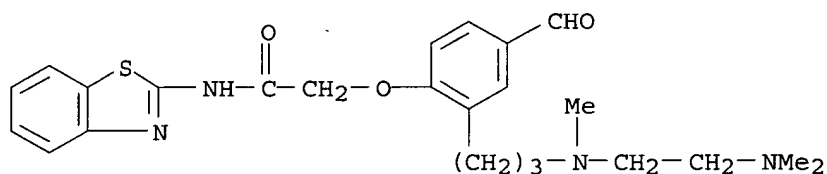
RN 215503-91-6 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[2-(4-morpholinylmethyl)-1-pyrrolidinyl]propyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 215504-01-1 HCAPLUS

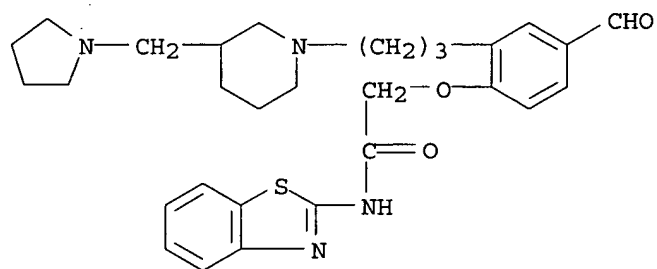
CN Acetamide, N-2-benzothiazolyl-2-[2-[3-[[2-(dimethylamino)ethyl]methylamino]propyl]-4-formylphenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

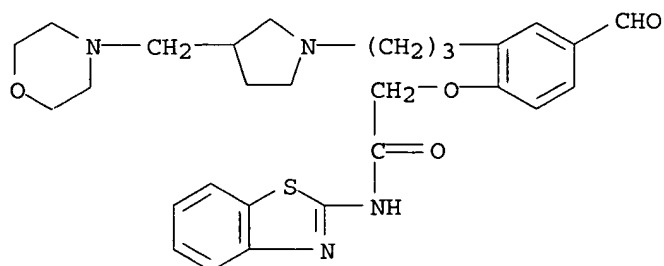
RN 215504-08-8 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[3-(1-pyrrolidinylmethyl)-1-piperidinyl]propyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 215504-09-9 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-formyl-2-[3-[3-(4-morpholinylmethyl)-1-pyrrolidinyl]propyl]phenoxy]- (9CI) (CA INDEX NAME)

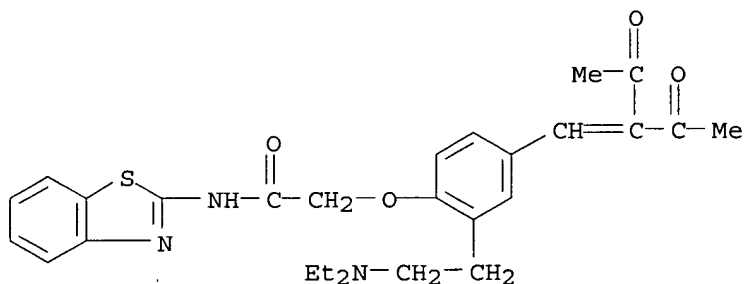


IT 215507-34-9P 215507-36-1P 215507-39-4P
 215507-42-9P 215507-43-0P 215507-52-1P
 215507-60-1P 215507-61-2P 215507-65-6P
 215507-74-7P 215507-76-9P 215507-78-1P
 215507-79-2P 215507-80-5P 215507-81-6P
 215507-82-7P 215507-83-8P 215507-85-0P
 215507-86-1P 215507-87-2P 215507-88-3P
 215507-89-4P

(preparation of benzenes as protein kinase C inhibitors for treatment of diseases)

RN 215507-34-9 HCAPLUS

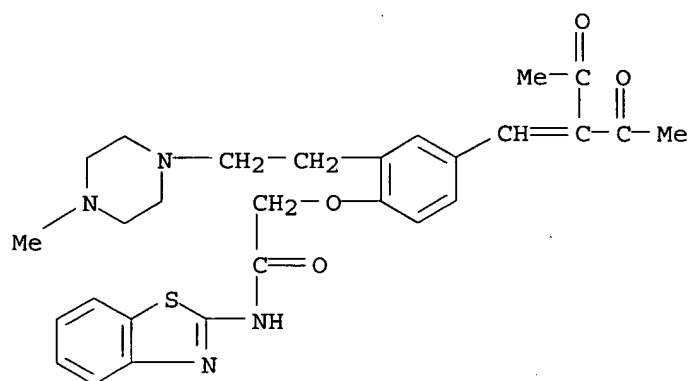
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[2-(diethylamino)ethyl]phenoxy]-N-2-benzothiazolyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 215507-36-1 HCAPLUS

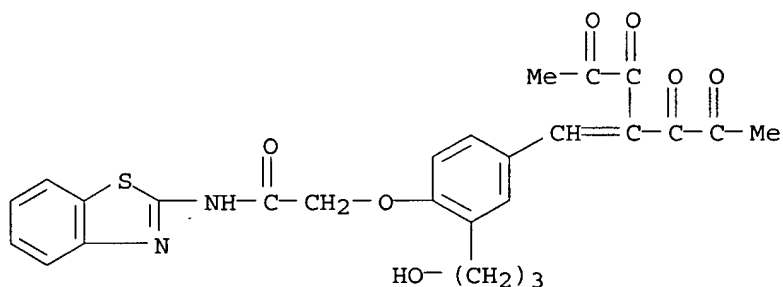
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[2-(4-methyl-1-piperazinyl)ethyl]phenoxy]-N-2-benzothiazolyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

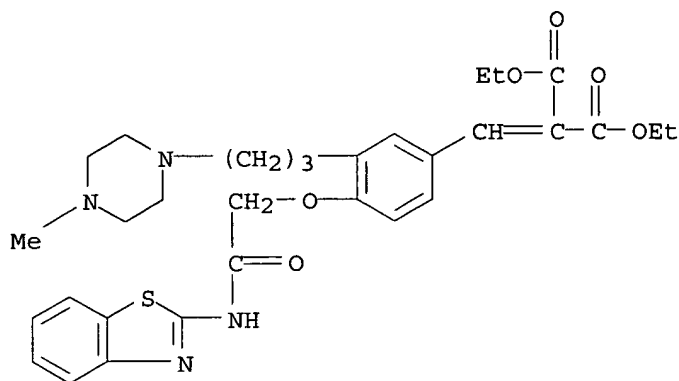
RN 215507-39-4 HCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[4-[2-(1,2-dioxopropyl)-3,4-dioxo-1-pentenyl]-2-(3-hydroxypropyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 215507-42-9 HCAPLUS

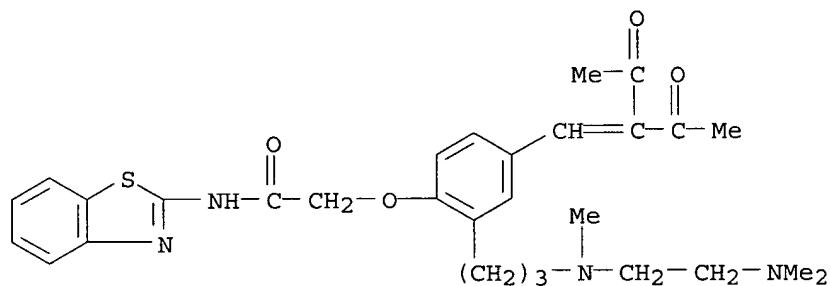
CN Propanedioic acid, [[4-[2-(2-benzothiazolylamino)-2-oxoethoxy]-3-[3-(4-methyl-1-piperazinyl)propyl]phenyl]methylene]-, diethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 215507-43-0 HCAPLUS

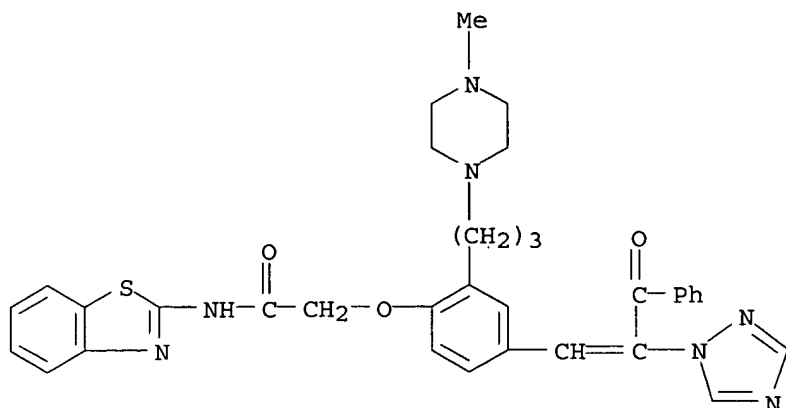
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[[2-(dimethylamino)ethyl]methylamino]propyl]phenoxy]-N-2-benzothiazolyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 215507-52-1 HCAPLUS

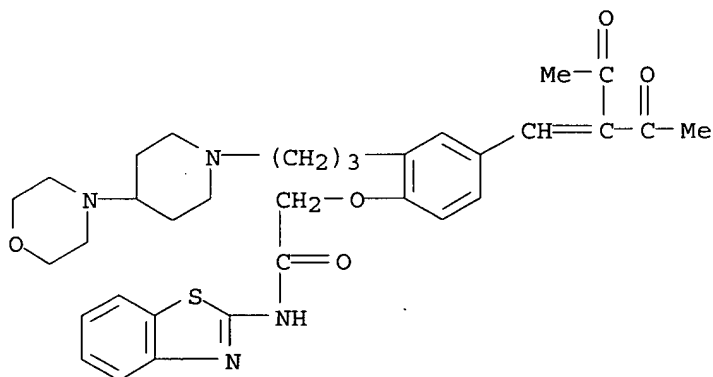
CN Acetamide, N-2-benzothiazolyl-2-[2-[3-(4-methyl-1-piperazinyl)propyl]-4-[3-oxo-3-phenyl-2-(1H-1,2,4-triazol-1-yl)-1-propenyl]phenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 215507-60-1 HCAPLUS

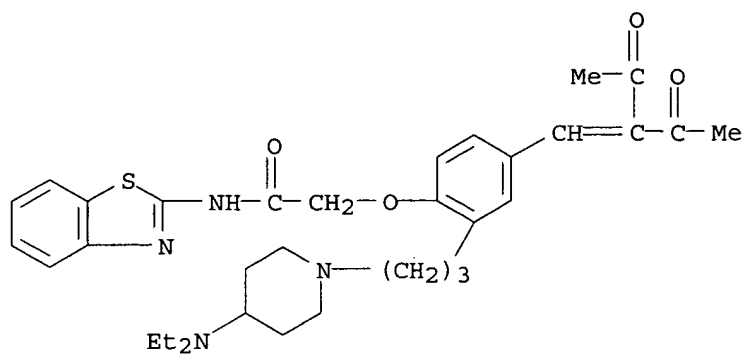
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[4-(4-morpholinyl)-1-piperidinyl]propyl]phenoxy]-N-2-benzothiazolyl-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 215507-61-2 HCAPLUS

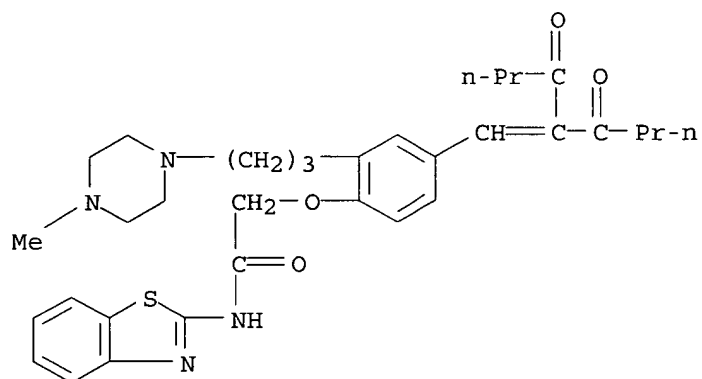
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[4-(diethylamino)-1-piperidinyl]propyl]phenoxy]-N-2-benzothiazolyl-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

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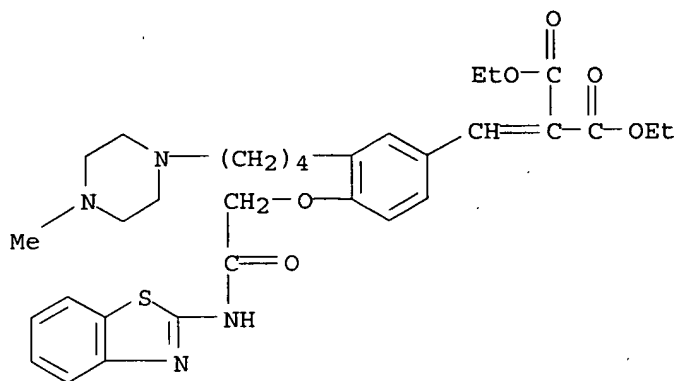
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● 3 HCl

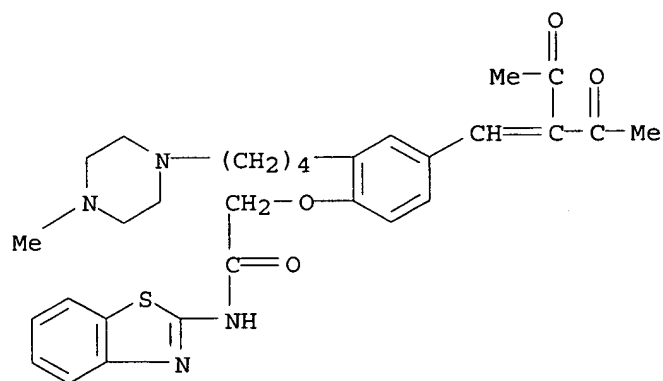
RN 215507-74-7 HCAPLUS

CN Propanedioic acid, [[4-[2-(2-benzothiazolylamino)-2-oxoethoxy]-3-[4-(4-methyl-1-piperazinyl)butyl]phenyl]methylene]-, diethyl ester, trihydrochloride (9CI) (CA INDEX NAME)



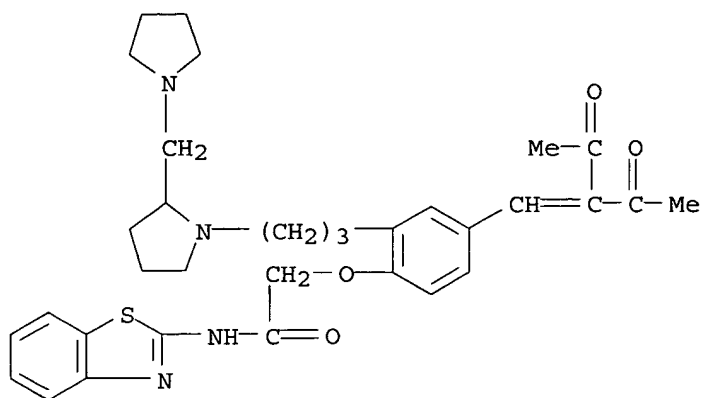
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RN 215507-76-9 HCAPLUS
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● 3 HCl

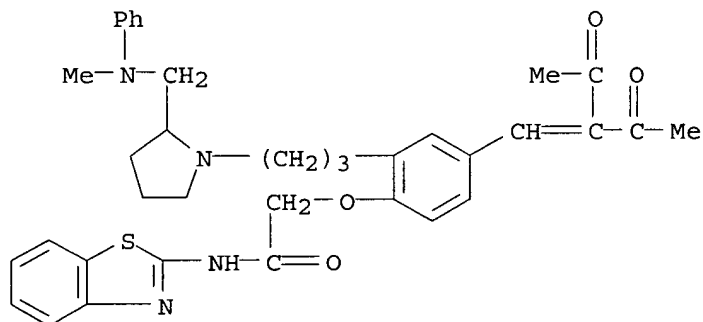
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 CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]propyl]phenoxy]-N-2-benzothiazolyl-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 215507-79-2 HCAPLUS

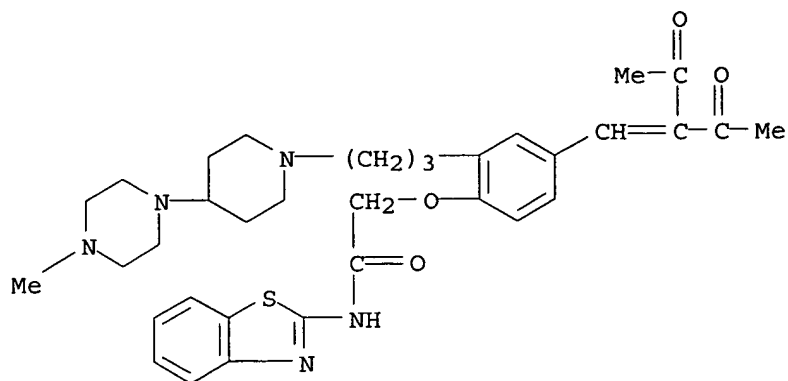
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[2-[(methylphenylamino)methyl]-1-pyrrolidinyl]propyl]phenoxy]-N-2-benzothiazolyl-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 215507-80-5 HCAPLUS

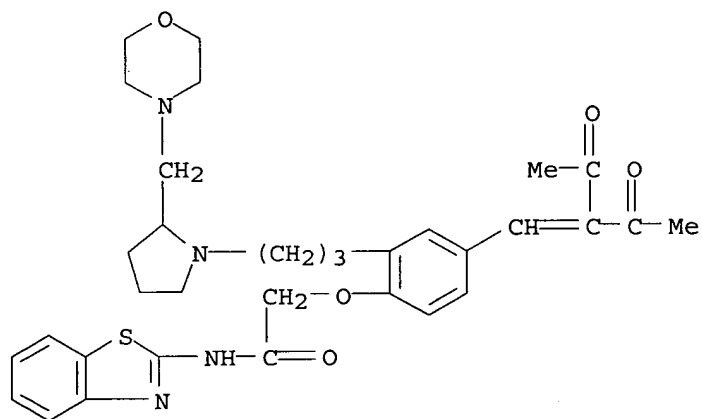
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]propyl]phenoxy]-N-2-benzothiazolyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



● 4 HCl

RN 215507-81-6 HCAPLUS

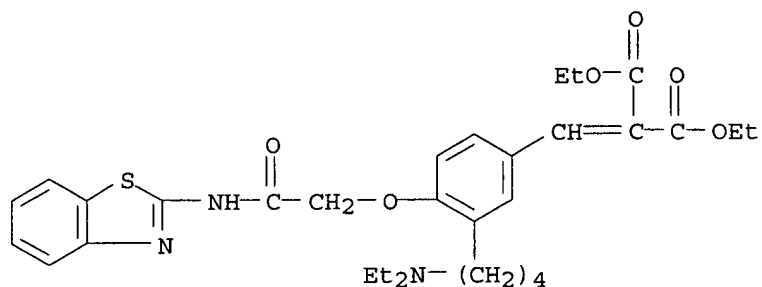
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[2-(4-morpholinylmethyl)-1-pyrrolidinyl]propyl]phenoxy]-N-2-benzothiazolyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 215507-82-7 HCAPLUS

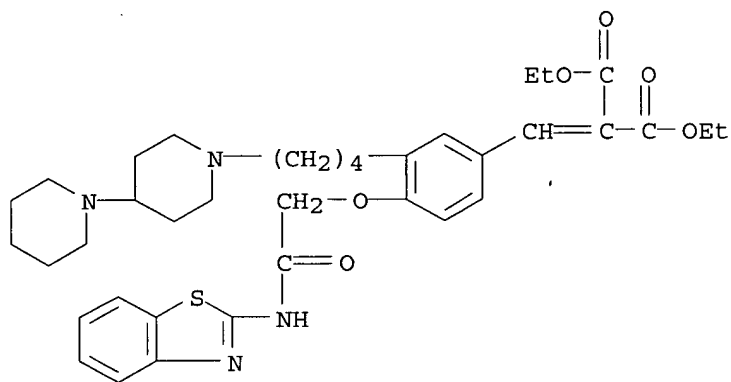
CN Propanedioic acid, [[4-[2-(2-benzothiazolylamino)-2-oxoethoxy]-3-[4-(diethylamino)butyl]phenyl]methylene]-, diethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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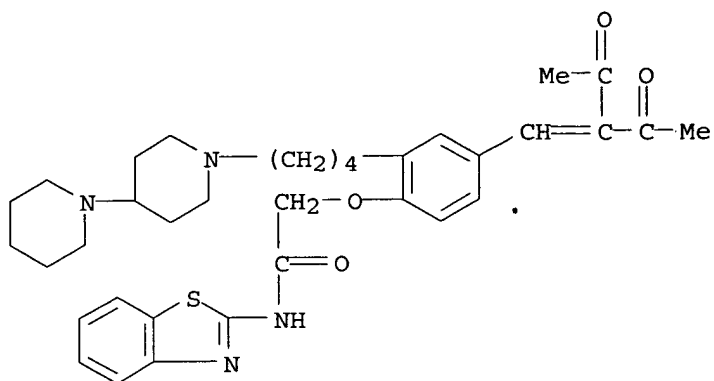
CN Propanedioic acid, [[4-[2-(2-benzothiazolylamino)-2-oxoethoxy]-3-(4-[1,4'-bipiperidin]-1'-ylbutyl)phenyl]methylene]-, diethyl ester, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 215507-85-0 HCAPLUS

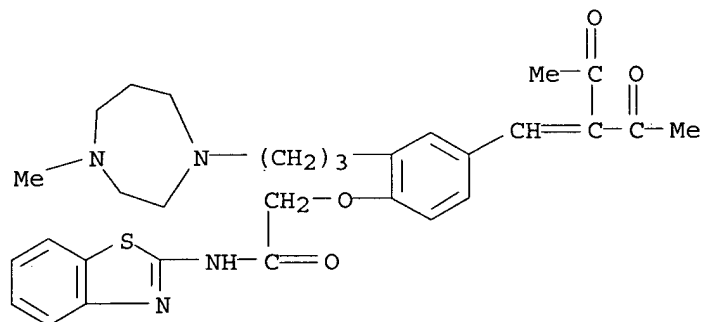
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-(4-[1,4'-bipiperidin]-1'-ylbutyl)phenoxy]-N-2-benzothiazolyl-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 215507-86-1 HCAPLUS

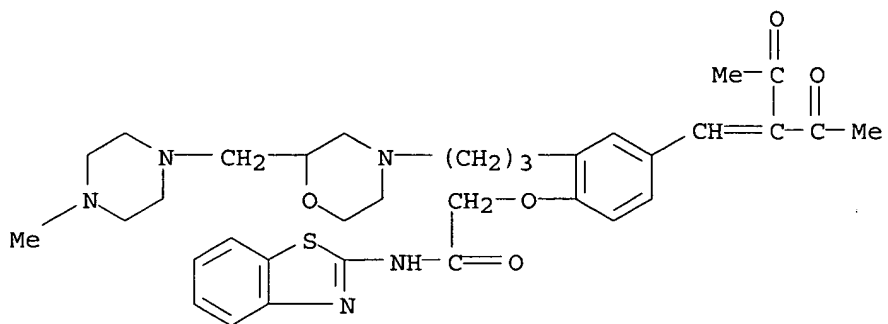
CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)propyl]phenoxy]-N-2-benzothiazolyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

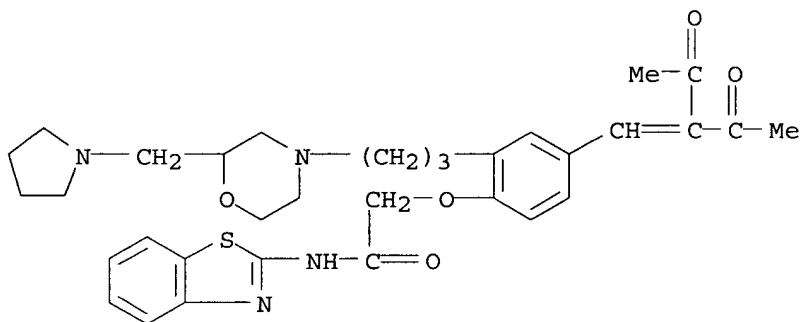
RN 215507-87-2 HCAPLUS

CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[3-[2-[(4-methyl-1-piperazinyl)methyl]-4-morpholinyl]propyl]phenoxy]-N-2-benzothiazolyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



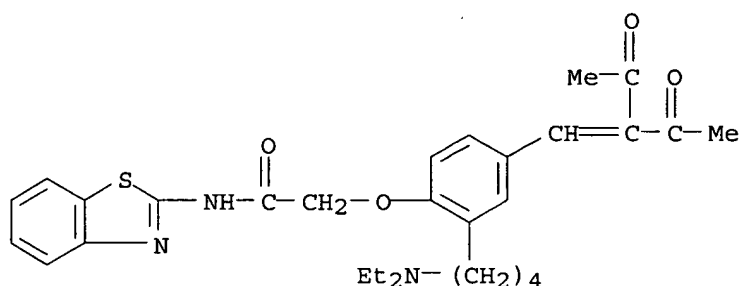
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● 2 HCl

RN 215507-89-4 HCAPLUS
 CN Acetamide, 2-[4-(2-acetyl-3-oxo-1-butenyl)-2-[4-(diethylamino)butyl]phenoxy]-N-2-benzothiazolyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

- IC ICM C07C233-18
ICS C07C235-80; C07C259-06; C07C325-00; C07D277-44; C07D277-82;
C07D403-12; C07D417-12; C07D417-14; C07D521-00; A61K031-425
- CC 25-1 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27, 28, 63
- IT Allergy inhibitors
Anti-Alzheimer's agents
Anti-ischemic agents
Antiarthritics
Antidiabetic agents
Antirheumatic agents
Antitumor agents
Autoimmune disease
(preparation of benzenes as protein kinase C inhibitors for
treatment of diseases)
- IT 215506-65-3P **215507-40-7P**
(preparation of benzenes as protein kinase C inhibitors for
treatment of diseases)
- IT 1620-53-7P, 2-(Benzoylmethyl)pyridine 37910-79-5P 46720-41-6P
52083-24-6P 58905-19-4P 58905-21-8P 58905-26-3P
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215504-09-9P 215504-14-6P 215504-15-7P
(preparation of benzenes as protein kinase C inhibitors for
treatment of diseases)

IT 215507-05-4P 215507-06-5P 215507-07-6P 215507-08-7P
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215507-88-3P **215507-89-4P** 215507-90-7P
 (preparation of benzenes as protein kinase C inhibitors for
 treatment of diseases)

L32 ANSWER 32 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:169451 HCAPLUS

DOCUMENT NUMBER: 128:230241

TITLE: Preparation of carbazole derivs. as selective
 β 3 adrenergic agonists

INVENTOR(S): Crowell, Thomas A.; Evrard, Deborah A.; Jones,
 Charles D.; Muehl, Brian S.; Rito, Christopher
 J.; Shuker, Anthony J.; Thorpe, Andrew J.;
 Thrasher, Kenneth J.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Crowell, Thomas
 A.; Evrard, Deborah A.; Jones, Charles D.;
 Muehl, Brian S.; Rito, Christopher J.; Shuker,
 Anthony J.; Thorpe, Andrew J.; Thrasher,
 Kenneth J.

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809625	A1	19980312	WO 1997-US15230	1997 0828

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W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE,
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 LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU,
 SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
 YU, ZW
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AT 215369	E	20020415	AT 1997-306613
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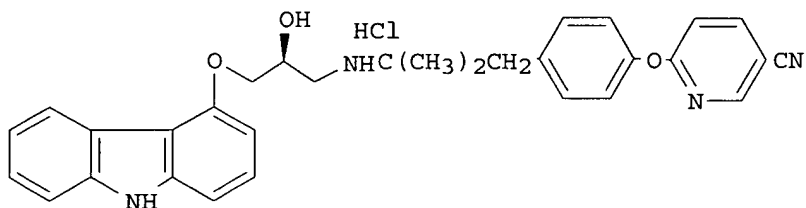
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OTHER SOURCE(S) :

MARPAT 128:230241

GI



AB Title compds. $R_1X_1CH(OH)CH_2N(R_3)C(R_5R_6)X_2X_3R_4$ I ($X_1 = OCH_2, SCH_2$, bond; $X_2 =$ bond, alkylene; $X_3 = O, S$, bond; $R_1 =$ fused heterocycle; $R_3 = H$, alkyl; $R_4 =$ (un)substituted heterocycle, naphthyl, etc.; $R_5 = H$, alkyl; $R_6 = H$, alkyl CO-O-alkyl; $R_5-R_6 =$ cycloalkyl; $R_6-X_2 =$ cycloalkyl; etc.) are prepared for selective β_3 receptor agonists which are useful in the treatment of Type II **diabetes** and obesity, comprising administering to mammal. The title compound II was prepared from (2S)-(+)-4-(oxiranylmethoxy)-9H-carbazole and 2-(4-(2-amino-2-methylpropyl)phenoxy)-5-pyridinecarbonitrile which was prepared from 2-fluoropyridine and 4-(2-amino-2-methylpropyl)phenol.

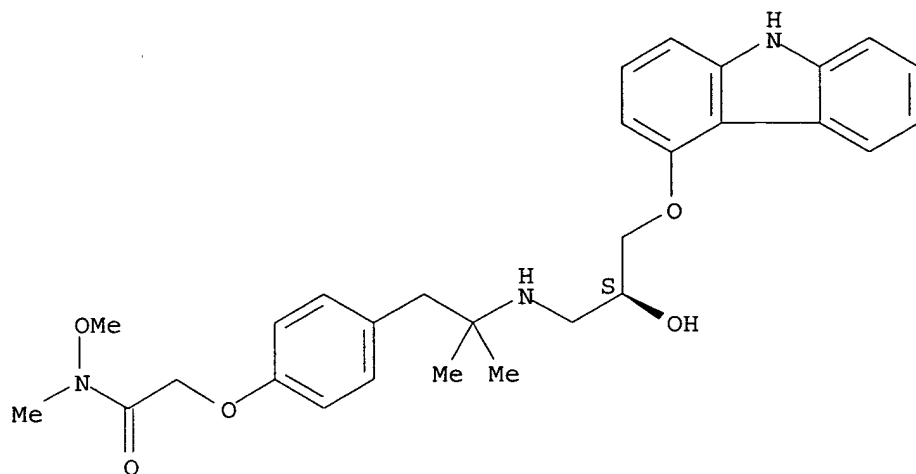
IT **204593-17-9P**

(preparation of carbazole derivs. as adrenergic agonists)

RN 204593-17-9 HCAPLUS

CN Acetamide, 2-[4-[2-[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-2-methylpropyl]phenoxy]-N-methoxy-N-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-40

ICS A61K031-44; C07D209-82; C07D209-88; C07D401-12

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

IT **Antidiabetic agents**

(preparation of carbazole derivs. as)

IT	95094-00-1P	204592-55-2P	204592-56-3P	204592-57-4P
	204592-58-5P	204592-59-6P	204592-61-0P	204592-62-1P
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	204637-55-8P	204773-65-9P		

(preparation of carbazole derivs. as adrenergic agonists)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L32 ANSWER 33 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:5623 HCAPLUS

DOCUMENT NUMBER: 104:5623

TITLE: Tertiary phenethylamines

INVENTOR(S): Berge, John; Hindley, Richard Mark

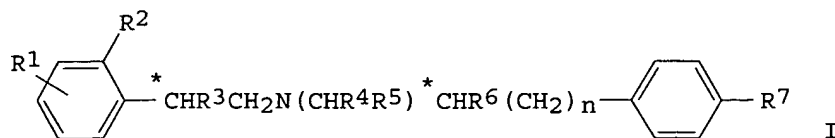
PATENT ASSIGNEE(S): Beecham Group PLC, UK

SOURCE: Eur. Pat. Appl., 44 pp.

DOCUMENT TYPE: CODEN: EPXXDW
 LANGUAGE: Patent
 English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 142102	A2	19850522	EP 1984-113089	1984 1030
EP 142102	A3	19860430	<--	
EP 142102	B1	19870923		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8434925	A1	19850509	AU 1984-34925	1984 1102
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JP 60112744	A2	19850619	JP 1984-232241	1984 1102
ZA 8408570	A	19850828	ZA 1984-8570	1984 1102
ES 537359	A1	19851216	ES 1984-537359	1984 1102
CA 1246083	A1	19881206	CA 1984-466978	1984 1102
ES 545776	A1	19860116	ES 1985-545776	1985 0731
ES 545777	A1	19860601	ES 1985-545777	1985 0731
US 4803293	A	19890207	US 1987-17002	1987 0218
PRIORITY APPLN. INFO.:			GB 1983-29490	A 1983 1104
			GB 1983-34294	A 1983 1222
			US 1984-667757	A1 1984 1102

OTHER SOURCE(S): MARPAT 104:5623
GI



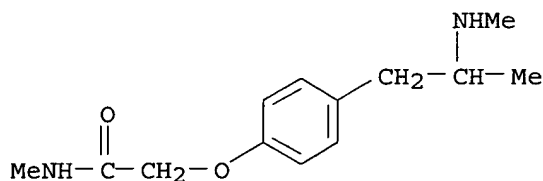
AB Phenethyl amines I [R1 = H, halo, CF3; R2 = H, halo; R3 = OH, alkoxy, amino; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, Me; R7 = (esters and amides of) CO2H, carboxyalkyloxy, (un)substituted alkoxy; the asterisks indicate potential optically active centers; n = 1, 2], useful as antihyperglycemic and antiobesity agents, were prepared. Thus, (RR,SS)-3-ClC6H4C6H4CH(OH)NR8CHMeC6H4(OCH2CO2Me)-4 (II; R8 = H) was N-alkylated with BrCH2CH2OH to give II (R8 = CH2CH2OH) (III). At 22.9 mg/kg orally, III increased the energy expenditure of mice by 167% during a 3 h period. At 0.5 μ mol/kg orally in mice, III reduced blood glucose by 52% during a 2 h period following administration of glucose s.c.

IT 99386-66-0P 99386-67-1P

(preparation and alkylation of, with bromoacetophenone)

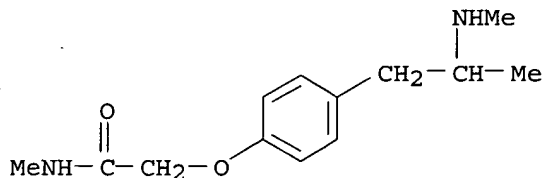
RN 99386-66-0 HCAPLUS

CN Acetamide, N-methyl-2-[4-[2-(methylamino)propyl]phenoxy]- (9CI)
(CA INDEX NAME)



RN 99386-67-1 HCAPLUS

CN Acetamide, N-methyl-2-[4-[2-(methylamino)propyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



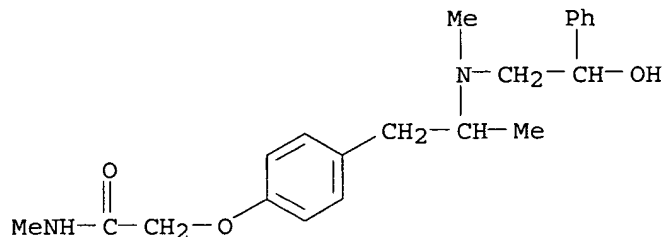
● HCl

IT 99386-50-2P 99404-59-8P

(preparation and hypoglycemic and antiobesity activity of)

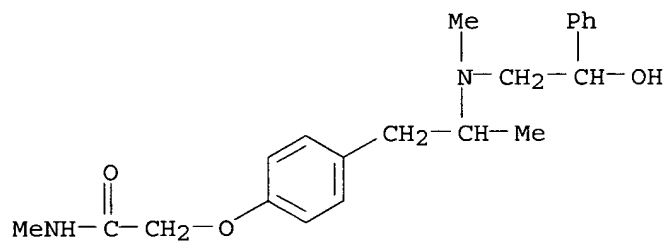
RN 99386-50-2 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-hydroxy-2-phenylethyl)methylamino]propyl]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 99404-59-8 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-hydroxy-2-phenylethyl)methylamino]propyl]phenoxy]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



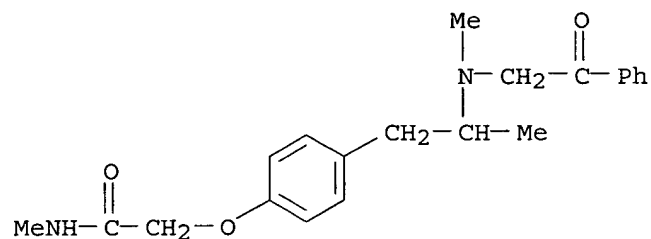
● HCl

IT 99386-65-9P

(preparation and reduction of)

RN 99386-65-9 HCAPLUS

CN Acetamide, N-methyl-2-[4-[2-[methyl(2-oxo-2-phenylethyl)amino]propyl]phenoxy]- (9CI) (CA INDEX NAME)



IC ICM C07C101-12

ICS C07C101-18; C07C101-30; C07C103-78; C07C099-00; C07D295-12

CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT Antidiabetics and Hypoglycemics

Appetite depressants and Antiobesity agents
(tertiary phenthylamine derivs.)

IT 99386-66-0P 99386-67-1P
(preparation and alkylation of, with bromoacetophenone)

IT 99386-28-4P 99386-32-0P 99386-33-1P 99386-34-2P
99386-35-3P 99386-36-4P 99386-37-5P 99386-38-6P
99386-39-7P 99386-40-0P 99386-41-1P 99386-42-2P
99386-43-3P 99386-44-4P 99386-48-8P 99386-49-9P
99386-50-2P 99386-51-3P 99386-52-4P 99386-53-5P
99386-54-6P 99386-55-7P 99386-56-8P 99386-57-9P
99386-58-0P 99386-59-1P 99386-60-4P 99386-61-5P
99386-63-7P 99386-64-8P 99386-68-2P 99386-69-3P
99386-70-6P 99386-71-7P 99386-72-8P 99386-73-9P
99397-34-9P 99404-59-8P 99404-60-1P
(preparation and hypoglycemic and antiobesity activity of)

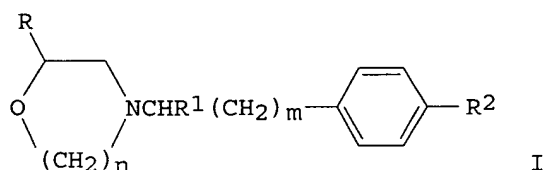
IT 99386-65-9P
(preparation and reduction of)

L32 ANSWER 34 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:523489 HCAPLUS
DOCUMENT NUMBER: 103:123489
TITLE: Morpholine derivatives
INVENTOR(S): Cantello, Barrie Christian Charles
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 140359	A1	19850508	EP 1984-113014	1984 1029
EP 140359	B1	19890125	<--	
R: CH, DE, FR, GB, IT, LI, NL				
US 4607033	A	19860819	US 1984-666818	1984 1031
JP 60112778	A2	19850619	JP 1984-231130	1984 1101
JP 06004604	B4	19940119	<--	
US 4665072	A	19870512	US 1986-865348	1986 0521
US 4783460	A	19881108	US 1987-26893	1987 0317
PRIORITY APPLN. INFO.:			GB 1983-29247	A 1983 1102

<--
 GB 1984-4047 A 1984
 0216
 <--
 US 1984-666818 A3 1984
 1031
 <--
 US 1986-865348 A3 1986
 0521
 <--

OTHER SOURCE(S): MARPAT 103:123489
 GI



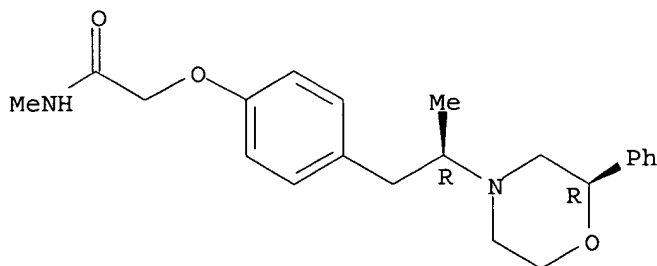
AB Morpholines and perhydrooxazepines I [$n = 2, 3$; $R = \text{Ph}$, halophenyl, (trifluoromethyl)phenyl, 2-benzofuryl; $R_1 = \text{H}$, Me; $m = 1, 2$; $R_2 = \text{CO}_2\text{H}$, esterified CO_2H , carbamoyl, carboxyalkoxy, esterified carboxyalkoxy, carbamoylalkoxy, aminoalkoxy, hydroxyalkoxy, alkoxyalkoxy], which were prepared, exhibited **antidiabetic** activity. 2-Phenylmorpholine was stirred with 4-(MeCOCH_2) $\text{C}_6\text{H}_4\text{OCH}_2\text{CO}_2\text{Me}$ and $\text{NaB}(\text{CN})\text{H}_3$ in MeOH, and the mixture was worked up to give I ($n = 2$, $R = \text{Ph}$, $R_1 = \text{Me}$, $m = 1$, $R_2 = \text{OCH}_2\text{CO}_2\text{Me}$).

IT 98235-44-0P 98235-45-1P 98235-56-4P
 98235-60-0P 98235-61-1P
 (preparation and **antidiabetic** activity of)

RN 98235-44-0 HCAPLUS

CN Acetamide, N-methyl-2-[4-[2-(2-phenyl-4-morpholinyl)propyl]phenoxy]-, monohydrochloride, (R^*, R^*)- (9CI)
 (CA INDEX NAME)

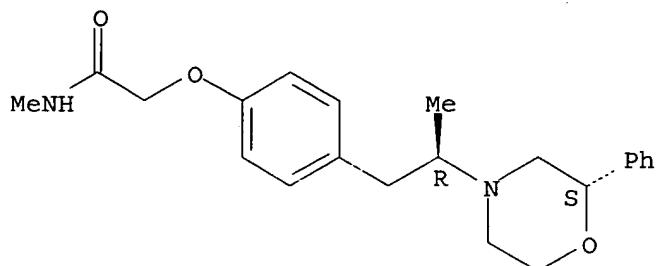
Relative stereochemistry.



● HCl

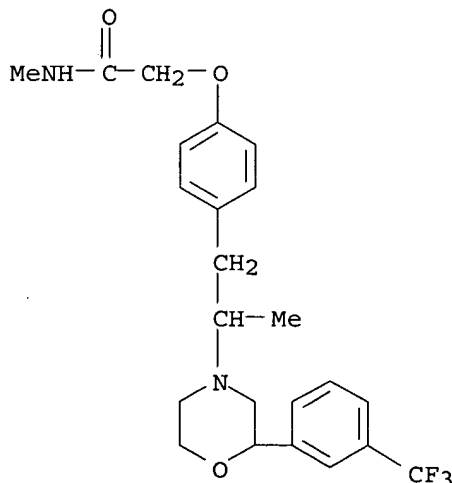
RN 98235-45-1 HCAPLUS
 CN Acetamide, N-methyl-2-[4-[2-(2-phenyl-4-morpholinyl)propyl]phenoxy]-, monohydrochloride, (R*,S*)- (9CI)
 (CA INDEX NAME)

Relative stereochemistry.



● HCl

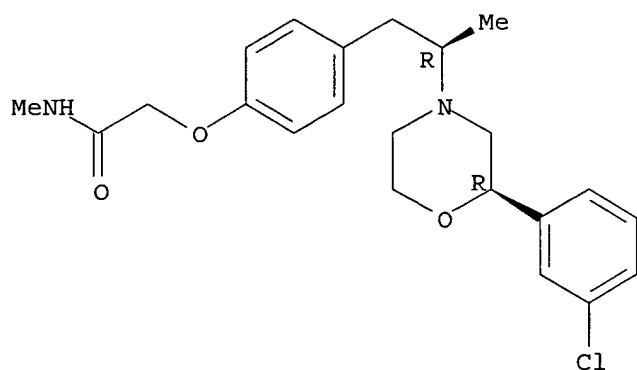
RN 98235-56-4 HCAPLUS
 CN Acetamide, N-methyl-2-[4-[2-[2-[3-(trifluoromethyl)phenyl]-4-morpholinyl]propyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 98235-60-0 HCAPLUS
 CN Acetamide, 2-[4-[2-[2-(3-chlorophenyl)-4-morpholinyl]propyl]phenoxy]-N-methyl-, dihydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

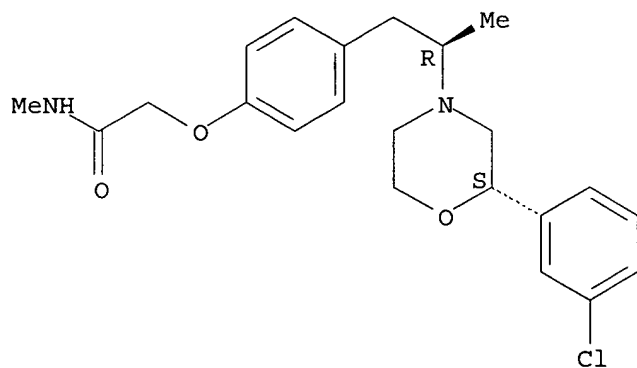


● 2 HCl

RN 98235-61-1 HCAPLUS

CN Acetamide, 2-[4-[2-[2-(3-chlorophenyl)-4-morpholinyl]propyl]phenoxy]-N-methyl-, dihydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



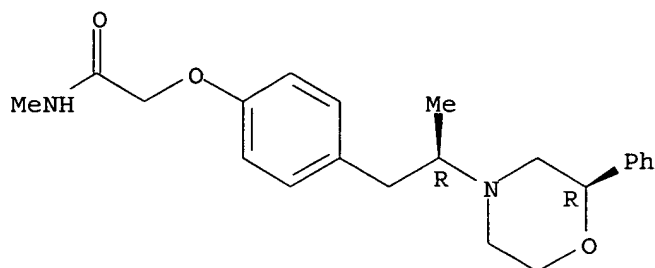
● 2 HCl

IT 98235-46-2P 98235-47-3P
(preparation of)

RN 98235-46-2 HCAPLUS

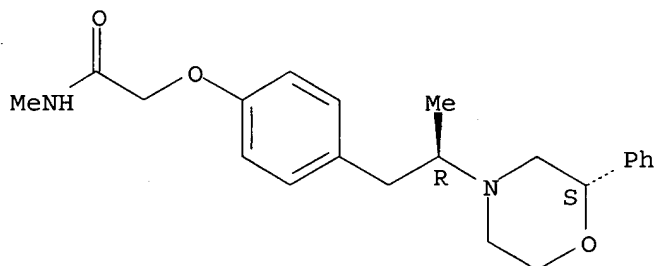
CN Acetamide, N-methyl-2-[4-[2-(2-phenyl-4-morpholinyl)propyl]phenoxy]-, (R*,R*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 98235-47-3 HCAPLUS
 CN Acetamide, N-methyl-2-[4-[2-(2-phenyl-4-morpholinyl)propyl]phenoxy]-, (R*,S*)- (9CI) (CA INDEX NAME)

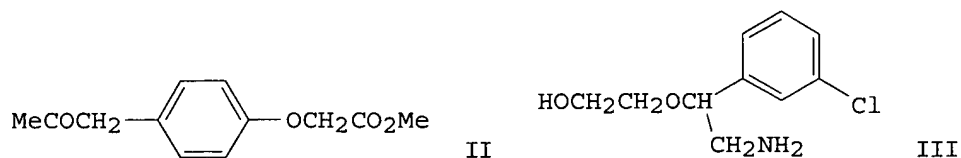
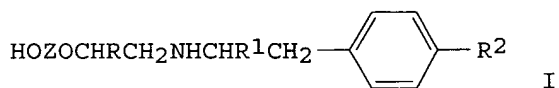
Relative stereochemistry.



IC ICM C07D265-30
 ICS C07D267-10; C07D413-04; A61K031-535; A61K031-55
 CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
 ST morpholine phenylisopropyl prepn **antidiabetic**;
 phenylisopropylmorpholine prepn **antidiabetic**
 IT **Antidiabetics** and Hypoglycemics
 IT 98235-40-6P 98235-41-7P **98235-44-0P**
98235-45-1P 98235-48-4P 98235-49-5P 98235-52-0P
98235-56-4P 98235-58-6P 98235-59-7P
98235-60-0P **98235-61-1P** 98235-62-2P
 98235-63-3P 98235-66-6P 98235-67-7P 98235-72-4P
 (preparation and **antidiabetic** activity of)
 IT 98235-42-8P 98235-43-9P **98235-46-2P**
98235-47-3P 98235-50-8P 98235-51-9P 98235-54-2P
 98235-64-4P 98235-65-5P 98235-69-9P 98235-70-2P
 98235-73-5P
 (preparation of)

L32 ANSWER 35 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1985:504687 HCAPLUS
 DOCUMENT NUMBER: 103:104687
 TITLE: 2-Phenylethylamine derivatives
 PATENT ASSIGNEE(S): Beecham Group PLC, UK
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO. -----	KIND ---	DATE -----	APPLICATION NO. -----	DATE
JP 60067450	A2	19850417	JP 1984-170108	1984 0816
EP 139921	A1	19850508	<-- EP 1984-109391	1984 0808
EP 139921 R: BE, CH, DE, FR, GB, IT, LI, NL, SE	B1	19870325	<--	
AU 8431944	A1	19850221	AU 1984-31944	1984 0815
ZA 8406331	A	19850731	<-- ZA 1984-6331	1984 0815
US 4692465	A	19870908	<-- US 1984-640850	1984 0815
ES 535226	A1	19851101	<-- ES 1984-535226	1984 0816
PRIORITY APPLN. INFO.:			<-- GB 1983-22137	A 1983 0817
			<-- GB 1983-34293	A 1983 1222
OTHER SOURCE(S): GI		MARPAT 103:104687	<--	



AB Phenylethylamine derivs. (I; R = aryl, 2-benzofuryl; R¹ = H, Me; R² = HOCH₂CH₂O, MeNHCH₂CH₂O, MeO₂CCH₂O, H₂NCOCH₂O; etc.; Z = alkylene), effective hypoglycemics at 2.5-25.0 μmol/kg in mice

s.c., were prepared Thus, a mixture of 4.4 g II, 4.3 g III, and 50 mg Pt oxide in MeOH was treated with H, passed through kieselguhr, distilled in vacuo, and treated with HCl-Et₂O to give a mixture of 56:44 diastereomeric I.HCl (R = 3-ClC₆H₄, R₁ = Me, R₂ = MeO₂CCH₂O).

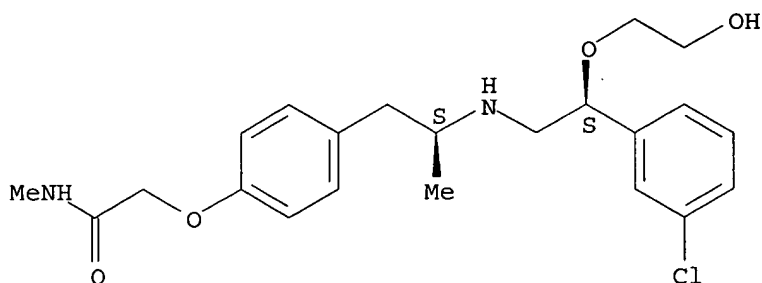
IT 97967-50-5P

(preparation and hypoglycemic activity of)

RN 97967-50-5 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-(2-hydroxyethoxy)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

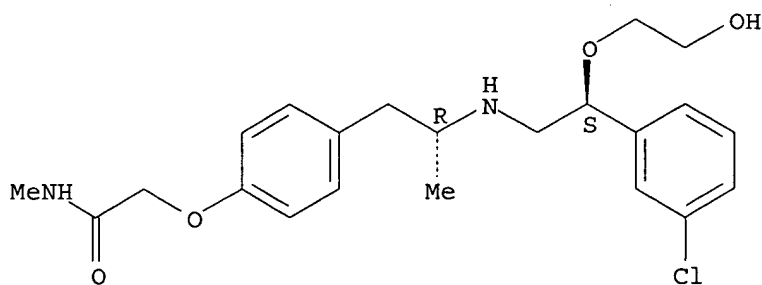
IT 97967-62-9P 97967-72-1P 97967-73-2P

(preparation of)

RN 97967-62-9 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-(2-hydroxyethoxy)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

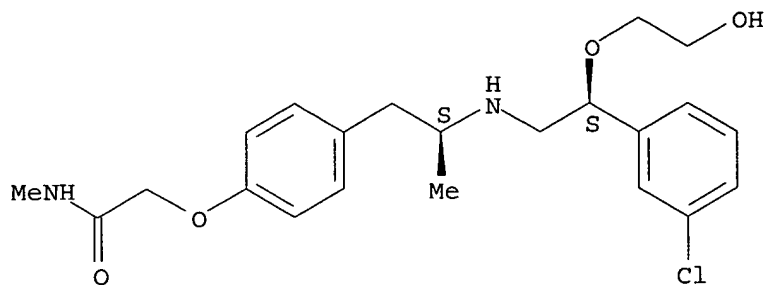


● HCl

RN 97967-72-1 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-(2-hydroxyethoxy)ethyl]amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

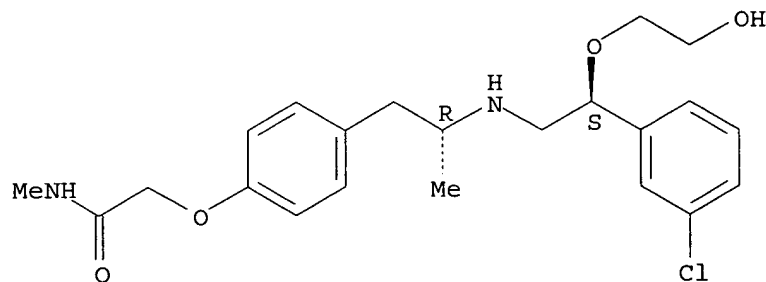
Relative stereochemistry.



RN 97967-73-2 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-(2-hydroxyethoxy)ethyl]amino]propyl]phenoxy]-N-methyl-, (R*,S*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



IC ICM C07C093-04

ICS A61K031-135; A61K031-165; A61K031-195; A61K031-215;
A61K031-34; C07C093-14; C07D295-08; C07D307-81

CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT **Antidiabetics** and Hypoglycemics
(phenylethylamine derivs.)

IT 97967-49-2P **97967-50-5P** 97967-51-6P 97967-52-7P
97967-53-8P 97967-54-9P 97967-55-0P 97967-56-1P
97967-57-2P

(preparation and hypoglycemic activity of)

IT 97967-30-1P 97967-31-2P 97967-32-3P 97967-58-3P
97967-59-4P 97967-60-7P 97967-61-8P **97967-62-9P**
97967-63-0P 97967-64-1P 97967-65-2P 97967-66-3P
97967-67-4P 97967-68-5P 97967-69-6P 97967-70-9P
97967-71-0P **97967-72-1P 97967-73-2P**
97967-74-3P 97967-75-4P 97967-76-5P 97967-77-6P
97967-78-7P 97967-79-8P 97967-80-1P 97967-81-2P
97967-82-3P 97985-45-0P

(preparation of)

L32 ANSWER 36 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:148865 HCAPLUS

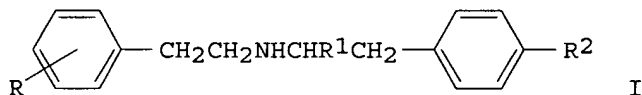
DOCUMENT NUMBER: 102:148865

TITLE: Pharmaceutically active 2-phenylethylamine derivatives

INVENTOR(S): Cantello, Barrie Christian Charles; Hindley,

PATENT ASSIGNEE(S): Richard Mark
 SOURCE: Beecham Group PLC, UK
 PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	
WO 8404091	A1	19841025	WO 1984-GB101	1984 0327
			<--	
W: GB, JP, US RW: CH, DE, FR, GB, NL EP 140922	A1	19850515	EP 1984-901385	1984 0327
			<--	
R: CH, DE, FR, GB, LI, NL PRIORITY APPLN. INFO.:			GB 1983-10556	A 1983 0419
			<--	
OTHER SOURCE(S): GI		MARPAT 102:148865		

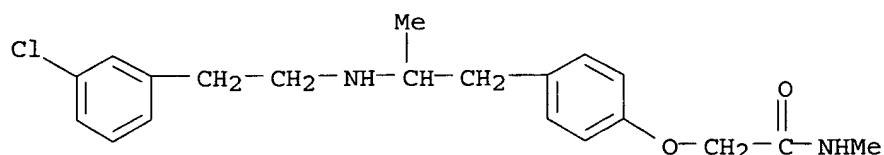


AB Amines I (R = H, halo, CF₃; R₁ = H, Me; R₂ = ω-carboxyalkoxy, ω-hydroxy-, ω-alkoxy-, or ω-aminoalkoxy), which were prepared and showed **antidiabetic** activity. The reductive N-alkylation of 3-ClC₆H₄CH₂CH₂NH₂ by 4-(MeCOCH₂)C₆H₄OCH₂CO₂Me gave I (R = 3-Cl, R₁ = Me, R₂ = OCH₂CO₂Me).

IT 95825-83-5P
 (preparation and **antidiabetic** activity of)

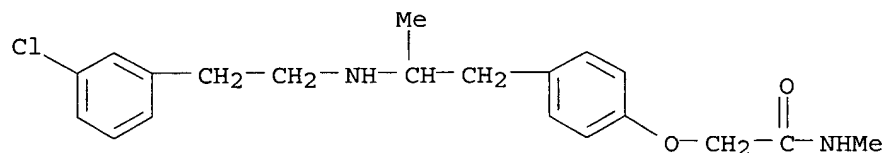
RN 95825-83-5 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **95825-84-6P**
 (preparation and reduction of)
 RN 95825-84-6 HCAPLUS
 CN Acetamide, 2-[[4-[[2-[(3-chlorophenyl)ethyl]amino]propyl]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



IC C07C093-14; C07C103-34; A61K031-13; A61K031-19; A61K031-22;
 A61K031-16
 CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1
 ST phenethylamine phenylisopropyl prepn **antidiabetic**;
antidiabetic phenylisopropylphenethylamine prepn
 IT **Antidiabetics** and Hypoglycemics
 (N-(phenylisopropyl)phenethylamines)
 IT 95825-81-3P **95825-83-5P** 95825-85-7P
 (preparation and **antidiabetic** activity of)
 IT **95825-84-6P**
 (preparation and reduction of)

L32 ANSWER 37 OF 38 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1984:406799 HCAPLUS
 DOCUMENT NUMBER: 101:6799
 TITLE: 2-Aminoethyl ether derivatives, and their
 pharmaceutical compositions
 INVENTOR(S): Cantello, Barrie Christian Charles
 PATENT ASSIGNEE(S): Beecham Group PLC, UK
 SOURCE: Eur. Pat. Appl., 87 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	
EP 99707	A1	19840201	EP 1983-303983	1983

0708

EP 99707 B1 19861210
 R: BE, CH, DE, FR, GB, IT, LI, NL, SE
 AU 8316826 A1 19840223 AU 1983-16826

1983
0714

AU 557743 B2 19870108
 ZA 8305126 A 19840627 ZA 1983-5126

1983
0714

US 4629737 A 19861216 US 1983-513869

1983
0714

CA 1253870 A1 19890509 CA 1983-432465

1983
0714

JP 59031740 A2 19840220 JP 1983-128035

1983
0715

ES 524174 A1 19841116 ES 1983-524174

1983
0715

PRIORITY APPLN. INFO.:

GB 1982-20645

A

1982
0716

GB 1982-28753

A

1982
1007

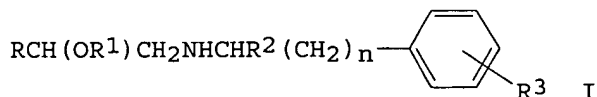
GB 1982-35672

A

1982
1215

OTHER SOURCE(S):
 GI

MARPAT 101:6799



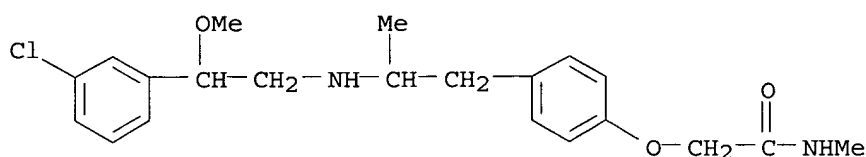
AB Amines I [R = Ph, alkyl-, halo-, or (trifluoromethyl)phenyl, PhOCH₂, 2-benzofuryl; R¹ = alkyl, phenylalkyl; R² = H, Me; n = 1, 2; R³ = CO₂H, carboxyalkyl, carboxyalkenyl, hydroxyalkyl, hydroxyalkenyl, aminoalkyl, aminoalkenyl, alkoxy, alkylthio, alkylamino, hydroxyalkoxy, hydroxyalkylthio, hydroxyalkylamino, aminoalkoxy, aminoalkylthio, aminoalkylamino, Z₁CO₂H (Z = O, S, NH; Z₁ = alkylene, alkenylene)] were prepared, and they exhibited antidiabetic activity. A mixture of 4-

(MeCOCH₂)C₆H₄OCH₂CO₂Me and 3-ClC₆H₄CH(OMe)CH₂NH₂ in PhMe was refluxed 2 h, and the mixture was treated with Pt and H₂ to give I (R = 3-ClC₆H₄, R₁ = R₂ = Me, n = 1, R₃ = 4-OCH₂CO₂Me). Some I also showed antiinflammatory activity and inhibited blood platelet aggregation.

IT 90469-03-7P 90469-11-7P 90469-12-8P
 90469-17-3P 90469-18-4P 90469-30-0P
 90469-31-1P 90469-32-2P 90469-33-3P
 90469-42-4P 90469-43-5P 90469-50-4P
 90469-51-5P 90469-66-2P 90469-67-3P
 90469-70-8P 90469-71-9P 90469-93-5P
 90469-94-6P 90470-10-3P 90470-11-4P
 90470-31-8P 90470-34-1P 90470-35-2P
 90470-40-9P 90470-41-0P 90470-42-1P
 90470-44-3P 90470-45-4P
 (preparation and antidiabetic activity of)

RN 90469-03-7 HCAPLUS

CN Acetamide, 2-[4-[2-[2-(3-chlorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

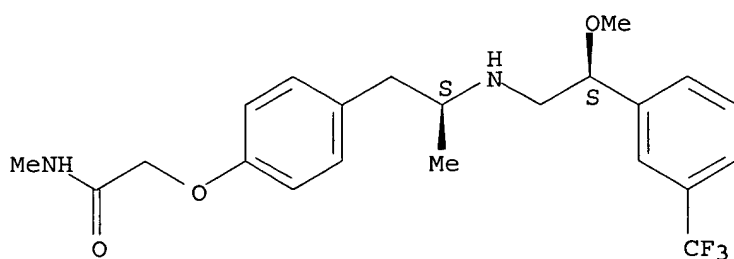


● HCl

RN 90469-11-7 HCAPLUS

CN Acetamide, 2-[4-[2-[2-[2-methoxy-2-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

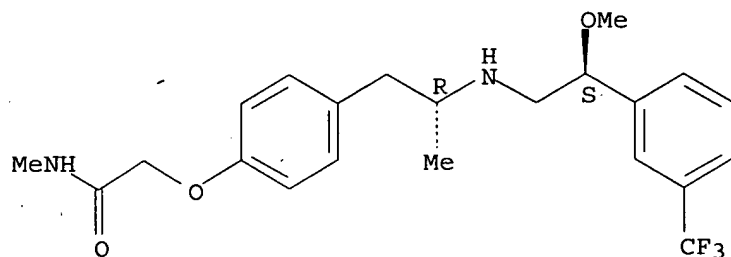


● HCl

RN 90469-12-8 HCAPLUS

CN Acetamide, 2-[4-[2-[2-[2-methoxy-2-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

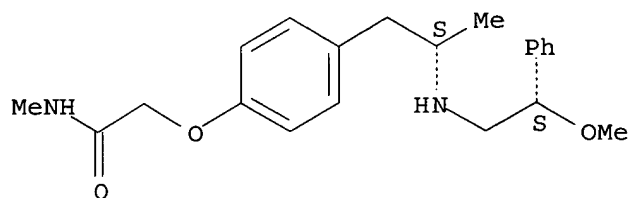


● HCl

RN 90469-17-3 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-methoxy-2-phenylethyl)amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

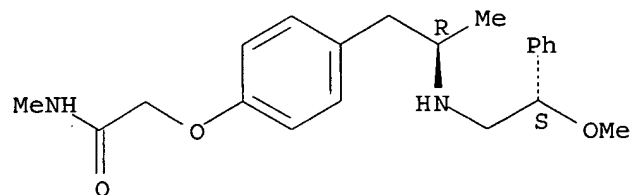


● HCl

RN 90469-18-4 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-methoxy-2-phenylethyl)amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

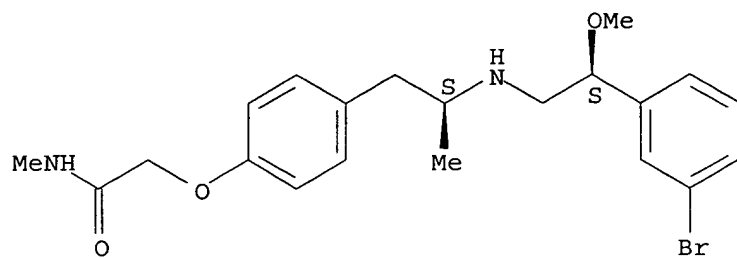


● HCl

RN 90469-30-0 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-bromophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

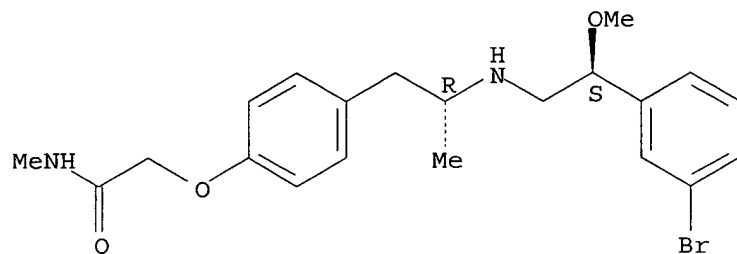
Relative stereochemistry.



● HCl

RN 90469-31-1 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(3-bromophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

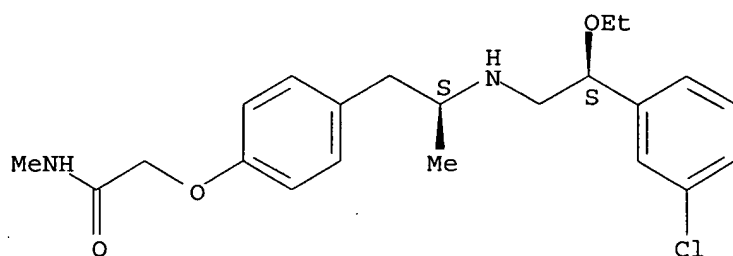
Relative stereochemistry.



● HCl

RN 90469-32-2 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-ethoxyethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

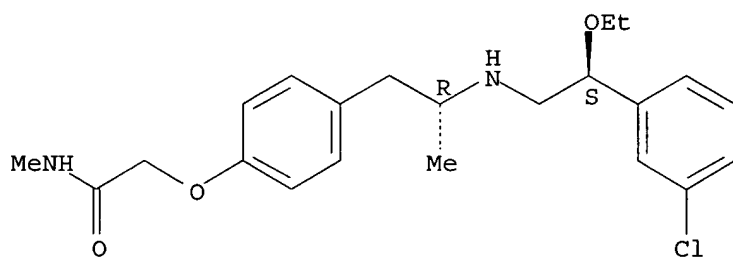


● HCl

RN 90469-33-3 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-ethoxyethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

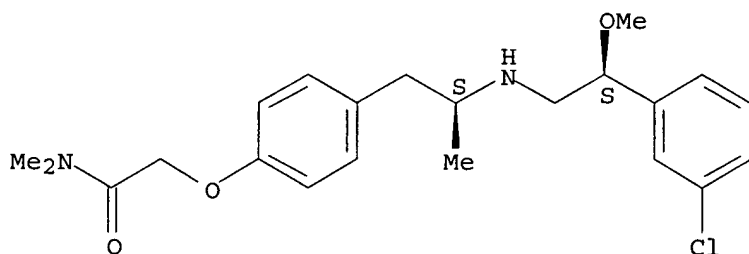


● HCl

RN 90469-42-4 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N,N-dimethyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

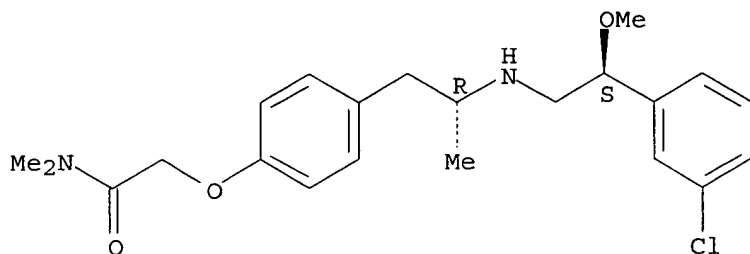


● HCl

RN 90469-43-5 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N,N-dimethyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

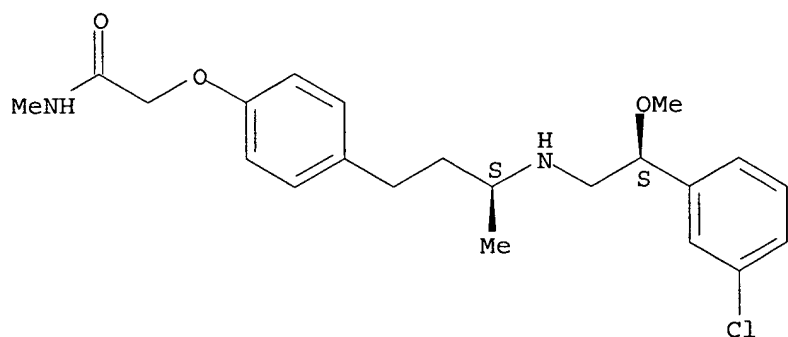


● HCl

RN 90469-50-4 HCAPLUS

CN Acetamide, 2-[4-[3-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]butyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

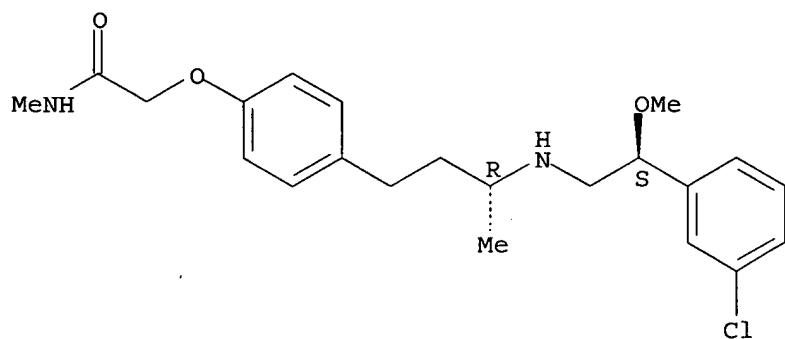


● HCl

RN 90469-51-5 HCAPLUS

CN Acetamide, 2-[4-[3-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]butyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

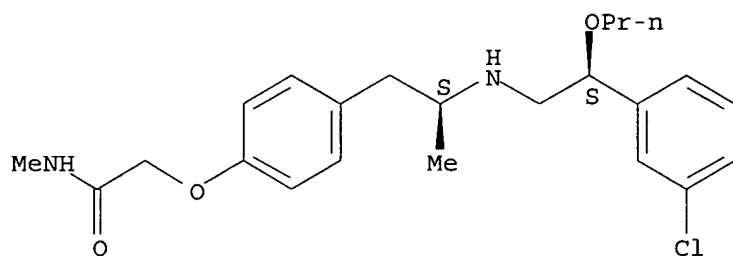
Relative stereochemistry.



● HCl

RN 90469-66-2 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-propoxyethyl]amino]propyl]phenoxy]-N-methyl-, dihydrochloride,
 (R*,R*)- (9CI) (CA INDEX NAME)

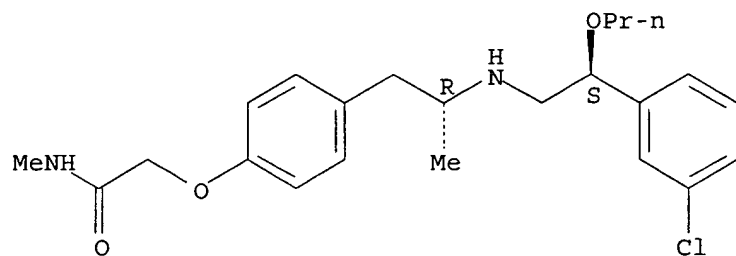
Relative stereochemistry.



● 2 HCl

RN 90469-67-3 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-propoxyethyl]amino]propyl]phenoxy]-N-methyl-, dihydrochloride,
 (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

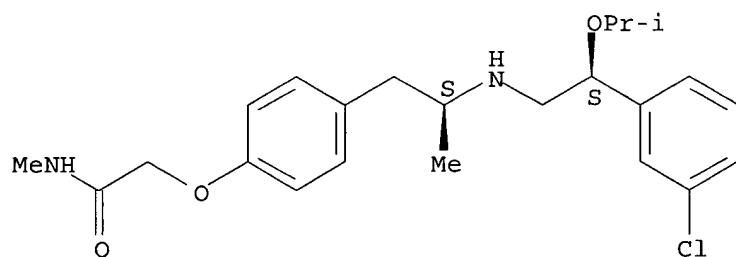


●2 HCl

RN 90469-70-8 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-(1-methylethoxy)ethyl]amino]propyl]phenoxy]-N-methyl-, dihydrochloride, (R*,R*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

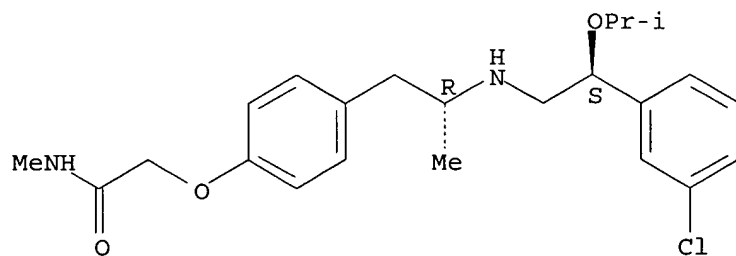


●2 HCl

RN 90469-71-9 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-(1-methylethoxy)ethyl]amino]propyl]phenoxy]-N-methyl-, dihydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

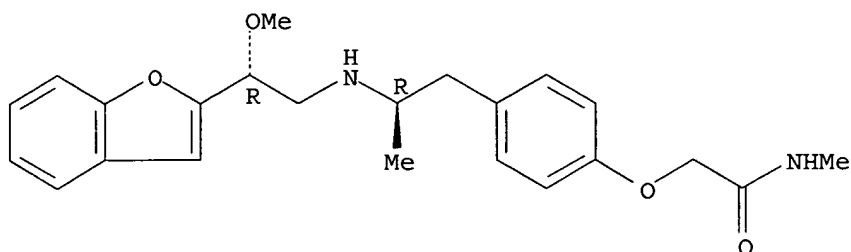
Relative stereochemistry.



●2 HCl

RN 90469-93-5 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(2-benzofuranyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, dihydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

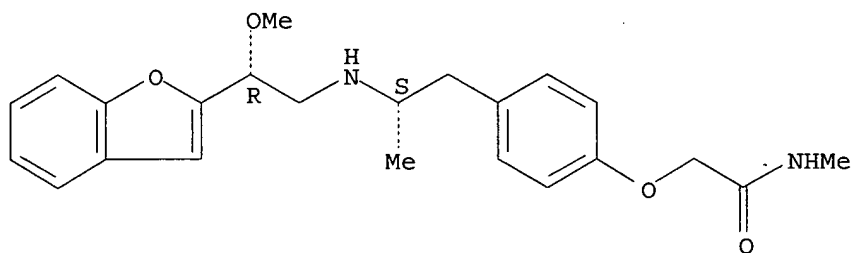
Relative stereochemistry.



● 2 HCl

RN 90469-94-6 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(2-benzofuranyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, dihydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

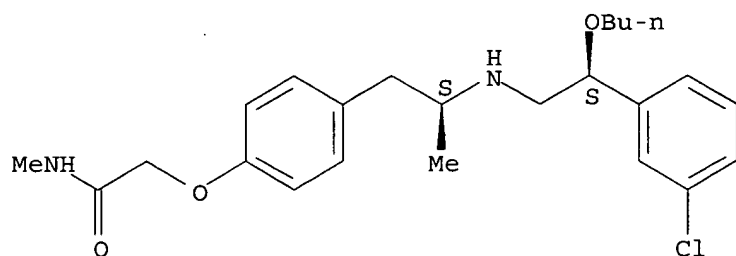
Relative stereochemistry.



● 2 HCl

RN 90470-10-3 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-butoxy-2-(3-chlorophenyl)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

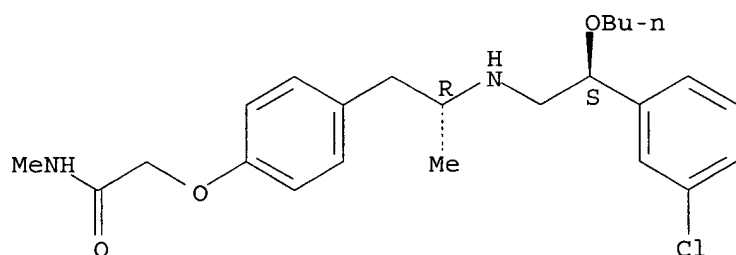


● HCl

RN 90470-11-4 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-butoxy-2-(3-chlorophenyl)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

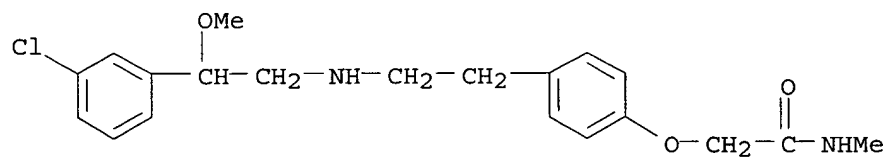
Relative stereochemistry.



● HCl

RN 90470-31-8 HCAPLUS

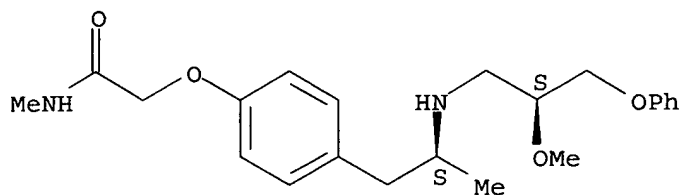
CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]ethyl]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 90470-34-1 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-methoxy-3-phenoxypropyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

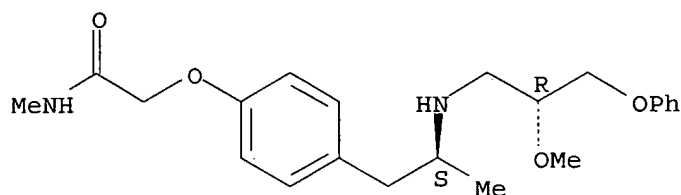


● HCl

RN 90470-35-2 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-methoxy-3-phenoxypropyl)amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

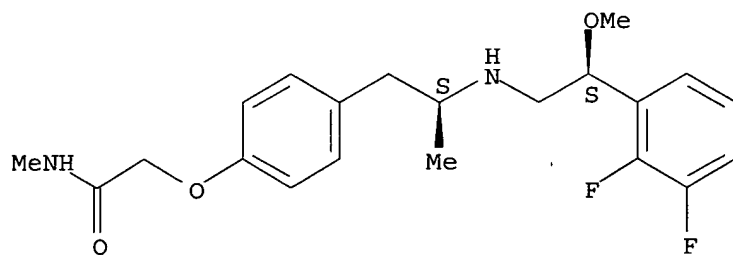


● HCl

RN 90470-40-9 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(2,3-difluorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

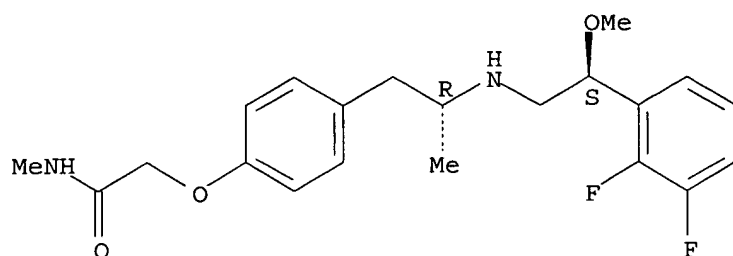
Relative stereochemistry.



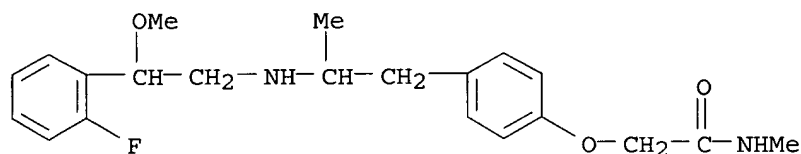
RN 90470-41-0 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(2,3-difluorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



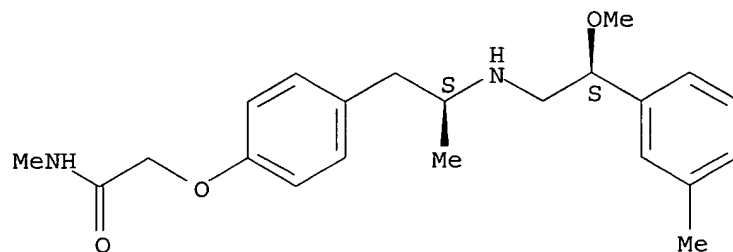
RN 90470-42-1 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(2-fluorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 90470-44-3 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-methoxy-2-(3-methylphenyl)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

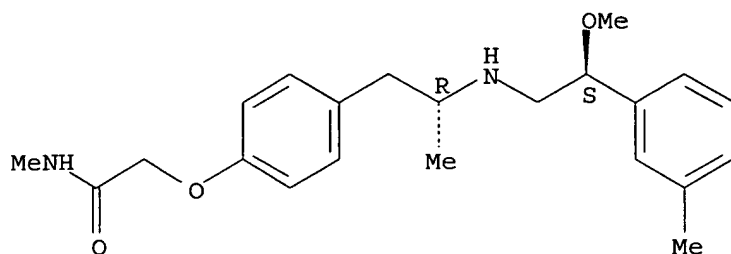
Relative stereochemistry.



● HCl

RN 90470-45-4 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-methoxy-2-(3-methylphenyl)ethyl]amino]propyl]phenoxy]-N-methyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

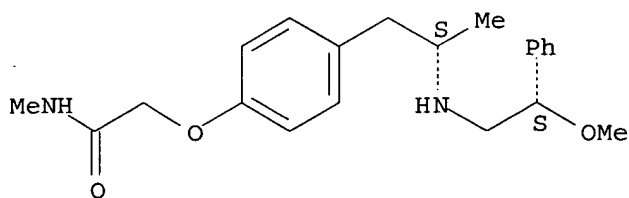
Relative stereochemistry.



● HCl

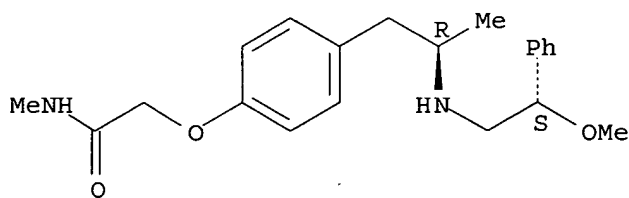
IT 90469-24-2P 90469-25-3P
 (preparation and hydride reduction of)
 RN 90469-24-2 HCAPLUS
 CN Acetamide, 2-[4-[2-[(2-methoxy-2-phenylethyl)amino]propyl]phenoxy]-
 N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



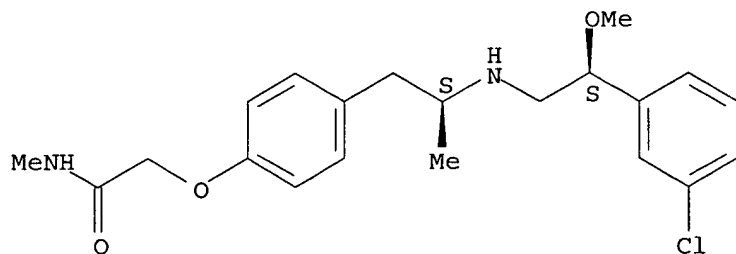
RN 90469-25-3 HCAPLUS
 CN Acetamide, 2-[4-[2-[(2-methoxy-2-phenylethyl)amino]propyl]phenoxy]-
 N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 90469-09-3P 90469-10-6P 90469-36-6P
 90469-37-7P 90469-40-2P 90469-41-3P
 90469-55-9P 90470-75-0P 90470-76-1P
 90486-20-7P
 (preparation and reduction of, by borane)
 RN 90469-09-3 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-
 methoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA
 INDEX NAME)

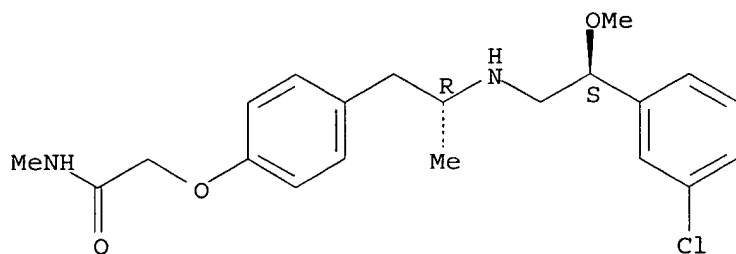
Relative stereochemistry.



RN 90469-10-6 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

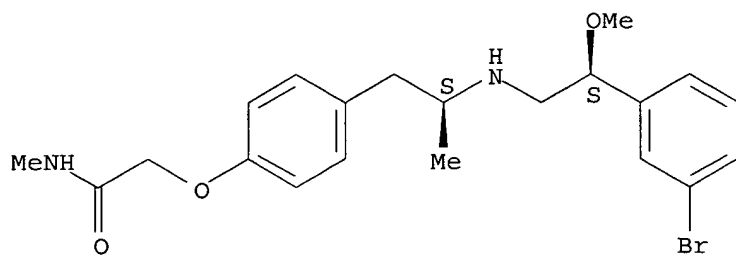
Relative stereochemistry.



RN 90469-36-6 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-bromophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

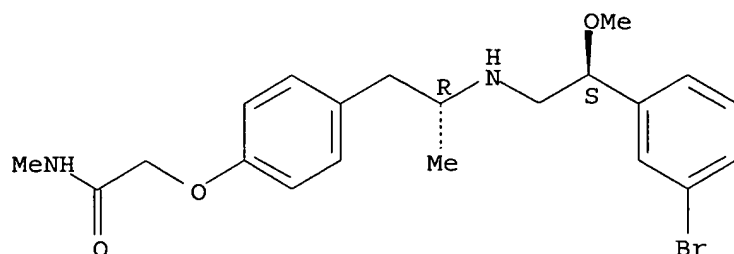
Relative stereochemistry.



RN 90469-37-7 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-bromophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

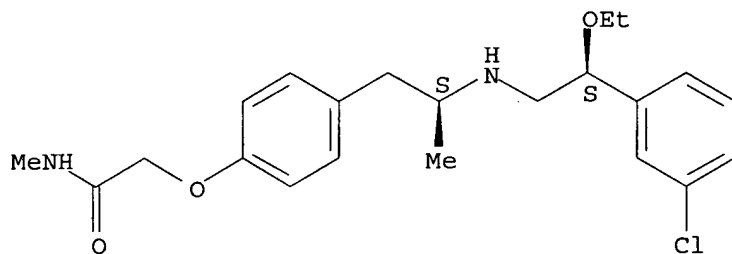
Relative stereochemistry.



RN 90469-40-2 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-ethoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

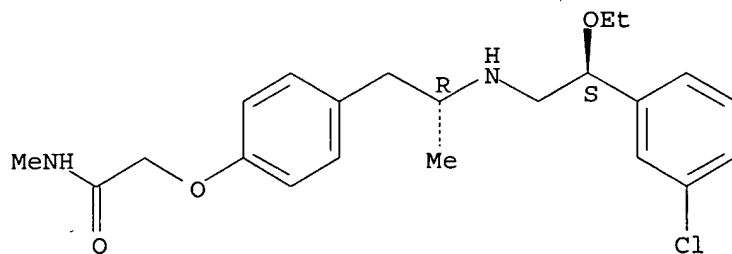
Relative stereochemistry.



RN 90469-41-3 HCAPLUS

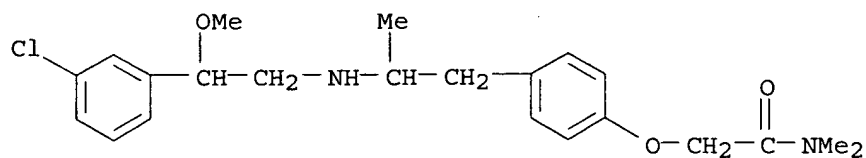
CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-ethoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 90469-55-9 HCAPLUS

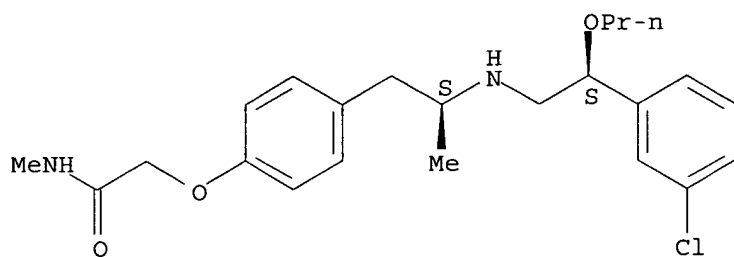
CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N,N-dimethyl-, (9CI) (CA INDEX NAME)



RN 90470-75-0 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-propoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

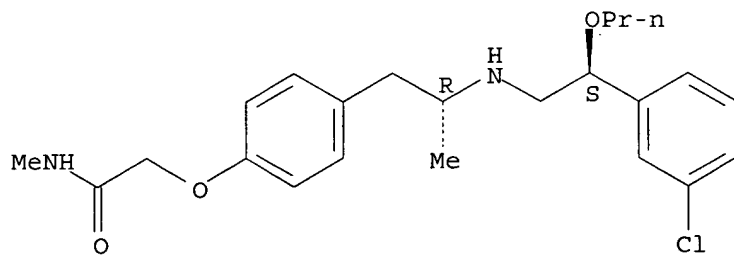
Relative stereochemistry.



RN 90470-76-1 HCAPLUS

CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-propoxyethyl]amino]propyl]phenoxy]-N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

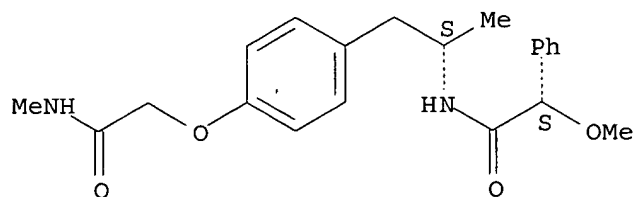
Relative stereochemistry.



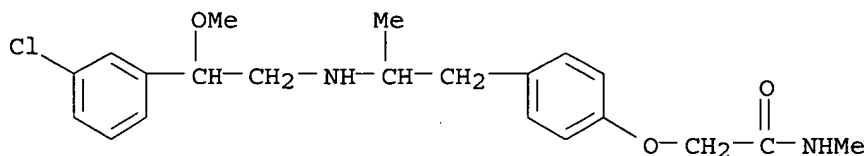
RN 90486-20-7 HCAPLUS

CN Benzeneacetamide, α-methoxy-N-[1-methyl-2-[4-[2-(methylamino)-2-oxoethoxy]phenyl]ethyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **90469-04-8P**
 (preparation of)
 RN 90469-04-8 HCAPLUS
 CN Acetamide, 2-[4-[2-[[2-(3-chlorophenyl)-2-methoxyethyl]amino]propyl]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



IC C07C093-04; C07C093-10; C07C093-14; C07C103-34; C07C103-178;
 C07C101-42; C07C101-16; C07C149-42; A61K031-16
 CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1
 ST phenethylamine phenylisopropyl prepn hypoglycemic;
 phenylisopropylphenethylamine prepn hypoglycemic; antiinflammatory
 phenylisopropylphenethylamine prepn; **antidiabetic**
 phenylisopropylphenethylamine prepn; blood platelet
 phenylisopropylphenethylamine prepn
 IT **Antidiabetics** and Hypoglycemics
 Inflammation inhibitors and Antiarthritics
 (N-(phenylalkyl)phenethylamines)
 IT 90468-92-1P 90468-92-1P 90468-93-2P 90468-96-5P
 90468-97-6P 90469-01-5P 90469-02-6P **90469-03-7P**
 90469-07-1P 90469-08-2P **90469-11-7P**
90469-12-8P 90469-13-9P 90469-14-0P 90469-15-1P
 90469-16-2P **90469-17-3P 90469-18-4P**
 90469-19-5P 90469-20-8P 90469-22-0P 90469-23-1P
90469-30-0P 90469-31-1P 90469-32-2P
90469-33-3P 90469-34-4P 90469-35-5P 90469-38-8P
 90469-39-9P **90469-42-4P 90469-43-5P**
 90469-46-8P 90469-47-9P **90469-50-4P**
90469-51-5P 90469-54-8P 90469-56-0P 90469-57-1P
 90469-60-6P 90469-61-7P 90469-63-9P 90469-64-0P
90469-66-2P 90469-67-3P 90469-70-8P
90469-71-9P 90469-76-4P 90469-77-5P 90469-78-6P
 90469-79-7P 90469-80-0P 90469-81-1P 90469-82-2P
 90469-83-3P 90469-84-4P 90469-85-5P 90469-86-6P
 90469-87-7P 90469-90-2P 90469-91-3P **90469-93-5P**
90469-94-6P 90469-97-9P 90469-98-0P 90469-99-1P
 90470-00-1P 90470-01-2P 90470-02-3P 90470-05-6P
 90470-06-7P 90470-07-8P 90470-08-9P **90470-10-3P**
90470-11-4P 90470-14-7P 90470-15-8P 90470-17-0P
 90470-18-1P 90470-19-2P 90470-20-5P 90470-23-8P
 90470-24-9P 90470-25-0P 90470-26-1P 90470-27-2P
 90470-28-3P 90470-29-4P **90470-31-8P**
90470-34-1P 90470-35-2P 90470-40-9P
90470-41-0P 90470-42-1P 90470-44-3P
90470-45-4P 90470-46-5P 90470-47-6P 90470-49-8P
 90470-50-1P 90470-74-9P 90934-14-8P 93091-05-5P
 (preparation and **antidiabetic** activity of)
 IT 13031-13-5P 33224-88-3P 33225-01-3P **90469-24-2P**
90469-25-3P 90469-44-6P 90470-53-4P 90470-54-5P

90470-57-8P 90470-59-0P 90470-61-4P 90470-63-6P
 90470-65-8P 90470-68-1P 90470-70-5P 90470-71-6P
 90486-21-8P

(preparation and hydride reduction of)

IT 90469-09-3P 90469-10-6P 90469-36-6P
 90469-37-7P 90469-40-2P 90469-41-3P
 90469-55-9P 90469-58-2P 90469-59-3P 90469-88-8P
 90469-89-9P 90470-75-0P 90470-76-1P
 90486-20-7P

(preparation and reduction of, by borane)

IT 90468-99-8P 90469-00-4P 90469-04-8P 90469-26-4P
 90469-27-5P 90469-48-0P 90469-49-1P 90470-03-4P
 90470-04-5P

(preparation of)

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ACCESSION NUMBER: 1981:461726 HCAPLUS

DOCUMENT NUMBER: 95:61726

TITLE: Ethanamine derivatives and their use in
 pharmaceutical compositions

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PATENT ASSIGNEE(S): Beecham Group Ltd., UK

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CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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EP 23385	A1	19810204	EP 1980-301927	1980 0609
<--				
EP 23385	B1	19821215		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
US 4338333	A	19820706	US 1980-157555	1980 0609
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CA 1150297	A1	19830719	CA 1980-353754	1980 0611
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PRIORITY APPLN. INFO.:

GB 1979-21038 A

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0609

OTHER SOURCE(S):
GI

MARPAT 95:61726



AB HOCHRCH₂NHCR₁R₂XX₁OX₂CO₂H (R = optionally-substituted Ph; R₁ = H, F, Cl, Me, OMe, OH; R₂ = H, Me; X = bond, alkylene; X₁ = phenylene, oxyphenylene; X₂ = alkylene) were prepared. Thus, 4-MeO₂CCH₂OC₆H₄CHO was treated with EtNO₂ to give 4-MeO₂CCH₂OC₆H₄CH:CHMeNO₂ which was hydrogenated to 4-MeO₂CCH₂OC₆H₄CH₂CMe:NOH. Hydrolysis of the oxime gave 4-MeO₂CCH₂OC₆H₄CH₂COMe which was treated with 4,3-HO(HOCH₂)C₆H₃CH(OH)CH₂NH₂ and hydrogenated to give I as a mixture of diastereoisomers. At 11 mg/kg orally in rats daily 28 days I decreased the lipid content from 18.6 to 12.9 g.

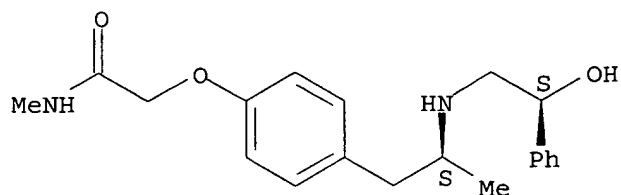
IT 78069-35-9P 78069-36-0P

(preparation and pharmacol. activity of)

RN 78069-35-9 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-hydroxy-2-phenylethyl)amino]propyl]phenoxy]-N-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

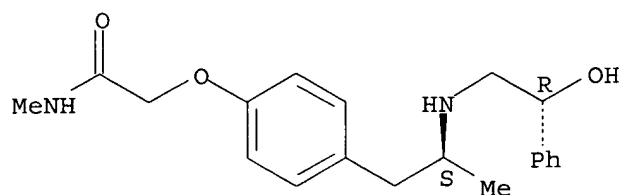
Relative stereochemistry.



RN 78069-36-0 HCAPLUS

CN Acetamide, 2-[4-[2-[(2-hydroxy-2-phenylethyl)amino]propyl]phenoxy]-N-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IC C07C093-14; C07C103-178; C07C103-34; A61K031-19

CC 25-4 (Noncondensed Aromatic Compounds)

ST phenylethanolamine phenylalkyl; obesity phenylethanolamine;
antidiabetic phenylethanolamine

IT **Antidiabetics** and Hypoglycemics
(phenylethanolamine derivs.)

IT 78069-20-2P 78069-21-3P 78069-23-5P 78069-29-1P

78069-30-4P 78069-31-5P 78069-32-6P 78069-33-7P

78069-34-8P **78069-35-9P 78069-36-0P**

86615-96-5P

(preparation and pharmacol. activity of)